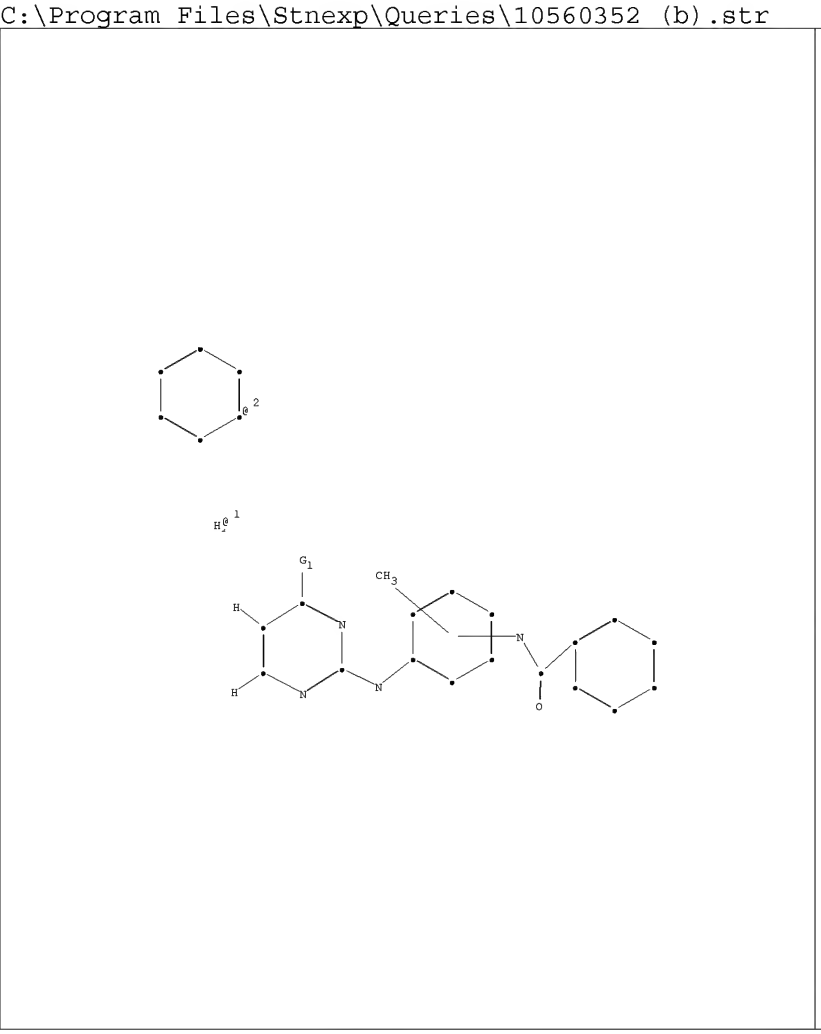
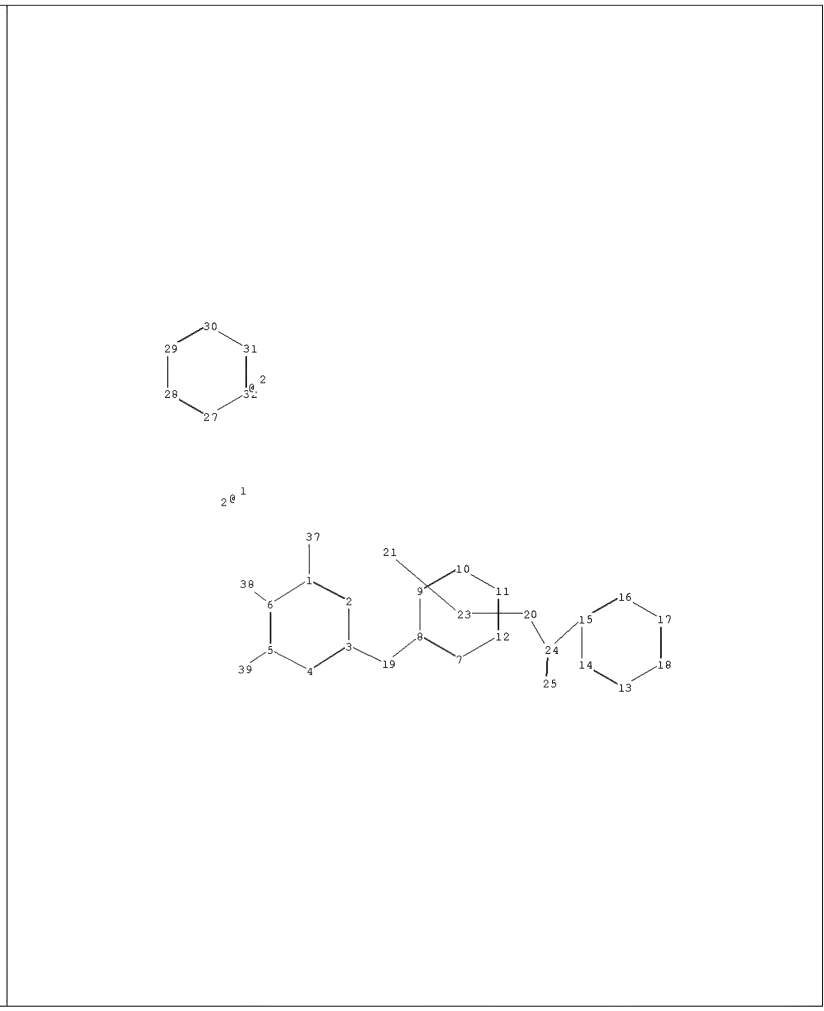
C:\Program Files\Stnexp\Queries\10560352 (b).str



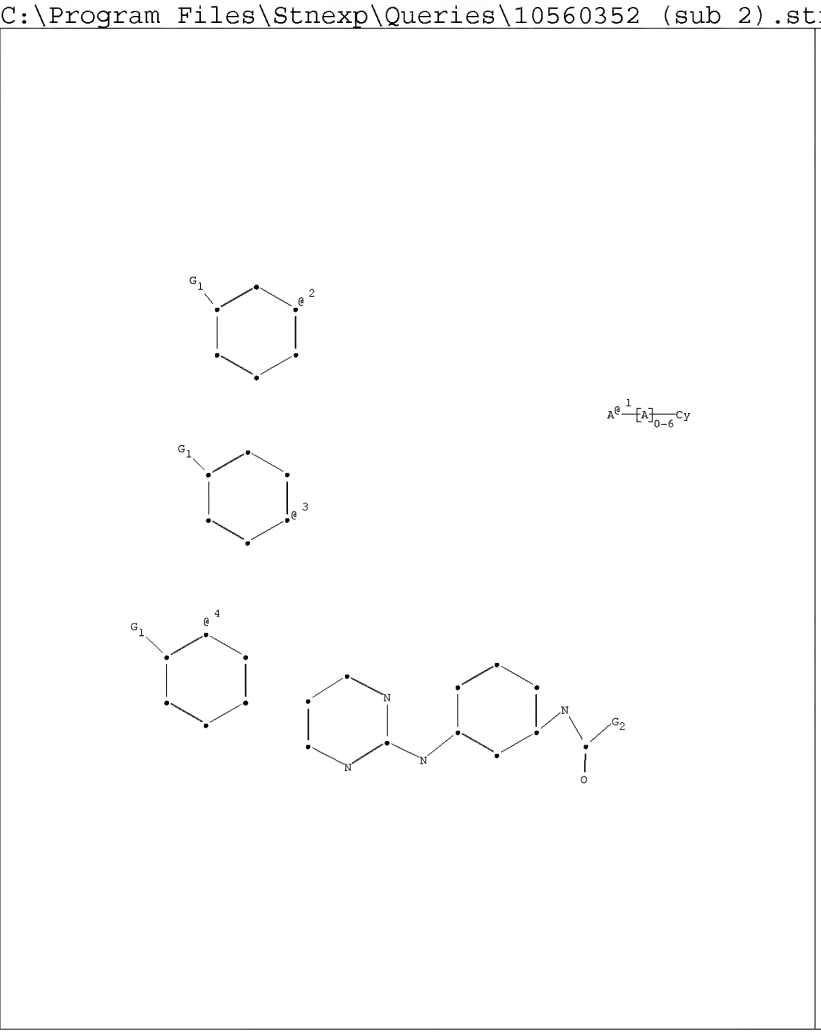


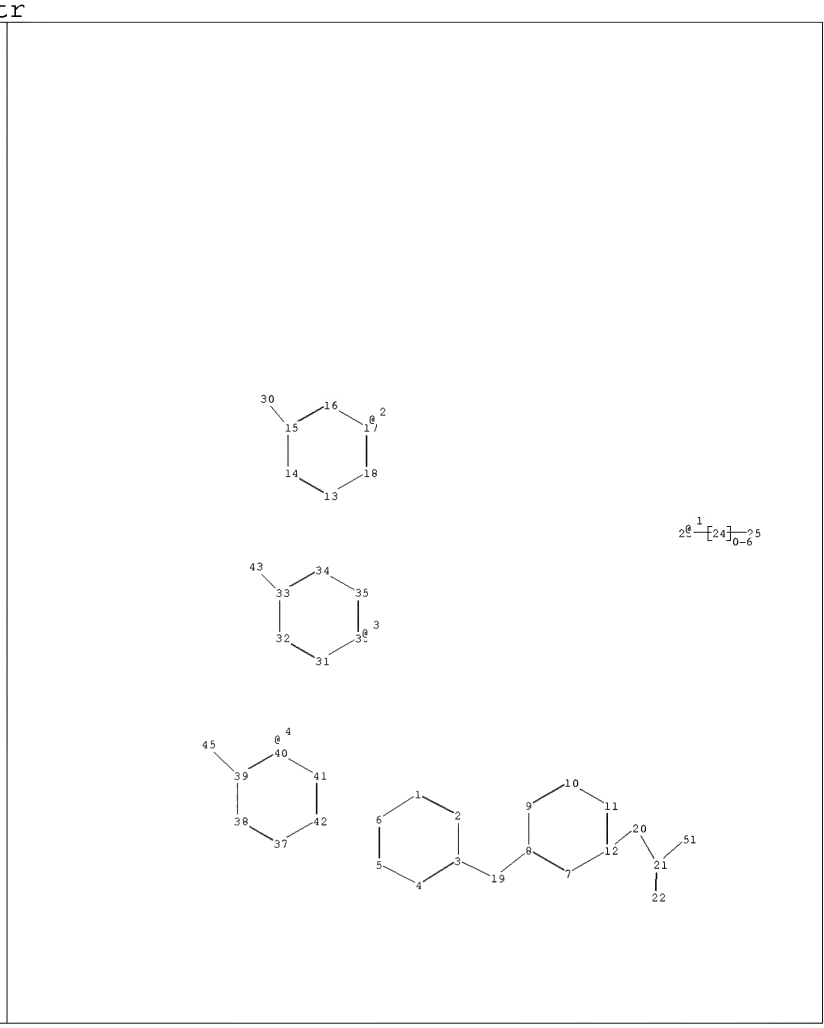
chain nodes :
19 20 21 24 25 26 37 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 27 28 29 30 31 32
chain bonds :
1-37 3-19 5-39 6-38 8-19 15-24 20-24 24-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16
16-17 17-18 27-28 27-32 28-29 29-30 30-31 31-32
exact/norm bonds :
1-37 3-19 8-19 20-24 24-25
exact bonds :
5-39 6-38 15-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16
16-17 17-18 27-28 27-32 28-29 29-30 30-31 31-32
isolated ring systems :
containing 1 : 7 : 13 : 27 :

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS
22:Atom 23:Atom 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 37:CLASS 38:CLASS 39:CLASS
Generic attributes :
26:
Saturation : Unsaturated

C:\Program Files\Stnexp\Queries\10560352 (sub 2).str





chain nodes :
19 20 21 22 23 24 25 30 43 45 51
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 31 32 33 34 35 36 37 38 39 40 41 42
chain bonds :
3-19 8-19 12-20 15-30 20-21 21-22 21-51 23-24 24-25 33-43 39-45
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16 16-17 17-18 31-32 31-36 32-33 33-34 34-35 35-36 37-38 37-42 38-39 39-40 40-41 41-42
exact/norm bonds :
3-19 8-19 12-20 15-30 20-21 21-22 21-51 23-24 24-25 33-43 39-45
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16 16-17 17-18 31-32 31-36 32-33 33-34 34-35 35-36 37-38 37-42 38-39 39-40 40-41 41-42
isolated ring systems :
containing 31 : 37 :

G1:Cy,[*1]

G2:[*2],[*3],[*4]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:Atom 30:CLASS 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:CLASS 37:Atom 38:Atom 39:Atom 40:CLASS 41:Atom 42:Atom 43:CLASS 45:CLASS 51:CLASS

```
=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1841

L1    SCREEN CREATED

=> screen 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047

L2    SCREEN CREATED

=>
Uploading C:\Program Files\Stnexp\Queries\10560352 (b).str
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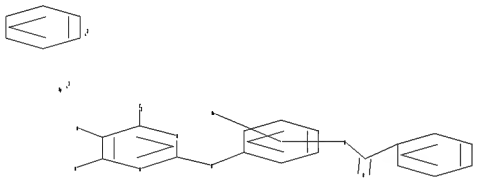
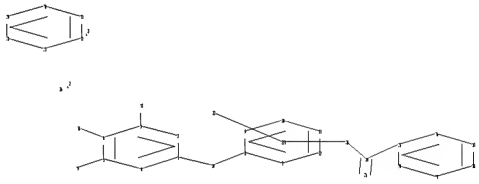




```
chain nodes :
19  20  21  24  25  26  37  38  39
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15  16  17  18  27  28  29  30  31
32
chain bonds :
1-37  3-19  5-39  6-38  8-19  15-24  20-24  24-25
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  13-18
14-15  15-16  16-17  17-18  27-28  27-32  28-29  29-30  30-31  31-32
exact/norm bonds :
1-37  3-19  8-19  20-24  24-25
exact bonds :
5-39  6-38  15-24
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  13-18
14-15  15-16  16-17  17-18  27-28  27-32  28-29  29-30  30-31  31-32
isolated ring systems :
containing 1 : 7 : 13 : 27 :


G1:[*1],[*2]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
20:CLASS  21:CLASS  22:Atom  23:Atom  24:CLASS  25:CLASS  26:Atom  27:Atom  28:Atom
 29:Atom  30:Atom  31:Atom  32:Atom  37:CLASS  38:CLASS  39:CLASS
Generic attributes :
26:
Saturation             : Unsaturated




L3      STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2

L4   QUE L3 AND L1 NOT L2

=> d l4
L4 HAS NO ANSWERS
L1              SCR 1841
L2              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L4              QUE  L3 AND L1 NOT L2


=> s l4 sss sam
SAMPLE SEARCH INITIATED 18:53:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     2881 TO ITERATE
```

```
 69.4% PROCESSED     2000 ITERATIONS                                25 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        54401 TO    60839
PROJECTED ANSWERS:             360 TO     1080

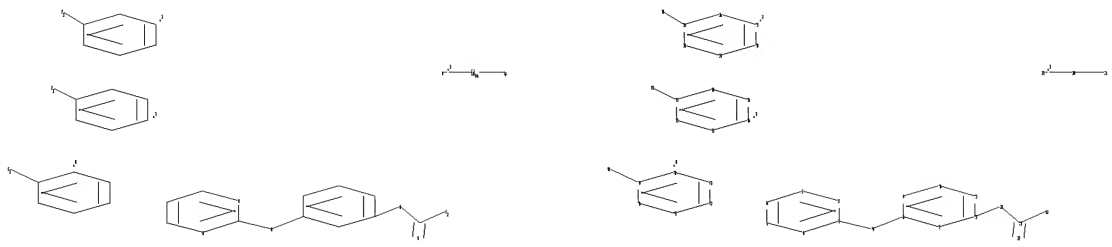
L5           25 SEA SSS SAM L3 AND L1 NOT L2

=> => s l4 sss ful
FULL SEARCH INITIATED 18:55:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    58808 TO ITERATE

100.0% PROCESSED    58808 ITERATIONS                              627 ANSWERS
SEARCH TIME: 00.00.06

L6          627 SEA SSS FUL L3 AND L1 NOT L2

=>
Uploading C:\Program Files\Stnexp\Queries\10560352 (sub 2).str
```



chain nodes :
19 20 21 22 23 24 25 30 43 45 51
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 31 32 33 34 35
36 37 38 39 40 41 42
chain bonds :
3-19 8-19 12-20 15-30 20-21 21-22 21-51 23-24 24-25 33-43 39-45
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 31-32 31-36 32-33 33-34 34-35 35-36 37-38 37-42
38-39 39-40 40-41 41-42

```
exact/norm bonds :
3-19  8-19  12-20  15-30  20-21  21-22  21-51  23-24  24-25  33-43  39-45
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  13-18
14-15  15-16  16-17  17-18  31-32  31-36  32-33  33-34  34-35  35-36  37-38  37-42
38-39  39-40  40-41  41-42
isolated ring systems :
containing 31 : 37 :


G1:Cy,[*1]

G2:[*2],[*3],[*4]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
20:CLASS  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:Atom  30:CLASS  31:Atom
32:Atom  33:Atom  34:Atom  35:Atom  36:CLASS  37:Atom  38:Atom  39:Atom  40:CLASS
41:Atom  42:Atom  43:CLASS  45:CLASS  51:CLASS



L7      STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l7 sub=l6 sss sam
SAMPLE SUBSET SEARCH INITIATED 19:01:34 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -       37 TO ITERATE

100.0% PROCESSED       37 ITERATIONS                              29 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):          376 TO     1104
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):             257 TO      903

L8           29 SEA SUB=L6 SSS SAM L7

=> => s l7 sub=l6 sss ful
FULL SUBSET SEARCH INITIATED 19:04:09 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -      616 TO ITERATE

100.0% PROCESSED      616 ITERATIONS                             404 ANSWERS
SEARCH TIME: 00.00.01

L9          404 SEA SUB=L6 SSS FUL L7
```

```
=> s l6 not l9
L10         223 L6 NOT L9

=> => s l10
L11          62 L10

=> d l11 1-62 bib,ab,hitstr
```

L11 ANSWER 1 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1479564 CAPLUS
DN 150:35385
TI Preparation of phenylaminopyrimidine derivatives as inhibitors of BCR-ABL kinase for treating cancer
IN Kompella, Amala Kishan; Adibhatla Kali Satya, Bhujanga Rao; Rachakonda, Sreenivas; Venkaiah Chowdary, Nannapaneni
PA Natco Pharma Limited, India
SO U.S. Pat. Appl. Publ., 80pp., Cont.-in-part of U.S. Ser. No. 714,565.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080306100	A1	20081211	US 2008-42235	20080304
	IN 2004CH00908	A	20061103	IN 2004-CH908	20040909
	WO 2006027795	A1	20060316	WO 2005-IN243	20050719
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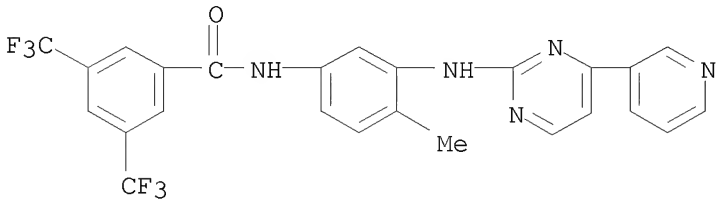
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 20070232633	A1	20071004	US 2007-714565	20070305
PRAI	IN 2004-CH908	A	20040909		
	WO 2005-IN243	A2	20050719		
	US 2007-714565	A2	20070305		

OS MARPAT 150:35385
AB The present invention relates to novel intermediates useful for the preparation of novel phenylaminopyrimidine derivs., novel phenylaminopyrimidine derivs., pharmaceutical composition containing the novel phenylaminopyrimidine derivs. and processes for their preparation The invention particularly relates to novel Ph pyrimidine amine derivs. of the general formula I (wherein X is CH or N; n= 1 or 2; R is H or CH3; and Y is absent, S, SO, or SO2). The novel compds. of the invention can be used in the therapy of chronic myeloid leukemia (CML). Since the IC50 values of these mols. are in the range 0.1 to 10.0 nm, these novel compds. are potentially useful for the treatment of CML. The present invention also relates to a particular crystal form of the (3,5-bis trifluoromethyl)-N-[4-methyl-3-(4-pyridin-3-yl-pyrimidin-2ylamino)-phenyl]-benzamide (II) , processes for the preparation thereof, pharmaceutical compns. containing this crystal form, and their use as antitumor agent in humans. II is also known as AN-019. This invention relates to a process for the preparation of (3-trifluoromethylsulfonyl)-N-[4-methyl-3-(4-pyridin-3-yl-pyrimidin-2ylamino)-phenyl]-benzamide (III) starting from 4-methyl-2-nitro-aniline through intermediates (3-trifluoromethylsulfonyl)-N-[4-methyl-3-nitrophenyl]-benzamide, (3-trifluoromethylsulfonyl)-N-[3-amino-4-methylphenyl]-benzamide and (3-trifluoromethylsulfonyl)-N-[3-guanidino-4-methylphenyl]-benzamide. This invention also relates to processes for the preparation of these intermediates. III is also known as AN-024.

IT 879507-25-2P 951306-10-8P,
3-(Trifluoromethylsulfonyl)-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylaminopyrimidine derivs. as inhibitors of BCR-ABL kinase for treating cancer)

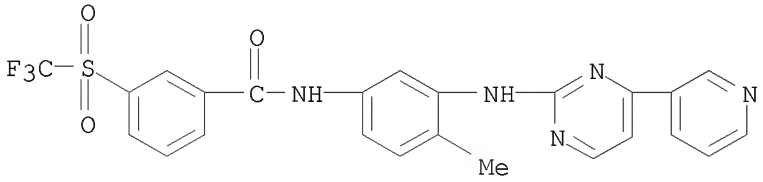
RN 879507-25-2 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)



RN 951306-10-8 CAPLUS

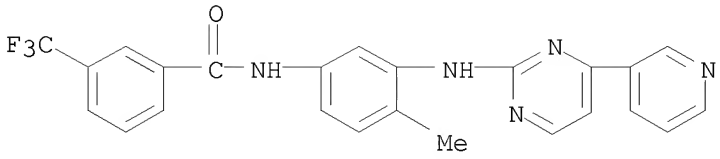
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-[(trifluoromethyl)sulfonyl]- (CA INDEX NAME)



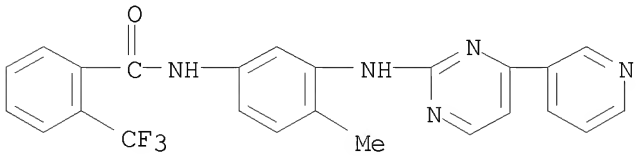
IT 879507-24-1P, 3-Trifluoromethyl-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 879507-26-3P,
2-Trifluoromethyl-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 951306-05-1P,
3-(Trifluoromethylthio)-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylaminopyrimidine derivs. as inhibitors of BCR-ABL kinase for treating cancer)

RN 879507-24-1 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 879507-26-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)



RN 951306-05-1 CAPLUS
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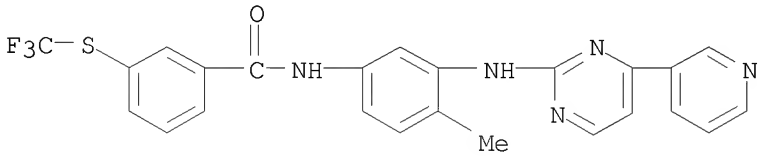


L11 ANSWER 2 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1458667 CAPLUS
DN 150:20140
TI Heterocyclic compounds as PDGFR inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Singh, Juswinder; Ghosh, Shomir; Kluge, Arthur F.; Petter, Russell C.
PA Avila Therapeutics, Inc., USA
SO U.S. Pat. Appl. Publ., 90pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

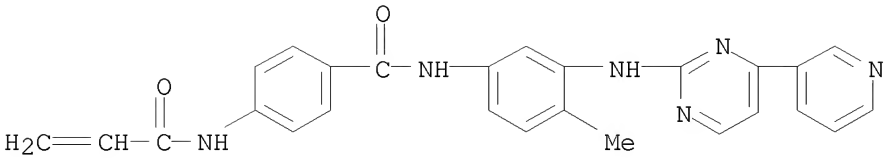
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20080300268	A1	20081204	US 2008-132537	20080603
WO 2008151183	A1	20081211	WO 2008-US65646	20080603

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

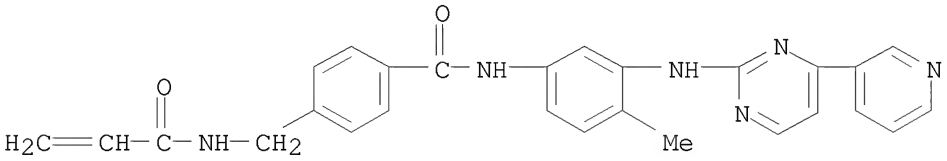
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-941873P	P	20070604	
US 2007-972048P	P	20070913	

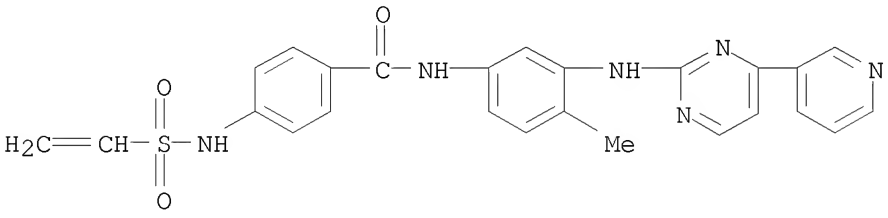
OS MARPAT 150:20140
AB The invention provides compds. of formula I, pharmaceutically acceptable compns. thereof, and methods of using the same. Compds. of formula I wherein T is NHCO and CONH; W is CH and N; each Ra, Rb, Rc and Rd are independently OH, H, lower (halo)alkyl, lower alkoxy, and halo; R1 is a warhead group; R2 is H, lower (halo)alkyl, halo, NHCO2H and derivs., etc.; R1R2 taken together to form (un)substituted (un)saturated 5- to 7-membered (hetero)aryl; R3 is H, lower alkyl and halo; are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their PDGFR inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value of 172.29 nM.
IT 1089724-88-8P 1089724-90-2P 1089724-94-6P
1089725-11-0P 1089725-13-2P 1089725-14-3P
1089725-15-4P 1089725-21-2P 1089725-39-2P
1089725-41-6P 1089725-42-7P 1089725-43-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as inhibitors of protein kinase useful in treatment of kinase-mediated disorders)
RN 1089724-88-8 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-oxo-2-propen-1-yl)amino]- (CA INDEX NAME)



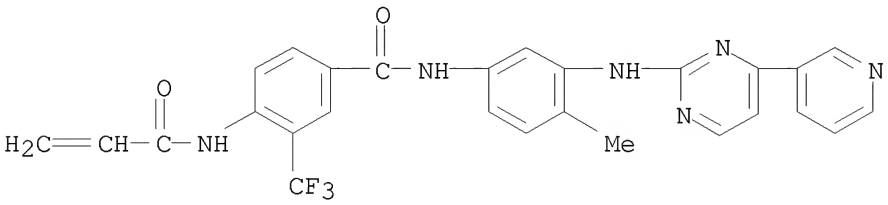
RN 1089724-90-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[(1-oxo-2-propen-1-yl)amino]methyl]- (CA INDEX NAME)



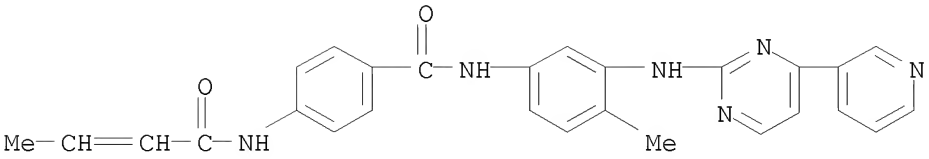
RN 1089724-94-6 CAPLUS
CN Benzamide, 4-[(ethenylsulfonyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



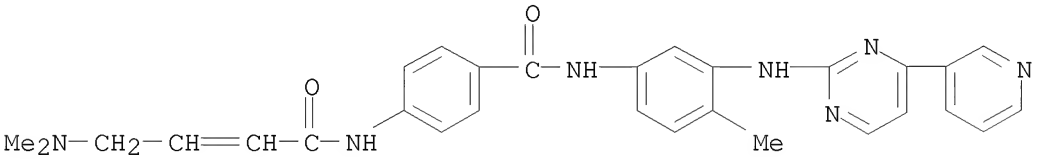
RN 1089725-11-0 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-oxo-2-propen-1-yl)amino]-3-(trifluoromethyl)- (CA INDEX NAME)



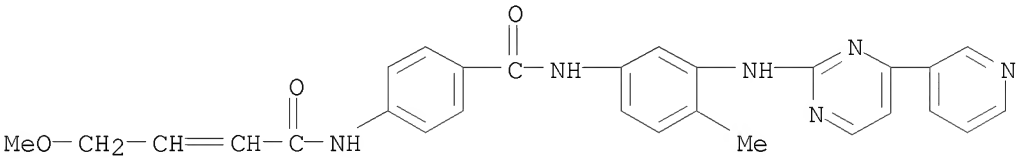
RN 1089725-13-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-oxo-2-buten-1-yl)amino]- (CA INDEX NAME)



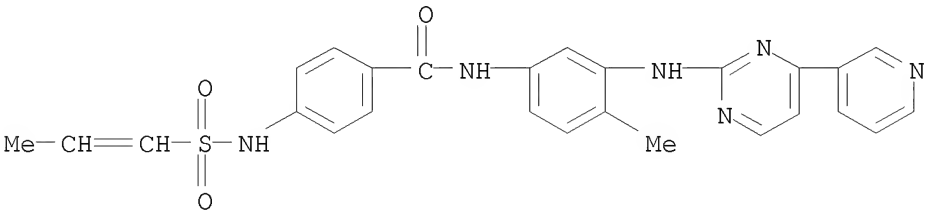
RN 1089725-14-3 CAPLUS
CN Benzamide, 4-[[4-(dimethylamino)-1-oxo-2-buten-1-yl]amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



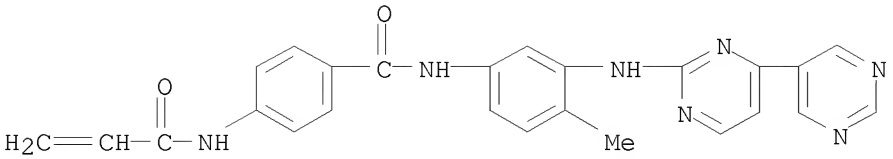
RN 1089725-15-4 CAPLUS
CN Benzamide, 4-[(4-methoxy-1-oxo-2-buten-1-yl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



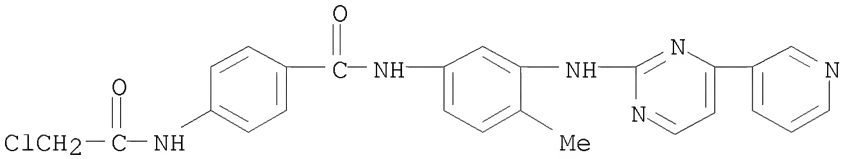
RN 1089725-21-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-propen-1-ylsulfonyl)amino]- (CA INDEX NAME)



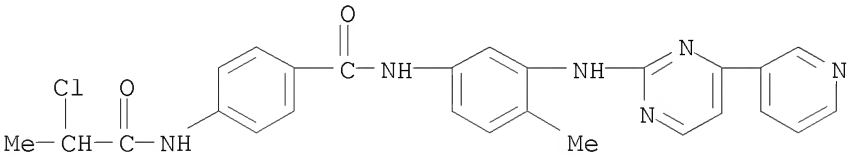
RN 1089725-39-2 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[(1-oxo-2-propen-1-yl)amino]- (CA INDEX NAME)



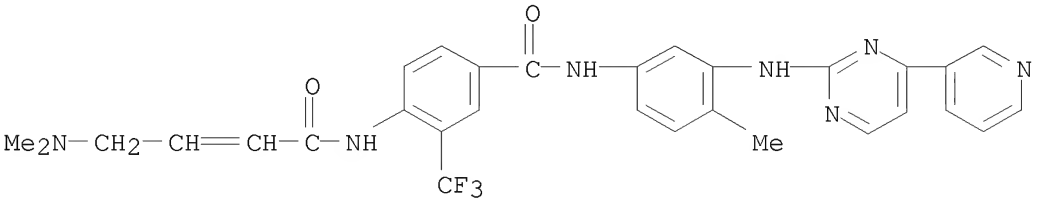
RN 1089725-41-6 CAPLUS
CN Benzamide, 4-[(2-chloroacetyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 1089725-42-7 CAPLUS
CN Benzamide, 4-[(2-chloro-1-oxopropyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

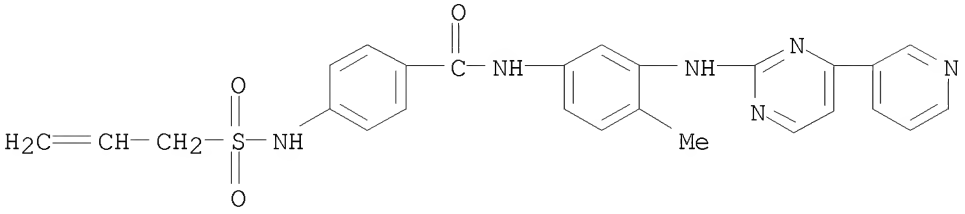


RN 1089725-43-8 CAPLUS
CN Benzamide, 4-[[4-(dimethylamino)-1-oxo-2-buten-1-yl]amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



IT 1089725-62-1P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as inhibitors of protein kinase useful in treatment of kinase-mediated disorders)
RN 1089725-62-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-

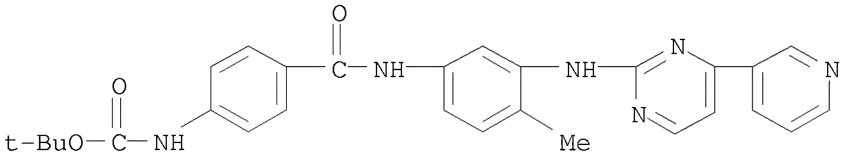
[(2-propen-1-ylsulfonyl)amino]- (CA INDEX NAME)



IT 1089725-55-2P 1089725-56-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as inhibitors of protein kinase useful in treatment of kinase-mediated disorders)

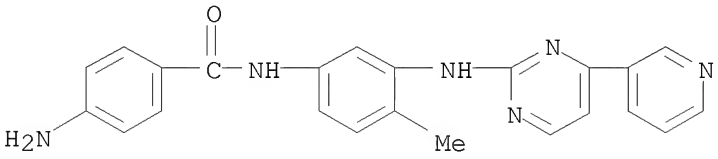
RN 1089725-55-2 CAPLUS

CN Carbamic acid, N-[4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 1089725-56-3 CAPLUS

CN Benzamide, 4-amino-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



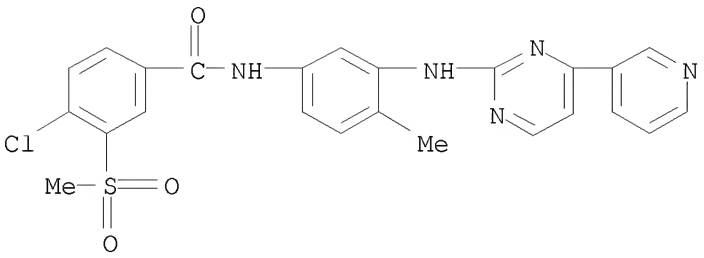
● HCl

L11 ANSWER 3 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1359695 CAPLUS
DN 149:556641
TI Preparation of substituted pyridylpyrimidinamines as c-Kit and PDGFR kinases inhibitors
IN Li, Xiaolin; Liu, Xiaodong; Molteni, Valentina; Chianelli, Donatella; Loren, Jon; Nabakka, Juliet; Ramsey, Timothy; Breitenstein, Werner
PA IRM LLC, Bermuda; Novartis A.-G.
SO PCT Int. Appl., 60pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008137794	A1	20081113	WO 2008-US62568	20080502

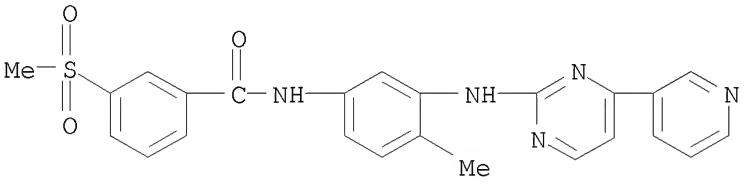
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-916051P P 20070504
OS MARPAT 149:556641
AB The invention provides a novel class of compds. I [L = NC(O), NC(O)N , C(O)N; R1, R21, R22 = H, OH, heterocycloalkyl, etc.; R3-R7 = H, halo, CN, etc.; with the proviso that at least one of R3-R7 has a sulfur directly linked to the Ph ring], pharmaceutical compns. comprising such compds. and methods of using such compds. to treat or prevent diseases or disorders associated with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of c-Kit, PDGFRα and PDGFRβ kinases. Over twenty compds. I were prepared E.g., a multi-step synthesis of II, starting from 2-amino-4-nitrotoluene and cyanamide, was given. Exemplified compds. I were tested in various biol. assays. For example, compds. I showed am IC50 in the range of 10 nM to 2 μM when tested in FGFR3 enzymic assay.
IT 1079880-30-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel pyridylpyrimidinamines as c-Kit and PDGFR kinases inhibitors for treating and preventing kinase-mediated diseases)
RN 1079880-30-0 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(methylsulfonyl)- (CA INDEX NAME)

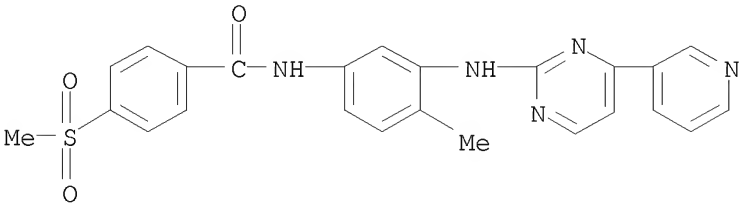


IT 1079880-28-6P 1079880-29-7P 1079880-31-1P
1079880-34-4P 1079880-37-7P 1079880-38-8P
1079880-39-9P 1079880-41-3P 1079880-42-4P
1079880-44-6P 1079880-48-0P 1079880-49-1P
1079880-50-4P 1079880-53-7P 1079880-55-9P
1079880-58-2P 1079880-59-3P 1079880-61-7P
1079880-62-8P 1079880-63-9P 1079880-66-2P
1079880-69-5P 1079880-71-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyridylpyrimidinamines as c-Kit and PDGFR kinases inhibitors for treating and preventing kinase-mediated diseases)

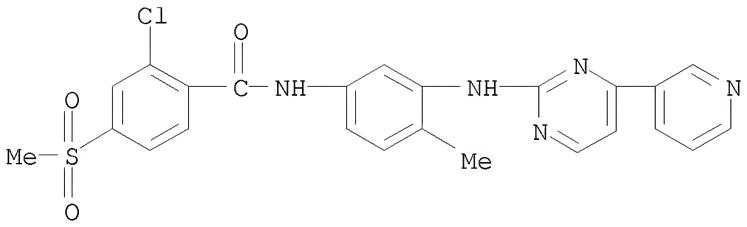
RN 1079880-28-6 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(methylsulfonyl)- (CA INDEX NAME)



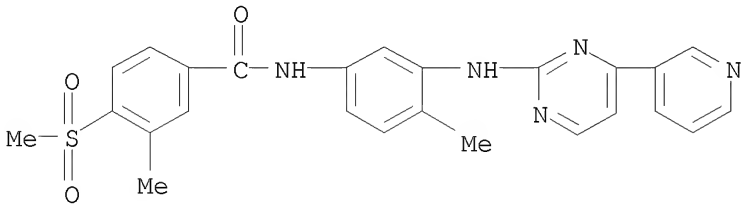
RN 1079880-29-7 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



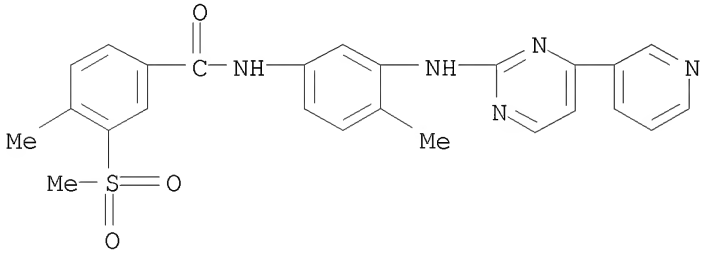
RN 1079880-31-1 CAPLUS
CN Benzamide, 2-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



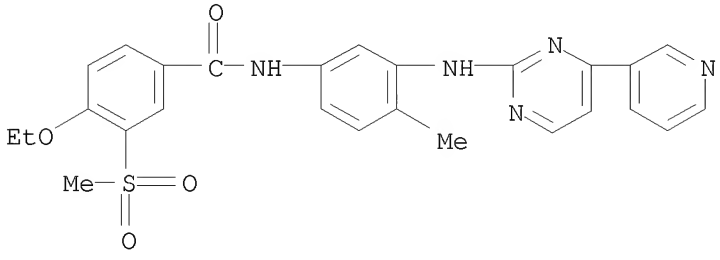
RN 1079880-34-4 CAPLUS
CN Benzamide, 3-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



RN 1079880-37-7 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(methylsulfonyl)- (CA INDEX NAME)

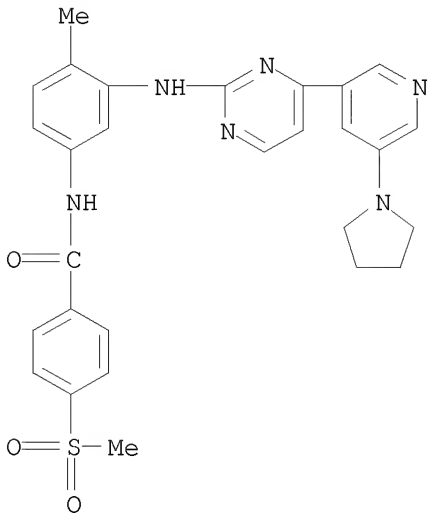


RN 1079880-38-8 CAPLUS
CN Benzamide, 4-ethoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(methylsulfonyl)- (CA INDEX NAME)

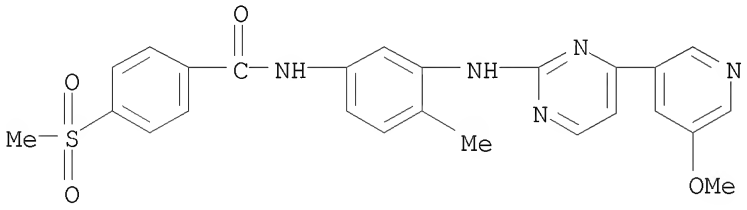


RN 1079880-39-9 CAPLUS

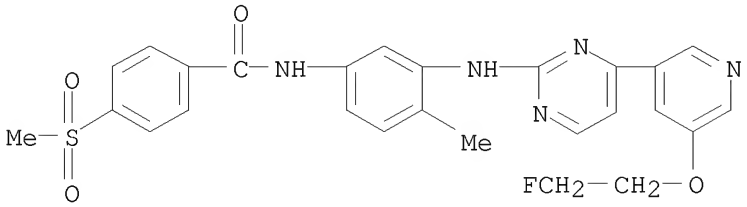
CN Benzamide, N-[4-methyl-3-[[4-[5-(1-pyrrolidinyl)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



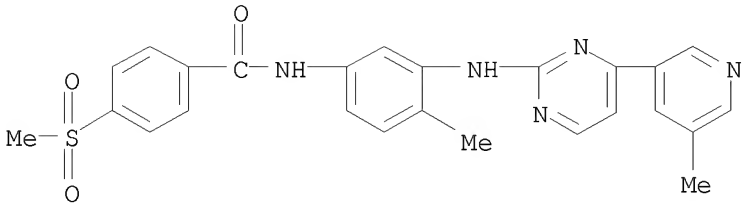
RN 1079880-41-3 CAPLUS
CN Benzamide, N-[3-[[4-(5-methoxy-3-pyridinyl)-2-pyrimidinyl]amino]-4-methylphenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



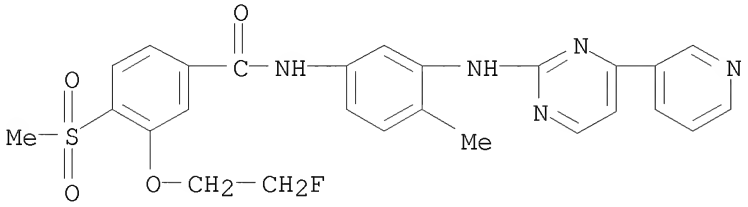
RN 1079880-42-4 CAPLUS
CN Benzamide, N-[3-[[4-[5-(2-fluoroethoxy)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



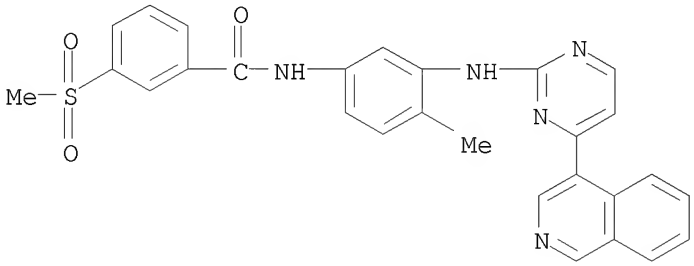
RN 1079880-44-6 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(5-methyl-3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



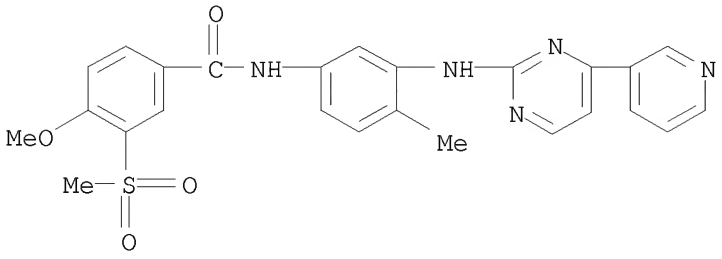
RN 1079880-48-0 CAPLUS
CN Benzamide, 3-(2-fluoroethoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



RN 1079880-49-1 CAPLUS
CN Benzamide, N-[3-[[4-(4-isoquinolinyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3-(methylsulfonyl)- (CA INDEX NAME)

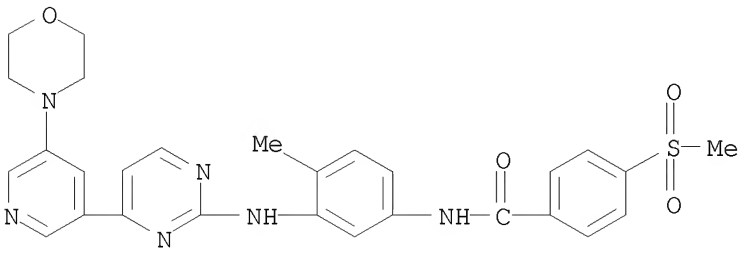


RN 1079880-50-4 CAPLUS
CN Benzamide, 4-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(methylsulfonyl)- (CA INDEX NAME)

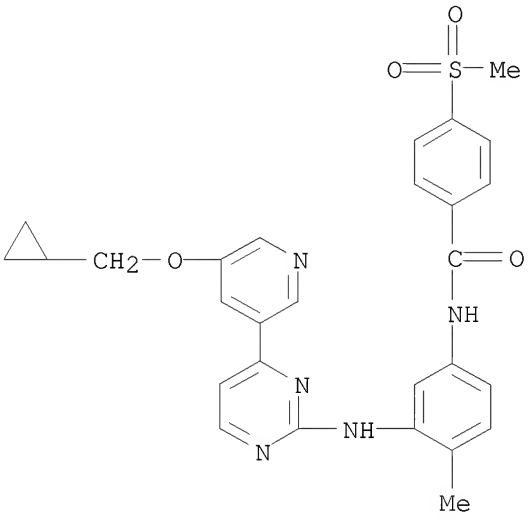


RN 1079880-53-7 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[5-(4-morpholinyl)-3-pyridinyl]-2-

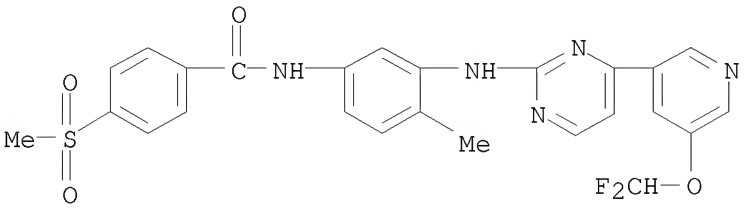
pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



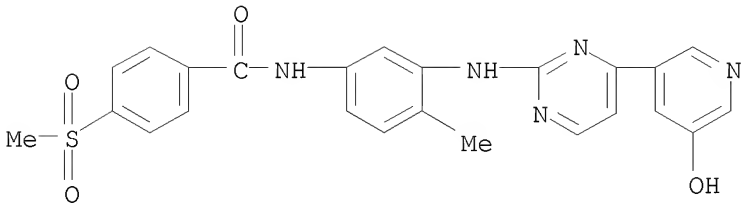
RN 1079880-55-9 CAPLUS
CN Benzamide, N-[3-[[4-[5-(cyclopropylmethoxy)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



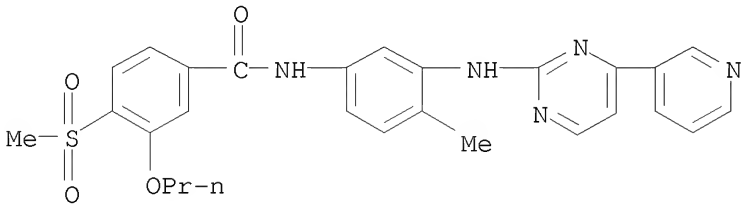
RN 1079880-58-2 CAPLUS
CN Benzamide, N-[3-[[4-[5-(difluoromethoxy)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



RN 1079880-59-3 CAPLUS
CN Benzamide, N-[3-[[4-(5-hydroxy-3-pyridinyl)-2-pyrimidinyl]amino]-4-methylphenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



RN 1079880-61-7 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)-3-propoxy- (CA INDEX NAME)



RN 1079880-62-8 CAPLUS
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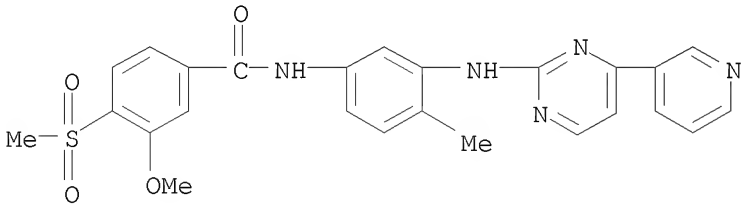
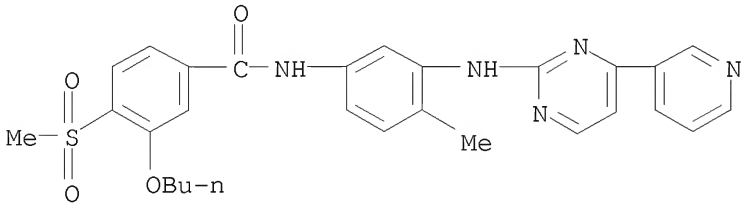
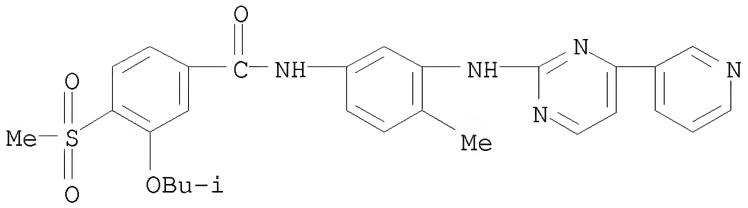


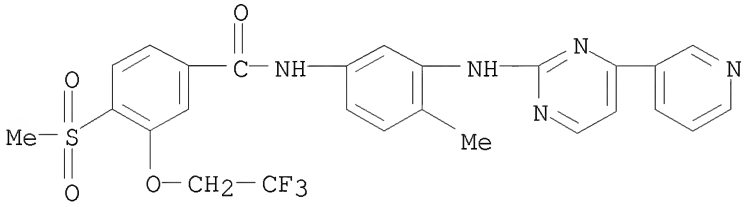
RN 1079880-63-9 CAPLUS
CN Benzamide, 3-butoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



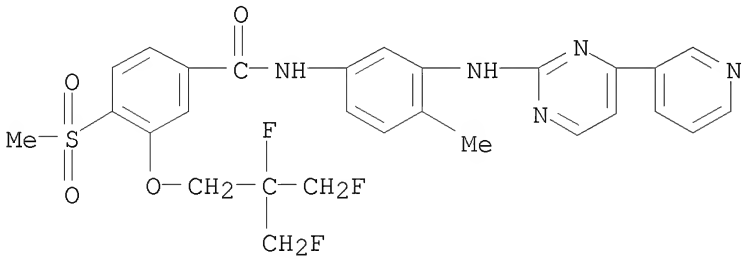
RN 1079880-66-2 CAPLUS
CN Benzamide, 3-(2-methylpropoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



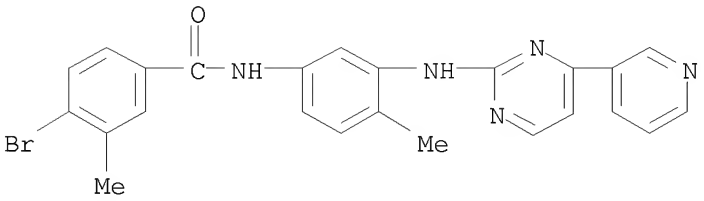
RN 1079880-69-5 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)-3-(2,2,2-trifluoroethoxy)- (CA INDEX NAME)



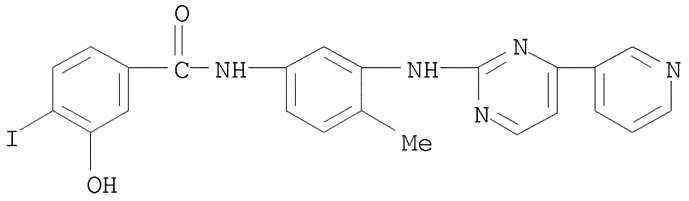
RN 1079880-71-9 CAPLUS
CN Benzamide, 3-[2,3-difluoro-2-(fluoromethyl)propoxy]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)



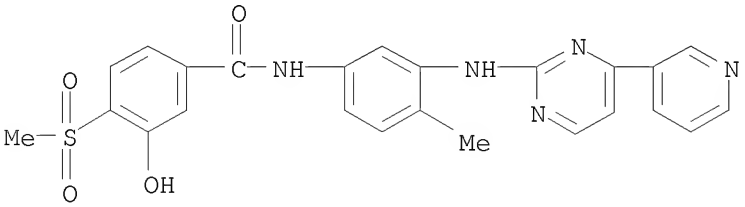
IT 1079881-00-7P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel pyridylpyrimidinamines as c-Kit and PDGFR kinases inhibitors for treating and preventing kinase-mediated diseases)
RN 1079881-00-7 CAPLUS
CN Benzamide, 4-bromo-3-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



IT 1079880-97-9P 1079880-99-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel pyridylpyrimidinamines as c-Kit and PDGFR kinases inhibitors for treating and preventing kinase-mediated diseases)
RN 1079880-97-9 CAPLUS
CN Benzamide, 3-hydroxy-4-iodo-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 1079880-99-1 CAPLUS
CN Benzamide, 3-hydroxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methylsulfonyl)- (CA INDEX NAME)

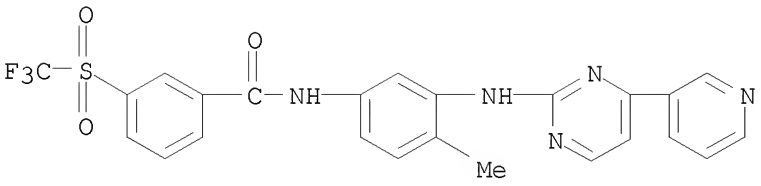


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1220255 CAPLUS
DN 149:417705
TI Intermediates and a process employing the intermediates for the preparation of (3-trifluoromethylsulfonyl)-n-[4-methyl-3-(4-pyridin-3yl-pyrimidin-2ylamino)-phenyl]-benzamide
IN Kompella, Amala Kishan; Adibhatla Kali Satya, Bhujanga Rao; Rachakonda, Sreenivas; Venkaiah Chowdary, Nannapaneni
PA Natco Pharma Limited, India
SO U.S. Pat. Appl. Publ., 27pp., Cont.-in-part of U.S. Ser. No. 714,565.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080249121	A1	20081009	US 2008-42240	20080304
	IN 2004CH00908	A	20061103	IN 2004-CH908	20040909
	WO 2006027795	A1	20060316	WO 2005-IN243	20050719
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 20070232633	A1	20071004	US 2007-714565	20070305
PRAI	IN 2004-CH908	A	20040909		
	WO 2005-IN243	A2	20050719		
	US 2007-714565	A2	20070305		

AB This invention relates to a process for the preparation of (3-trifluoromethylsulfonyl)-N-[4-methyl-3-(4-pyridin-3yl-pyrimidin-2ylamino)-phenyl]-benzamide (formula (I)) starting from 4-methyl-2-nitro-aniline (formula (II)) through intermediates (3-trifluoromethylsulfonyl)-N-[4-methyl-3-nitrophenyl]-benzamide (formula (III)), (3-trifluoromethylsulfonyl)-N-[3-amino-4-methylphenyl]-benzamide (formula (IV)) and (3-trifluoromethylsulfonyl)-N-[3-guanidino-4-methylphenyl]-benzamide (formula (V)). This invention also relates to processes for the preparation of these intermediates.
IT 951306-10-8P, (3-Trifluoromethylsulfonyl)-N-[4-methyl-3-(4-pyridin-3yl-pyrimidin-2ylamino)-phenyl]-benzamide
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(intermediates and a process employing the intermediates for the preparation of (3-trifluoromethylsulfonyl)-n-[4-methyl-3-(4-pyridin-3yl-pyrimidin-2ylamino)-phenyl]-benzamide)
RN 951306-10-8 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-[(trifluoromethyl)sulfonyl]- (CA INDEX NAME)


O
F3C
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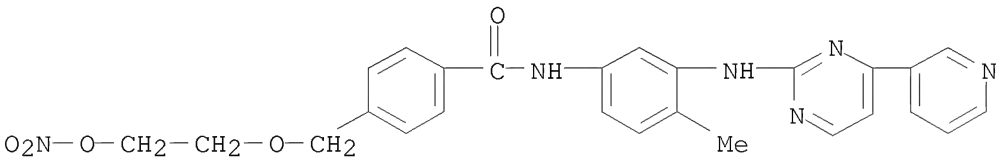
O
O
C
NH
NH
N
N
N
Me

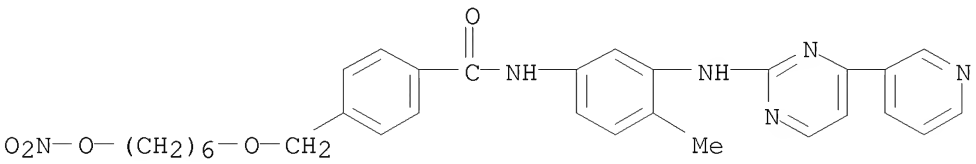
L11 ANSWER 5 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1022138 CAPLUS
DN 149:355912
TI Preparation of N-(5-amino-2-methylphenyl)-4-(3-pyridinyl)-2-pyrimidinylamine derivs. as antitumor agents
IN Dong, Weibing; Zhou, Wei; Zhang, Guangming
PA Tianjin Tasly Group Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 14pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 101245061	A	20080820	CN 2008-10000117	20080103
PRAI CN 2007-10056796	A	20070213		

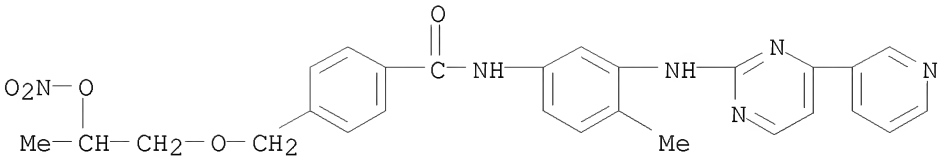
OS CASREACT 149:355912
AB Title compds. [I; wherein R = substituted NH2], and their pharmaceutically acceptable salts, were prepared I exhibit ability of restraining cell apoptosis or inducing tumor cell apoptosis by dual-cooperation antineoplastic mechanism. Thus, the invention compound II was prepared and gave a HL-60 inhibition IC50 value of 8.34 μg/mL.
IT 1056197-93-3P 1056197-94-4P 1056197-95-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(5-amino-2-methylphenyl)-4-(3-pyridinyl)-2-pyrimidinylamine derivs. as antitumor agents)
RN 1056197-93-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[2-(nitrooxy)ethoxy]methyl]- (CA INDEX NAME)



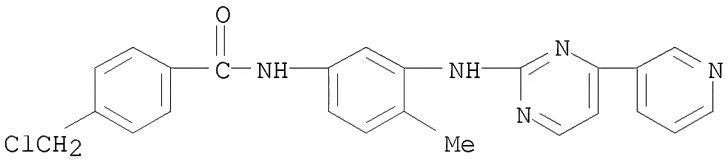
RN 1056197-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[[6-(nitrooxy)hexyl]oxy]methyl]- (CA INDEX NAME)



RN 1056197-95-5 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[2-(nitrooxy)propoxy]methyl]- (CA INDEX NAME)



IT 404844-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(5-amino-2-methylphenyl)-4-(3-pyridinyl)-2-pyrimidinylamine derivs. as antitumor agents)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 6 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:872866 CAPLUS
DN 149:176363
TI Processes for preparation of 2-anilinopyrimidines or their salts by multistep syntheses starting from cyclocondensation reaction of N,N-dialkylamino-1-(3-pyridyl)-2-propene-1-ones and urea
IN Kopyrin, Yu. I.
PA Russia
SO Russ., 13pp.
CODEN: RUXXE7
DT Patent
LA Russian
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI RU 2329260	C1	20080720	RU 2007-106105	20070220
WO 2008103068	A2	20080828	WO 2008-RU37	20080125
WO 2008103068	A3	20081016		

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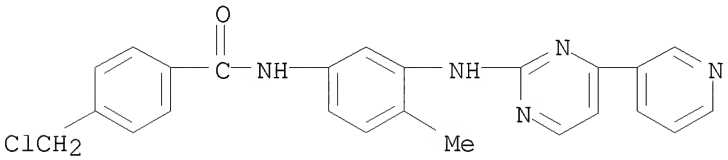
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI RU 2007-106105 A 20070220
OS CASREACT 149:176363; MARPAT 149:176363
AB Derivs. of N-phenyl-2-pyrimidinamine (2-anilinopyrimidine) [I; R1 = pyridyl or its oxide bonded to a C atom, optionally substituted with lower alkyl or alkoxy; R2, R3 = H, (un)branched lower alkyl, Ph (un)substituted with halogen; R4 = H, (un)branched lower alkyl; R5 = H, lower alkyl, (un)substituted with halogen; R6, R8 = H, lower alkoxy, (un)branched lower alkyl; R7 = lower alkyl, lower alkoxy, nitro, carboxy, amino, amido, etc.], which have a wide spectrum of biol. effects and can be used for treating various types of tumors, leukemia, cerebral ischemia, vascular stenosis and other diseases (no data), are prepared by a multistep synthesis involving the following stages: (A) reaction of urea in a basic medium with a N,N-dialkylamino-1-(3-pyridyl)-2-propene-1-one R1COC(R2):C(R3)NMe2 (same R1-R3) to give the corresponding dihydropyrimidinone (II); (B) oxidation of II to give the corresponding hydroxypyrimidine (III; X = H); (C) activation of the hydroxy group in this compound by, for example, treatment with sulfohalide R'SO2Hal or anhydride R'(SO2)2O (R' = lower alkyl or aryl, e.g., p-tolyl), to give III (X = OSO2R'); (D) reaction of the latter compound with an aromatic amino compound (IV; R = H; same R4-R8) to give I and subsequent possible conversion of the obtained compds. to other derivs. of I. Thus, this synthetic strategy beginning with N,N-dimethylamino-1-(3-pyridyl)-2-propene-1-one and urea afforded 4-[(4-methylpiperazin-1-yl)methyl]-N-[4-methyl-3-[[(4-pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide (V).
IT 404844-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of 2-anilinopyrimidines and their salts by

multistep syntheses starting from cyclization of
N,N-dialkylamino-1-(3-pyridyl)-2-propene-1-ones with urea)

RN 404844-11-7 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 7 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:615205 CAPLUS
DN 148:561933
TI Process for the preparation of imatinib and related compounds via condensation of 3-oxo-3-(3-pyridyl)propanal with arylguanidines followed by base cyclization.
IN Falchi, Alessandro; Grendele, Ennio; Motterle, Riccardo; Stivanello, Mariano
PA F.I.S. Fabbrica Italiana Sintetici S.p.A., Italy
SO PCT Int. Appl., 65pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008059551	A2	20080522	WO 2007-IT804	20071115
WO 2008059551	A3	20081231		
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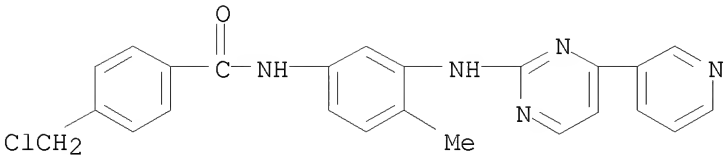
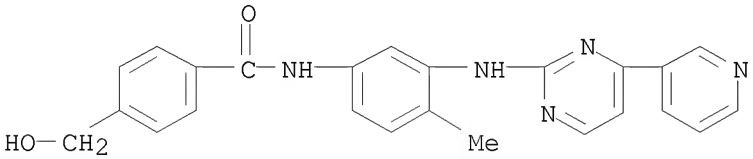
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
IT 2006MI2208	A1	20070216	IT 2006-MI2208	20061116
IT 2007MI0942	A1	20070809	IT 2007-MI942	20070509
PRAI IT 2006-MI2208	A	20061116		
IT 2007-MI942	A	20070509		

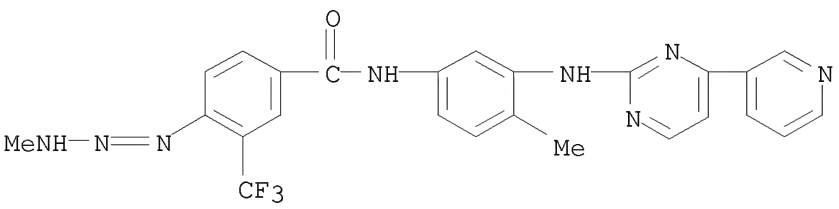
OS CASREACT 148:561933; MARPAT 148:561933
AB Title compds. [I; R1 = amino, NO2, halo, OH, NHCOR3, NHR4; R3 = 4-halomethylphenyl, 4-hydroxymethylphenyl, 4-[(4-methylpiperazinyl)carbonyl]phenyl, 4-alkoxycarbonylphenyl, 4-[(4-methyl-1-piperazinyl)methyl]phenyl; R4 = protecting group], were prepared by reaction of 3-oxo-3-(3-pyridyl)propanal or salts or enol ethers thereof with the corresponding arylguanidines to give intermediates (II; R1 as above) followed by cyclization in the presence of base. Thus, 3-oxo-3-(3-pyridyl)propanal Na salt, (2-methyl-5-aminophenyl)guanidine, and HOAc were stirred together for 1 h in BuOH; KOH was added and the mixture was refluxed 18 h to give I (R1 = amino) of 99.2% purity.
IT 404844-11-7P 1026746-77-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of imatinib and related compds. via condensation of pyridyloxopropanal with arylguanidines followed by base cyclization)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



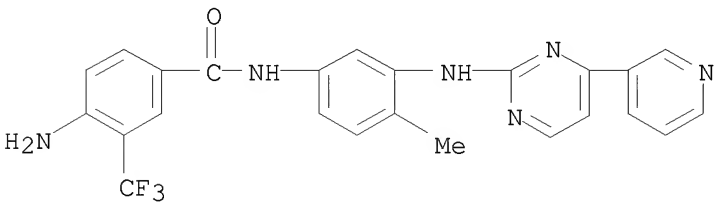
RN 1026746-77-9 CAPLUS
CN Benzamide, 4-(hydroxymethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 8 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:592487 CAPLUS
DN 149:95035
TI Combi-targeting concept: an optimized single-molecule dual-targeting model for the treatment of chronic myelogenous leukemia
AU Katsoulas, Athanasia; Rachid, Zakaria; McNamee, James P.; Williams, Christopher; Jean-Claude, Bertrand J.
CS Cancer Drug Research Laboratory, Department of Medicine, Division of Medical Oncology, McGill University Health Center/Royal Victoria Hospital, Montreal, QC, H3A 1A1, Can.
SO Molecular Cancer Therapeutics (2008), 7(5), 1033-1043
CODEN: MCTOCF; ISSN: 1535-7163
PB American Association for Cancer Research
DT Journal
LA English
AB Blockade of Bcr-Abl by the inhibitor Imatinib has proven efficacious in the therapy of chronic myelogenous leukemia (CML). However resistance to the drug emerges at the advanced phases of the disease. Therefore, novel therapy models remained to be designed. We have developed a novel dual targeted agent termed "combi-mol." designed to not only block Bcr-Abl but also damage DNA. ZRF1, the first optimized prototype of the approach, was "programmed" to degrade into another inhibitor ZRF0 plus a Me diazonium species. It was .apprx.2-fold stronger Abl tyrosine kinase inhibitor than Imatinib and a more potent DNA-damaging agent than Temodal. In the p53 wild-type Mo7p210 cells, the potency of ZRF1 was .apprx.1,000-fold superior to that of the equieffective combinations of Imatinib plus Temodal. More importantly, its superior potency over Imatinib was more pronounced in Bcr-Abl-pos. cells coexpressing wild-type p53. Studies to rationalize these results showed that, through its Bcr-Abl inhibitory function, it down-regulated p53. However, sufficient level of the latter protein was available for transactivating p21 and Bax, which are required for cell cycle arrest and apoptosis. The results suggest that, in p53 wild-type cells, apoptosis is induced not only through Bcr-Abl inhibition but also through the p53-controlled DNA-damaging pathway, leading to an additive effect that translates into enhanced cell death. The study conclusively showed that p53 is a major determinant for the cytotoxic advantages of the novel combi-mol. approach in CML, a disease in which 70% to 85% of all the cases express wild-type p53.
IT 945028-59-1 945028-65-9
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combi-targeting concept and an optimized single-mol. dual-targeting model for treatment of chronic myelogenous leukemia)
RN 945028-59-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(3-methyl-2-triazen-1-yl)-3-(trifluoromethyl)- (CA INDEX NAME)

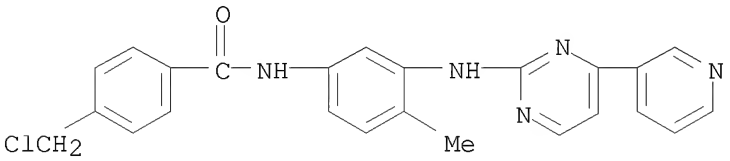


RN 945028-65-9 CAPLUS
CN Benzamide, 4-amino-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

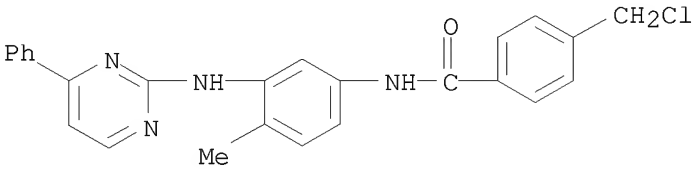


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:466589 CAPLUS
DN 148:538211
TI A Facile Total Synthesis of Imatinib Base and Its Analogues
AU Liu, Yi-Feng; Wang, Cui-Ling; Bai, Ya-Jun; Han, Ning; Jiao, Jun-Ping; Qi, Xiao-Li
CS Applied Chemical Institute, Northwest University, Xi'an, 710069, Peop. Rep. China
SO Organic Process Research & Development (2008), 12(3), 490-495
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 148:538211
AB Imatinib (I) and its analogs were successfully synthesized by an improved method in 19.5-46.2% total yield of six main steps. 2-Pyrimidinamines were prepared by heterocyclization of (dimethylamino)propenone enaminones with guanidine nitrate without the use of a toxic cyanamide. N-(2-Methyl-5-nitrophenyl)pyrimidinamine key intermediates were prepared by Cu-catalyzed arylation of 2-pyrimidinamines with 2-bromo-4-nitrotoluene. CuI was used instead of expensive Pd compds. in this C-N bond-forming reaction. Intermediate (pyrimidinylamino)nitrobenzenes were reduced by a N2H4·H2O/FeCl3 system using water as a solvent in good yields.
IT 404844-11-7P 726192-77-4P 881677-39-0P
1024585-66-7P 1024585-67-8P 1024585-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(heteroamination of [[(het)arylpyrimidinyl]amino]toluidine (chloromethyl)benzamides in the preparation of Imatinib and its analogs)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

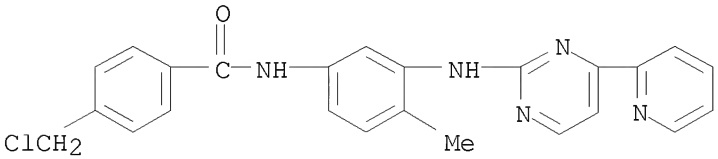


RN 726192-77-4 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[(4-phenyl-2-pyrimidinyl)amino]phenyl]- (CA INDEX NAME)

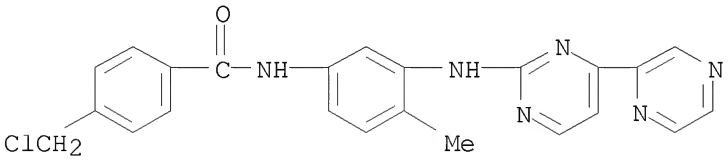


RN 881677-39-0 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(2-pyridinyl)-2-

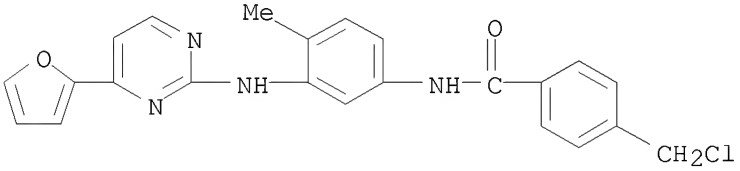
pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



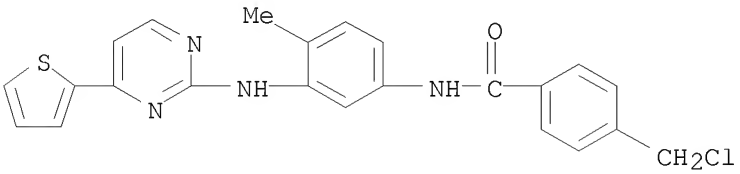
RN 1024585-66-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 1024585-67-8 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[3-[[4-(2-furanyl)-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



RN 1024585-68-9 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(2-thienyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

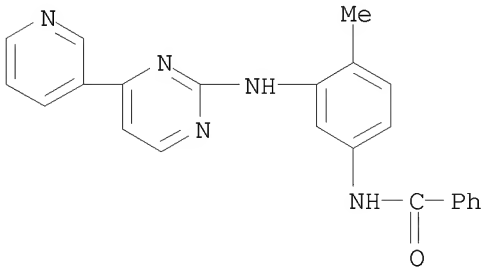


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

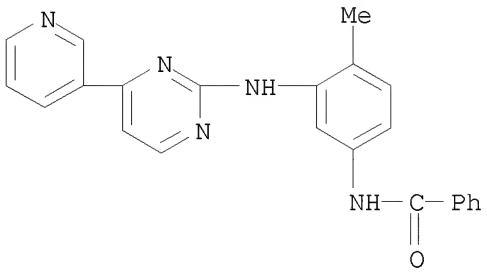
L11 ANSWER 10 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:1425431 CAPLUS
DN 148:45779
TI Method of treating inflammatory diseases using tyrosine kinase inhibitors
IN Robinson, William H.; Paniagua, Ricardo T.
PA The Board of Trustees of the Leland Stanford Junior University, USA
SO PCT Int. Appl., 84pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007143146	A2	20071213	WO 2007-US13033	20070531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080032989	A1	20080207	US 2007-809515	20070531
PRAI US 2006-810030P	P	20060531		

AB Methods for treating and preventing inflammatory diseases using tyrosine kinase inhibitors are described. The inhibitors inhibit, e.g., T lymphocyte and/or B lymphocyte function, fibroblast proliferation, mast cells activation, and/or monocyte differentiation.
IT 152459-94-4, CGP53716
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating inflammatory diseases using tyrosine kinase inhibitors)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 11 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:1290472 CAPLUS
DN 148:440631
TI The Synergistic Action of a VEGF-Receptor Tyrosine-Kinase Inhibitor and a Sensitizing PDGF-Receptor Blocker Depends upon the Stage of Vascular Maturation
AU Hlushchuk, Ruslan; Baum, Oliver; Gruber, Guenther; Wood, Jeanette; Djonov, Valentin
CS Institute of Anatomy, University of Bern, Bern, Switz.
SO Microcirculation (New York, NY, United States) (2007), 14(8), 813-825
CODEN: MROCER; ISSN: 1073-9688
PB Informa Healthcare
DT Journal
LA English
AB Objective: To investigate the effects of tyrosine-kinase inhibitors of vascular endothelial growth factor (VEGF) and platelet-derived growth factor (PDGF)-receptors on non-malignant tissue and whether they depend upon the stage of vascular maturation. Materials and methods: PTK787/ZK222584 and CGP53716 (VEGF- and PDGF-receptor inhibitor resp.), both alone and combined, were applied on chicken chorioallantoic membrane (CAM). Results: On embryonic day of CAM development (E)8, only immature microvessels, which lack coverage of pericytes, are present; whereas the microvessels on E12 have pericytic coverage. This development was reflected in the expression levels of pericytic markers (α -smooth muscle actin, PDGF-receptor ss and desmin), which were found by immunoblotting to progressively increase between E8 and E12. Monotherapy with 2 μ g of PTK787/ZK222584 induced significant vasodegeneration on E8, but not on E12. Monotherapy with CGP53716 affected only pericytes. When CGP53716 was applied prior to treatment with 2 μ g of PTK787/ZK222584, vasodegeneration occurred also on E12. The combined treatment increased the apoptotic rate, as evidenced by the cDNA levels of caspase-9 and the TUNEL-assay. Conclusion: Anti-angiogenic treatment strategies for non-neoplastic disorders should aim to interfere with the maturation stage of the target vessels: monotherapy with VEGF-receptor inhibitor for immature vessels, and combined anti-angiogenic treatment for well developed mature vasculature.
IT 152459-94-4, CGP53716
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined treatment with PDGF-receptor inhibitor CGP53716 and VEGF-receptor tyrosine-kinase inhibitor PTK787 synergistically induced vasodegeneration on mature compared to immature chicken chorioallantoic membrane)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



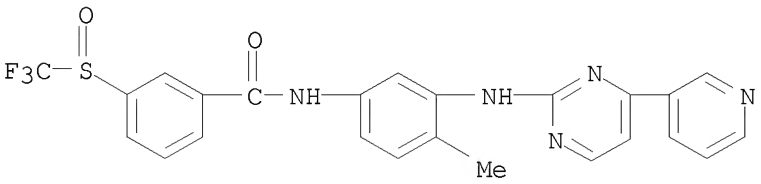
RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:1118768 CAPLUS
DN 147:427361
TI Preparation of (phenylamino)pyrimidine derivatives as inhibitors of bcr-abl kinase for treatment of chronic myeloid leukemia
IN Kompella, Amala Kishan; Adibhatla Kali Satya, Bhujanga Rao; Rachakonda, Sreenivas; Podili, Khadgapathi; Venkaiah Chowdary, Nannapaneni
PA Natco Pharma Limited, India
SO U.S. Pat. Appl. Publ., 29pp., Cont.-in-part of Appl. No. PCT/IN2005/000243.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070232633	A1	20071004	US 2007-714565	20070305
	IN 2004CH00908	A	20061103	IN 2004-CH908	20040909
	WO 2006027795	A1	20060316	WO 2005-IN243	20050719
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 20080249121	A1	20081009	US 2008-42240	20080304
	US 20080306100	A1	20081211	US 2008-42235	20080304
PRAI	IN 2004-CH908	A	20040909		
	WO 2005-IN243	A2	20050719		
	US 2007-714565	A2	20070305		

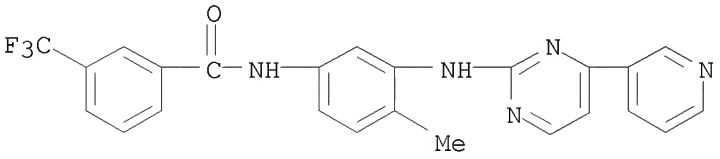
OS CASREACT 147:427361; MARPAT 147:427361
AB The present invention relates to novel intermediates useful for the preparation of novel phenylaminopyrimidine derivs. Pharmaceutical composition containing the novel phenylaminopyrimidine derivs. and processes for their preparation are disclosed. The invention particularly relates to novel Ph pyrimidine amine derivs. of the general formula I (wherein X is CH or N; n =1-2; R = H or Me; Y is absent, S, SO, or SO2). The novel compds. of the formula I can be used in the therapy of chronic myeloid leukemia (CML). Since the IC50 values of these mols. are in the range 0.1 to 10.0 nm, these novel compds. are potentially useful for the treatment of CML. Example compound II was prepared by reacting (3-trifluoromethyl)-N-(3-guanidino-4-methylphenyl)benzamide nitrate (preparation given) with 3-dimethylamino-1-pyridin-3-ylpropenone.
IT 951306-13-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of (phenylamino)pyrimidine derivs. as inhibitors of bcr-abl kinase for treatment of chronic myeloid leukemia)
RN 951306-13-1 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-[(trifluoromethyl)sulfinyl]- (CA INDEX NAME)

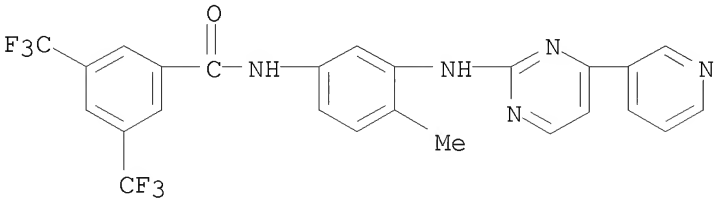


IT 879507-24-1P 879507-25-2P 879507-26-3P
951306-05-1P, 3-(Trifluoromethylthio)-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 951306-10-8P, 3-(Trifluoromethylsulfonyl)-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 951306-14-2P 951306-15-3P
951306-16-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (phenylamino)pyrimidine derivs. as inhibitors of bcr-abl kinase for treatment of chronic myeloid leukemia)

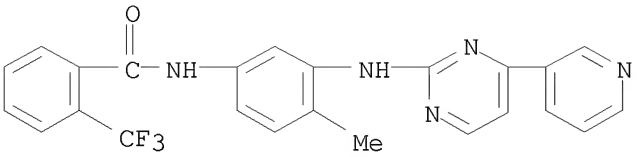
RN 879507-24-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



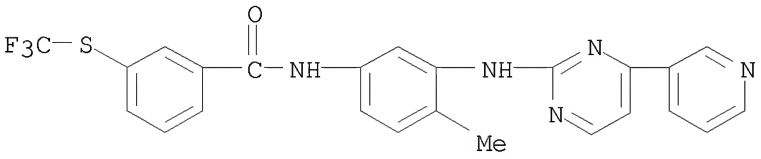
RN 879507-25-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)



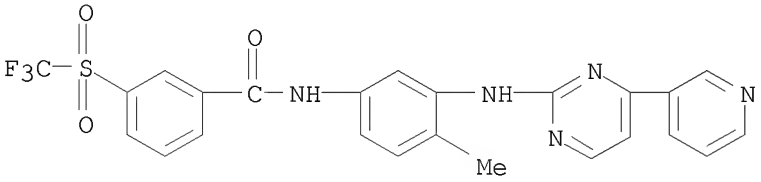
RN 879507-26-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)



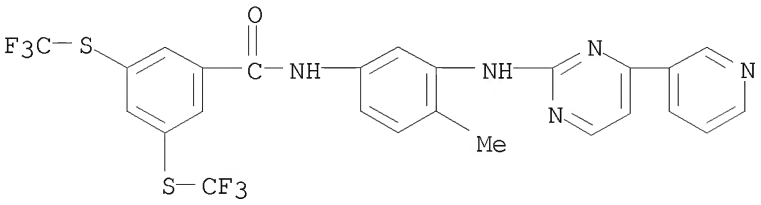
RN 951306-05-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-[(trifluoromethyl)thio]- (CA INDEX NAME)



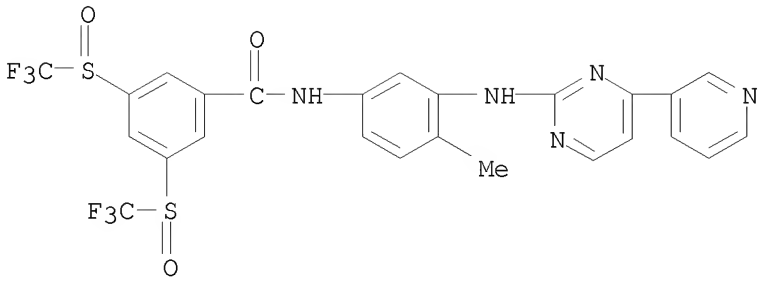
RN 951306-10-8 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-[(trifluoromethyl)sulfonyl]- (CA INDEX NAME)



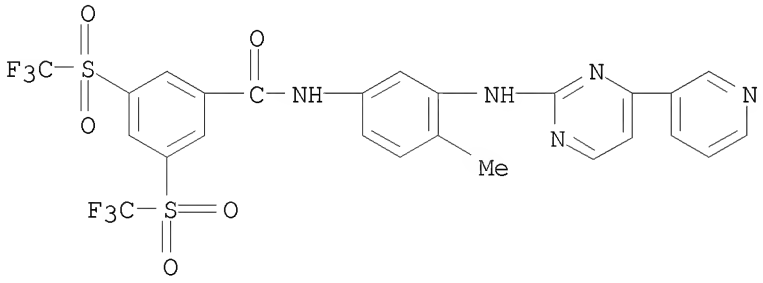
RN 951306-14-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3,5-bis[(trifluoromethyl)thio]- (CA INDEX NAME)



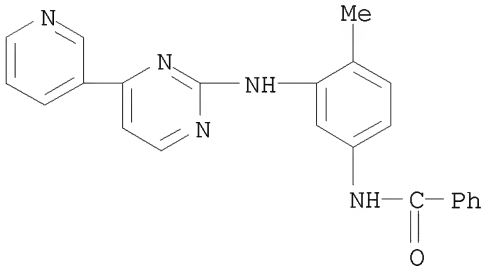
RN 951306-15-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3,5-bis[(trifluoromethyl)sulfinyl]- (CA INDEX NAME)



RN 951306-16-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3,5-bis[(trifluoromethyl)sulfonyl]- (CA INDEX NAME)

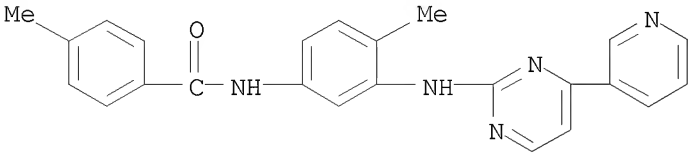


L11 ANSWER 13 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:996289 CAPLUS
DN 148:509389
TI Structural investigation of PAP derivatives by CoMFA and CoMSIA reveals novel insight towards inhibition of Bcr-Abl oncoprotein
AU San Juan, Amor A.
CS Life Science Division, Korea Institute of Science and Technology, Cheongryang, Seoul, 130-650, S. Korea
SO Journal of Molecular Graphics & Modelling (2007), 26(2), 482-493
CODEN: JMGMFI; ISSN: 1093-3263
PB Elsevier B.V.
DT Journal
LA English
AB Mol. modeling by 3D-QSAR comparative mol. field anal. (CoMFA) and comparative mol. similarity indexes anal. (CoMSIA) were employed on a series of phenylaminopyrimidine-based (PAP) Bcr-Abl inhibitors. The chemical structures of 63 PAP analogs were aligned using a template extracted from the crystal structure of STI571 bound to Abl kinase. Subsequently, the structures built were divided into training and test sets that include 53 and 10 compds., resp. Statistical results showed that the 3D-QSAR models generated from CoMSIA were superior to CoMFA (CoMSIA; q2 = 0.66, r2 = 0.94, N = 3, F = 139.09, r2pred = 0.64 while CoMFA; q2 = 0.53, r2 = 0.73, N = 3, F = 43.53, r2pred = 0.61). Based on the contour interpretation, the attachment of hydrophobic and bulky groups to the Ph and pyrrolidine (D- and E-ring of NS-187, resp.) along with highly electroneg. groups around the D-ring are important structural features for the design of second-generation Bcr-Abl inhibitors. The generated models are predictive based on reproducible values of the predicted compared with exptl. activities in the test set. Further, the complementary anal. of contour maps to the Bcr-Abl binding site suggested the anchor points for binding affinity.
IT 152459-94-4 152459-96-6 152459-98-8
152459-99-9 623901-01-9 623901-03-1
623901-04-2 623901-05-3
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structural investigation of phenylaminopyrimidine-based derivs. by CoMFA and CoMSIA reveals novel insight towards inhibition of Bcr-Abl oncoprotein)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

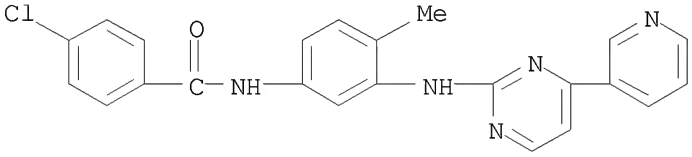


RN 152459-96-6 CAPLUS

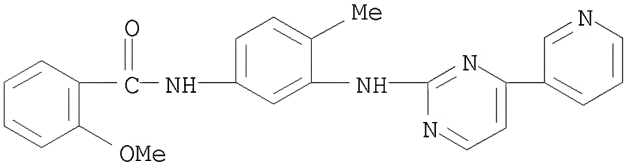
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



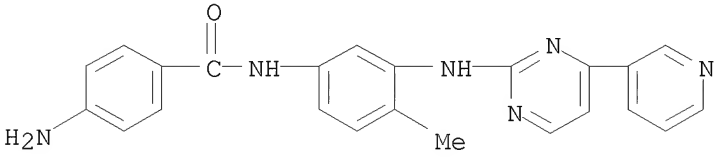
RN 152459-98-8 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



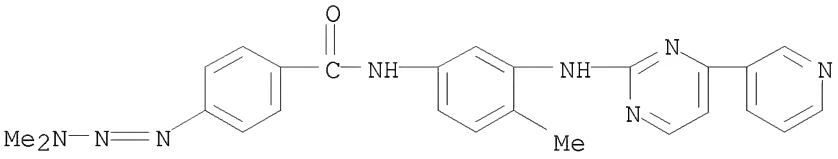
RN 152459-99-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



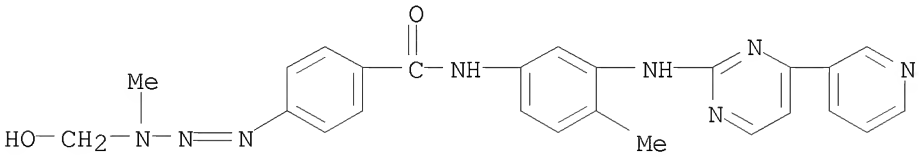
RN 623901-01-9 CAPLUS
CN Benzamide, 4-amino-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



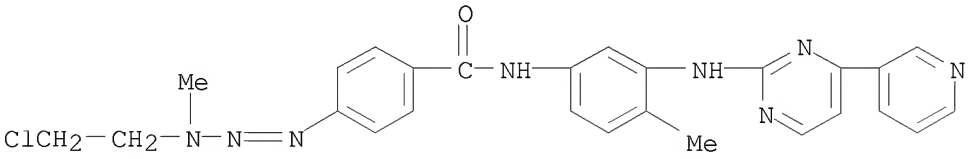
RN 623901-03-1 CAPLUS
CN Benzamide, 4-(3,3-dimethyl-1-triazen-1-yl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 623901-04-2 CAPLUS
CN Benzamide, 4-[3-(hydroxymethyl)-3-methyl-1-triazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

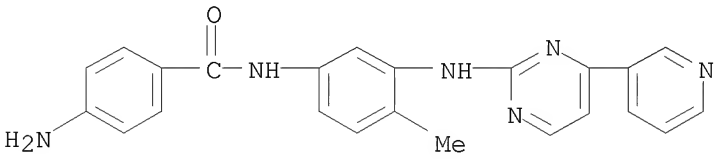


RN 623901-05-3 CAPLUS
CN Benzamide, 4-[3-(2-chloroethyl)-3-methyl-1-triazen-1-yl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

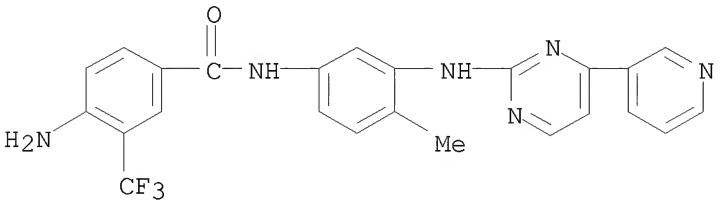


RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:746469 CAPLUS
DN 147:202995
TI Optimization of novel combi-molecules: Identification of balanced and mixed bcr-abl/DNA targeting properties
AU Rachid, Zakaria; Katsoulas, Athanasia; Williams, Christopher; Larroque, Anne-Laure; McNamee, James; Jean-Claude, Bertrand J.
CS Chemical Computing Group Inc., Montreal, QC, H3A 2R7, Can.
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(15), 4248-4253
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:202995
AB Steps toward the identification of combi-mols. with strong abl tyrosine kinase (TK) inhibitory property and significant DNA damaging potential are described. The optimized combi-mol. (I) was shown to induce approx. twofold stronger abl TK inhibitory activity than Gleevec and high levels of DNA damage in chronic myelogenous leukemic cells.
IT 623901-01-9P 945028-65-9P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(bcr-abl/DNA targeting compds.)
RN 623901-01-9 CAPLUS
CN Benzamide, 4-amino-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

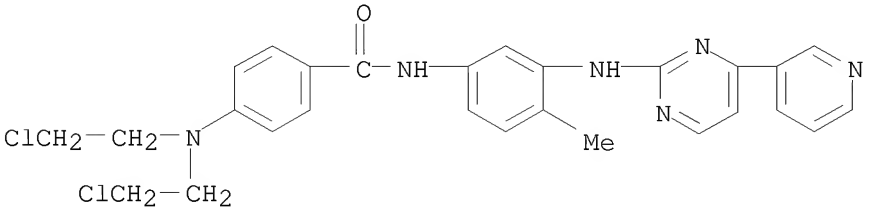


RN 945028-65-9 CAPLUS
CN Benzamide, 4-amino-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

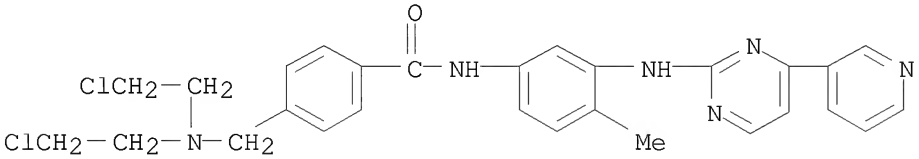


IT 945028-55-7P 945028-58-0P 945028-59-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bcr-abl/DNA targeting compds.)
RN 945028-55-7 CAPLUS

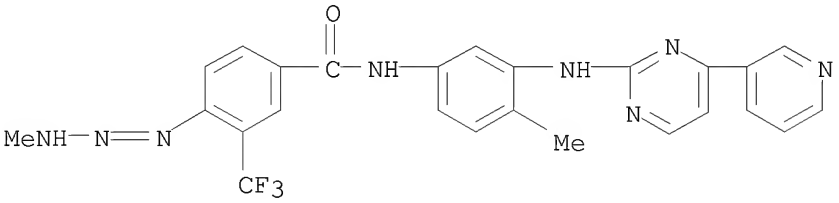
CN Benzamide, 4-[bis(2-chloroethyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



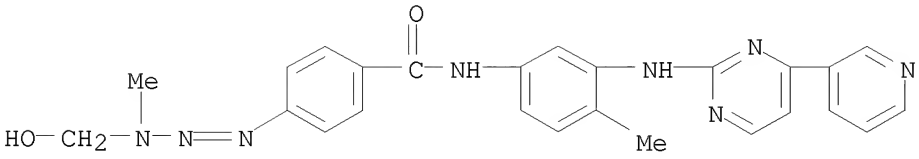
RN 945028-58-0 CAPLUS
CN Benzamide, 4-[[bis(2-chloroethyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



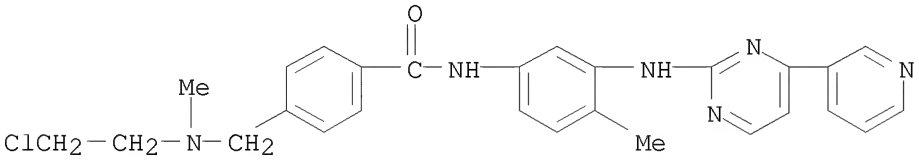
RN 945028-59-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(3-methyl-2-triazen-1-yl)-3-(trifluoromethyl)- (CA INDEX NAME)



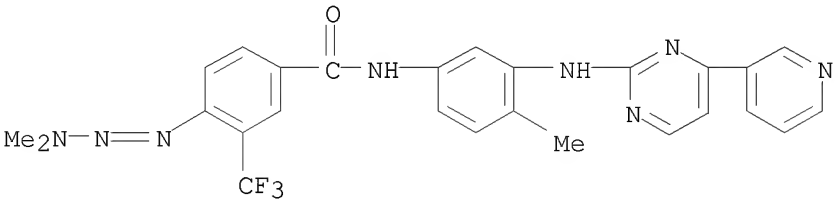
IT 623901-04-2P 945028-57-9P 945028-60-4P
945028-61-5P 945028-62-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bcr-abl/DNA targeting compds.)
RN 623901-04-2 CAPLUS
CN Benzamide, 4-[3-(hydroxymethyl)-3-methyl-1-triazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



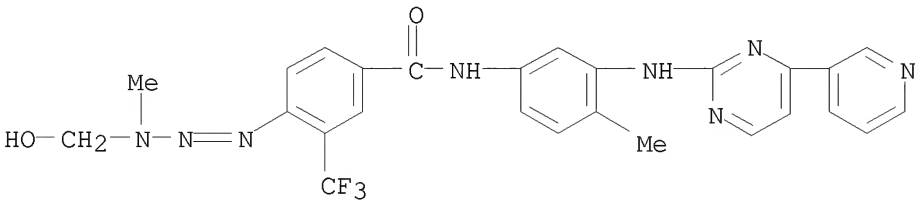
RN 945028-57-9 CAPLUS
CN Benzamide, 4-[[(2-chloroethyl)methylamino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



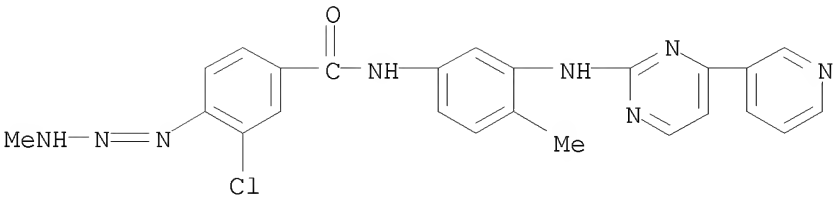
RN 945028-60-4 CAPLUS
CN Benzamide, 4-[2-(dimethylamino)diazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



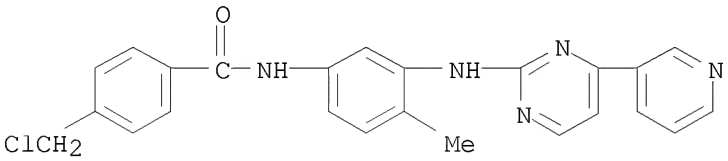
RN 945028-61-5 CAPLUS
CN Benzamide, 4-[2-[(hydroxymethyl)methylamino]diazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



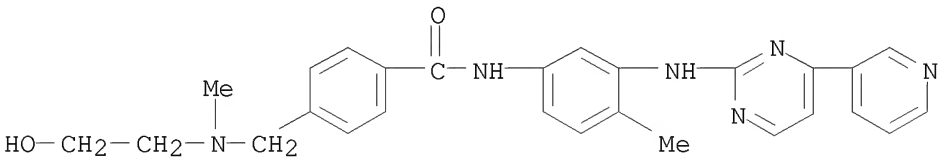
RN 945028-62-6 CAPLUS
CN Benzamide, 3-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(3-methyl-2-triazen-1-yl)- (CA INDEX NAME)



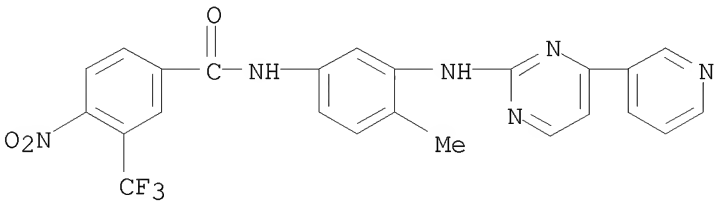
IT 404844-11-7P 945028-56-8P 945028-63-7P
945028-64-8P 945028-66-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(bcr-abl/DNA targeting compds.)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 945028-56-8 CAPLUS
CN Benzamide, 4-[[(2-hydroxyethyl)methylamino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

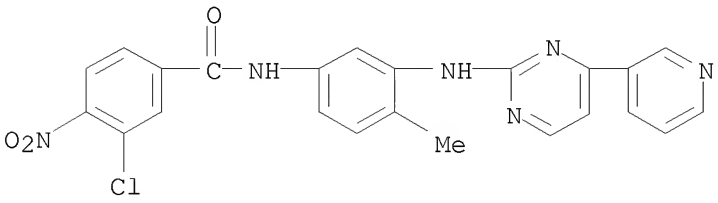


RN 945028-63-7 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-nitro-3-(trifluoromethyl)- (CA INDEX NAME)

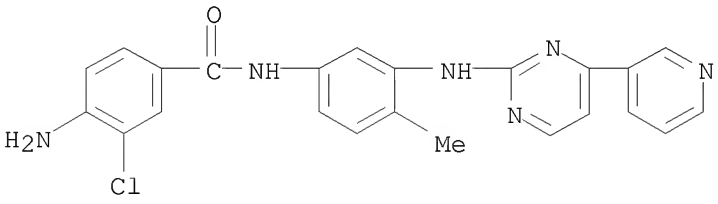


RN 945028-64-8 CAPLUS
CN Benzamide, 3-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-

pyrimidinyl]amino]phenyl]-4-nitro- (CA INDEX NAME)



RN 945028-66-0 CAPLUS
CN Benzamide, 4-amino-3-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

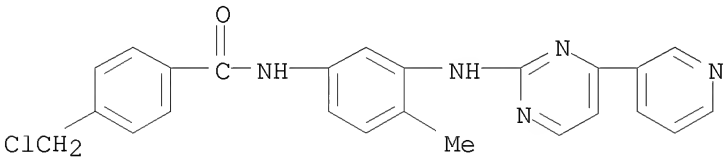


RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:418588 CAPLUS
DN 147:257793
TI Preparation of the imatinib
IN Kompella, Amala; Srinivas, Rachakonda; Rao, Adibhatla Kali Satya Bhujanga; Nannapaneni, Venkaiah Chowdary
PA Natco Pharma Limited, India
SO Indian Pat. Appl., 33pp.
CODEN: INXXBQ
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IN 2003MA00462	A	20070209	IN 2003-MA462	20030606
PRAI IN 2003-MA462		20030606		

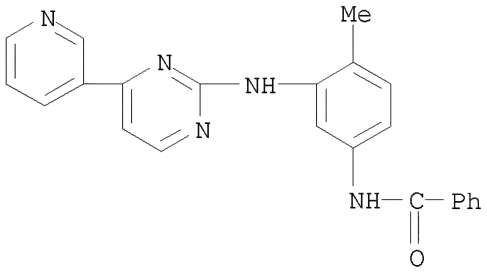
OS CASREACT 147:257793
AB A process for the preparation of title compound I was disclosed. For example, N-alkylation of N-methylpiperazine with benzyl chloride II afforded title compound I in 61% yield. Of note, purification via column chromatog. is avoided at all stages in the preparation of title compound I.
IT 404844-11-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of the imatinib)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 16 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:150876 CAPLUS
DN 146:185242
TI Triflusal-containing polymers for stent coating
IN San Roman Del Barrio, Julio; Rodriguez-Crespo, Gema; Fernandez-Gutierrez, Mar; Gallardo-Ruiz, Alberto; Duocastella-Codina, Luis; Molina-Crisol, Maria
PA J. Uriach y Compania S.A., Spain
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007014787	A1	20070208	WO 2006-EP9156	20060920
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1767552	A1	20070328	EP 2005-380204	20050921
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	AU 2006274995	A1	20070208	AU 2006-274995	20060920
	EP 1940894	A1	20080709	EP 2006-792186	20060920
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, RS				
	JP 2009509013	T	20090305	JP 2008-531610	20060920
	MX 2008003738	A	20080404	MX 2008-3738	20080318
	KR 2008059234	A	20080626	KR 2008-709344	20080418
	IN 2008CN01960	A	20090206	IN 2008-CN1960	20080421
	US 20080249617	A1	20081009	US 2008-67563	20080423
PRAI	EP 2005-380204	A	20050921		
	WO 2006-EP9156	W	20060920		

AB New triflusal-containing polymeric compds. resulting from the polymerization of 2-(methacryloyloxy)ethyl 2-acetyloxy-4-(trifluoromethyl)benzoate with Bu acrylate are described. These new polymers exhibit good adhesion and crack-bridging properties and are particularly suitable for the coating of stents.
IT 152459-94-4, CGP-53716
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triflusal-containing polymers for stent coating)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

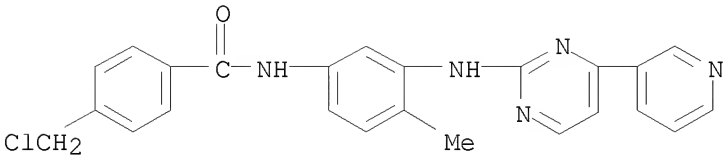


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:1337398 CAPLUS
DN 146:81891
TI Process for preparation of isotopically labeled imatinib and intermediates
IN Salter, Rhys; Rodriguez Perez, Maria Ines; Moenius, Thomas; Voges, Rolf; Andres, Hendrik; Bordeaux, Kirk
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SO PCT Int. Appl., 36pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006133904	A2	20061221	WO 2006-EP5676	20060613
	WO 2006133904	A3	20070322		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2006257316	A1	20061221	AU 2006-257316	20060613
	CA 2610193	A1	20061221	CA 2006-2610193	20060613
	EP 1896447	A2	20080312	EP 2006-754340	20060613
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2009501137	T	20090115	JP 2008-516217	20060613
	IN 2007DN09474	A	20080627	IN 2007-DN9474	20071207
	CN 101198601	A	20080611	CN 2006-80020947	20071212
	MX 2007015876	A	20080304	MX 2007-15876	20071213
	KR 2008042066	A	20080514	KR 2008-700866	20080111
PRAI	GB 2005-12091	A	20050614		
	WO 2006-EP5676	W	20060613		

OS MARPAT 146:81891
AB This invention relates to a new process for preparation of isotopically labeled imatinib and intermediates. For example, 4-chloromethyl-N-[4-methyl-3-[4-(1-oxido-3-pyridinyl)-[2-14C]-pyrimidin-2-ylamino]phenyl]benzamide hydrochloride (preparation given) was reacted with 1-methylpiperazine in ethanol, followed by the addition of methanesulfonic acid to give methanesulfonate of I [X = 14C]. Isotopically labeled intermediates were also described.
IT 404844-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of isotopically labeled imatinib and intermediates)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:1226019 CAPLUS
DN 146:7975
TI Preparation of pyrrolopyridines as protein kinase inhibitors
IN Okram, Barun; Ren, Pingda; Gray, Nathanael S.
PA IRM LLC, Bermuda; The Scripps Research Institute
SO PCT Int. Appl., 51pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

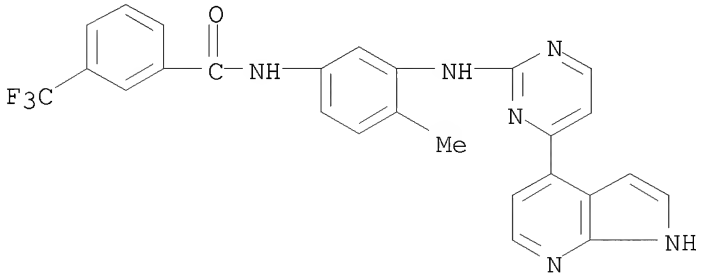
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006124863	A2	20061123	WO 2006-US18868	20060515
	WO 2006124863	A3	20070125		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2006247322	A1	20061123	AU 2006-247322	20060515
	CA 2608333	A1	20061123	CA 2006-2608333	20060515
	EP 1896470	A2	20080312	EP 2006-759904	20060515
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2008540664	T	20081120	JP 2008-512430	20060515
	MX 2007014327	A	20080211	MX 2007-14327	20071115
	KR 2008016643	A	20080221	KR 2007-729309	20071214
	IN 2007DN09783	A	20080118	IN 2007-DN9783	20071217
	CN 101218241	A	20080709	CN 2006-80025008	20080108
	US 20080300267	A1	20081204	US 2008-914210	20080402
PRAI	US 2005-681853P	P	20050516		
	WO 2006-US18868	W	20060515		

OS MARPAT 146:7975
AB The title compds. I-III [n = 0-2; R1 = halo, (halo)alkyl, (halo)alkoxy; R2 = (un)substituted arylalkyl or heteroaryl; X = CR7 or N (wherein R7 = H, alkyl)], useful in treating or preventing diseases or disorders associated with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of the CDKs, Aurora, Jak2, Rock, CAMKII, FLT3, Tie2, TrkB, FGFR3 and KDR kinases, were prepared E.g., a multi-step synthesis of IV, starting from 7-azaindole, was given. Compds. I-III showed IC50's in the range of 10 nM to 2 μM when tested in FGFR3 enzymic assay. Pharmaceutical compns. comprising compds. I-III are disclosed.
IT 915414-58-3P 915414-69-6P 915414-77-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyridines as novel protein kinase inhibitors useful in treatment and prevention of diseases associated with abnormal or

deregulated protein kinase activity)

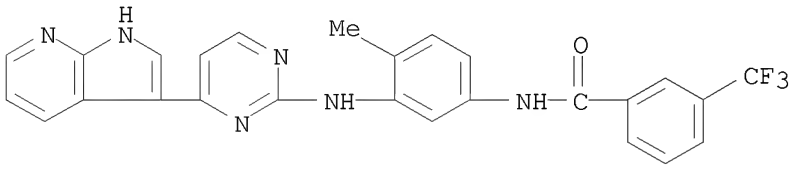
RN 915414-58-3 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(1H-pyrrolo[2,3-b]pyridin-4-yl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



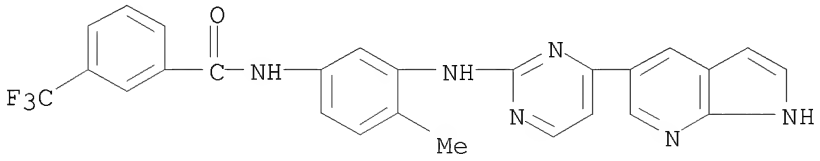
RN 915414-69-6 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 915414-77-6 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(1H-pyrrolo[2,3-b]pyridin-5-yl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

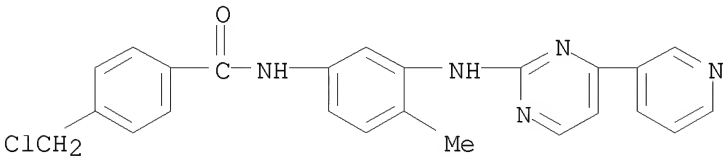


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

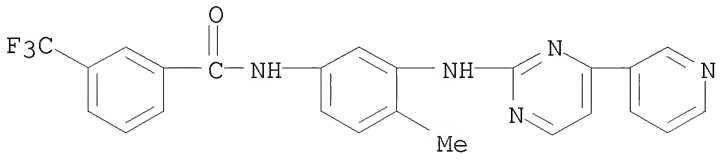
L11 ANSWER 19 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:1013769 CAPLUS
DN 145:356807
TI Method for preparation of 4-pyridine-3-yl-2-[4-methyl-3-(benzamido)phenylamino]pyrimidine derivatives and application as pharmaceutical compositions
IN Zheng, Shu; Xu, Rongzhen; Chen, Hongxiang
PA Hangzhou New Rayjay Biomed Corporation, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 19pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1706840	A	20051214	CN 2004-10009181	20040607
PRAI CN 2004-10009181		20040607		

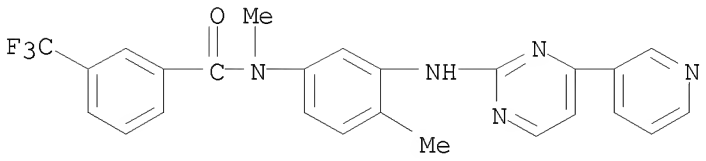
OS CASREACT 145:356807; MARPAT 145:356807
AB The title derivs. have the general formula I (R1 to R7 = H, C1-4 alkyl, lower alkyl substituted by -OH, -COR8, -CN, or -CONH2; R8 = C1-C4 alkyl, cycloalkyl, or cycloalkyl substituted by OH; and X = C1-C4 alkylene, -NHCO-, or -OCO-). Their preparation method comprises reacting 4-chloromethylbenzoyl chloride with 2-(5-aminophenylamino)-4-pyridine-3-yl-pyrimidine derivative to obtain N-[3-(4-pyridine-3-yl-pyrimidin-2-amino)phenyl]-4-chloromethylbenzamide derivative, then reacting with piperazine to generate title derivative hydrochloride, further reacting with methanesulfonic acid to obtain the final product. The claimed compds. can be used for treating leukemia and tumor, which have remarkable inhibiting effect on the growth of leukocyte in peripheral blood of leukemia patients. The claimed compds. can constitute compns. with pharmaceutically-acceptable adjuvants, and effective amount of one or more other known antileukemia or antitumor medicines for treating leukemia and tumor to reach synergistic effect.
IT 404844-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridinyl[methyl(benzamido)phenylamino]pyrimidine derivs. and application for treating leukemia)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 20 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:735123 CAPLUS
DN 146:223251
TI A General Strategy for Creating "Inactive-Conformation" Abl Inhibitors
AU Okram, Barun; Nagle, Advait; Adrian, Francisco J.; Lee, Christian; Ren, Pingda; Wang, Xia; Sim, Taebo; Xie, Yongping; Wang, Xing; Xia, Gang; Spraggon, Glen; Warmuth, Markus; Liu, Yi; Gray, Nathanael S.
CS Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SO Chemistry & Biology (Cambridge, MA, United States) (2006), 13(7), 779-786
CODEN: CBOLE2; ISSN: 1074-5521
PB Cell Press
DT Journal
LA English
AB Summary: Kinase inhibitors that bind to the ATP cleft can be broadly classified into two groups: Those that bind exclusively to the ATP site with the kinase assuming a conformation otherwise conducive to phosphotransfer (type I), and those that exploit a hydrophobic site immediately adjacent to the ATP pocket made accessible by a conformational rearrangement of the activation loop (type II). To date, all type II inhibitors were discovered by using structure-activity-guided optimization strategies. Here, we describe a general pharmacophore model of type II inhibition that enables a rational "hybrid-design" approach whereby a 3-trifluoromethylbenzamide functionality is appended to four distinct type I scaffolds in order to convert them into their corresponding type II counterparts. We demonstrate that the designed compds. function as type II inhibitors by using biochem. and cellular kinase assays and by cocrystallog. with Abl.
IT 879507-24-1P 924655-25-4P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and inhibition of Abl, tyrosine and serine/threonine kinases by inactive-conformation Abl inhibitors)
RN 879507-24-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 924655-25-4 CAPLUS
CN Benzamide, N-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

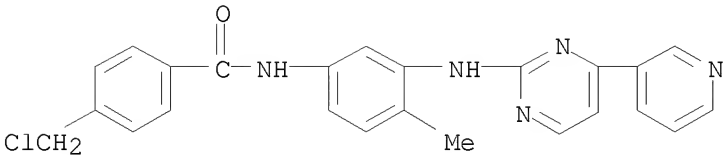


RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:656689 CAPLUS
DN 145:103728
TI A process for preparation of imatinib base
IN Szczepek, Wojciech; Luniewski, Wojciech; Kaczmarek, Lukasz; Zagrodzki, Bogdan; Samson-Lazinska, Dorota; Szelejewski, Wieslaw; Skarzynski, Maciej
PA Instytut Farmaceutyczny, Pol.
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006071130	A2	20060706	WO 2005-PL88	20051230
	WO 2006071130	A3	20060928		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1833815	A2	20070919	EP 2005-822030	20051230
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	US 20080194819	A1	20080814	US 2007-813212	20071121
PRAI	PL 2004-372016	A	20041230		
	PL 2005-376691	A	20050819		
	PL 2005-377984	A	20051108		
	WO 2005-PL88	W	20051230		

OS CASREACT 145:103728
AB The invention provides an improved process for preparation of imatinib base and its pharmaceutically-acceptable acid addition salts [imatinib is 4-[(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]benzamide]. The process involves reduction of N-(5-nitro-2-methylphenyl)-4-(3-pyridinyl)-2-pyrimidinamine (I) using hydrazine in the presence of Raney nickel, followed by condensation with 4-(chloromethyl)benzoyl chloride and then N-methylpiperazine. Compound I was obtained by reaction of 1-(2-methyl-5-nitrophenyl)guanidine nitrate (prepared from 2-methyl-5-nitroaniline and cyanamide) with 3-(dimethylamino)-1-(3-pyridinyl)prop-2-en-1-one (prepared from 3-acetylpyridine and DMF di-Me acetal).
IT 404844-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of imatinib base)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

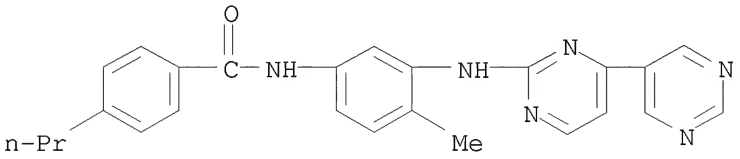


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

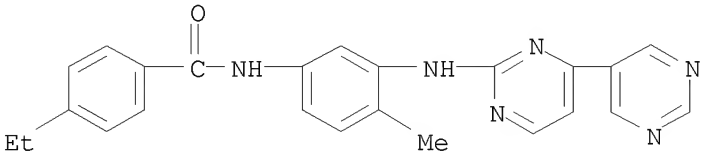
L11 ANSWER 22 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:359376 CAPLUS
DN 144:412523
TI Preparation of pyrimidines as c-kit tyrosine kinase inhibitors
IN Kagayama, Kohei; Oyamada, Arihiro
PA Nippon Shinyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2006104195	A	20060420	JP 2005-259929	20050907
PRAI JP 2004-259730	A	20040907		

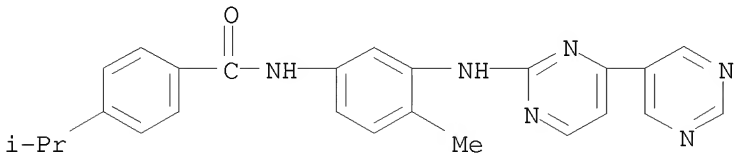
OS MARPAT 144:412523
AB Title compds. I [Ar = Q1, Q2; R1 = alkyl, cycloalkyl, alkenyl; R2 = alkyl, hydroxyalkyl] were prepared For example, BOP mediated amidation of 4-(n-propyl)benzoic acid with 4-methyl-3-[4-(5-pyrimidinyl)pyrimidin-2-ylamino]aniline afforded compound II. In c-kit tyrosine kinase self-phosphorylation inhibition assays, the IC50 value of compound II was 0.002 μM. Compds. I are claimed useful for the treatment of inflammation, cancer, etc.
IT 883751-31-3P 883751-32-4P 883751-33-5P
883751-34-6P 883751-36-8P 883751-37-9P
883751-38-0P 883751-39-1P 883751-41-5P
883751-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidines as c-kit tyrosine kinase inhibitors for treatment of inflammation, cancer, etc.)
RN 883751-31-3 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-propyl- (CA INDEX NAME)



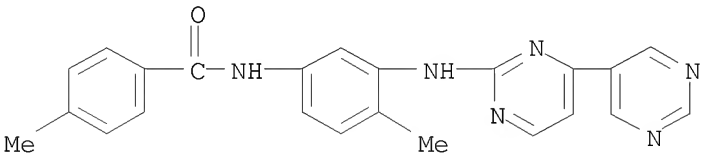
RN 883751-32-4 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-ethyl- (CA INDEX NAME)



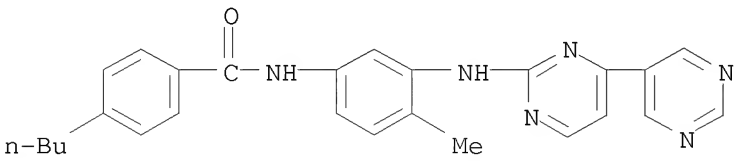
RN 883751-33-5 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-(1-methylethyl)- (CA INDEX NAME)



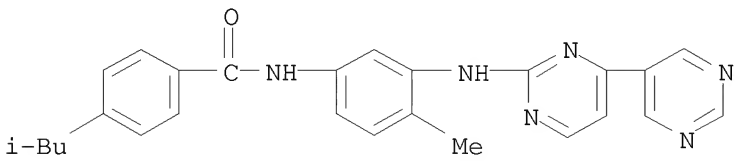
RN 883751-34-6 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-methyl- (CA INDEX NAME)



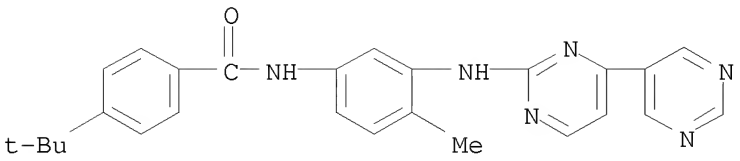
RN 883751-36-8 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-butyl- (CA INDEX NAME)



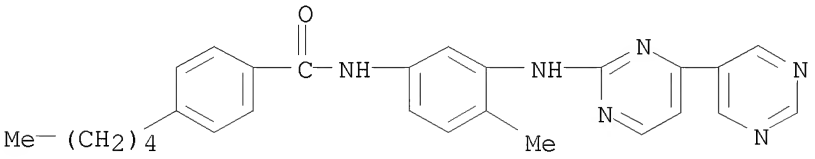
RN 883751-37-9 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-(2-methylpropyl)- (CA INDEX NAME)



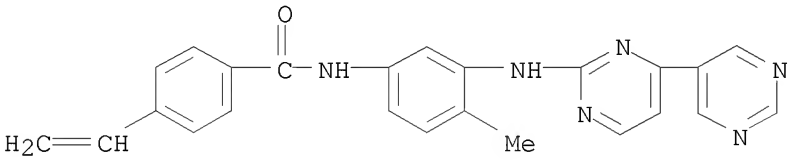
RN 883751-38-0 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-(1,1-dimethylethyl)- (CA INDEX NAME)



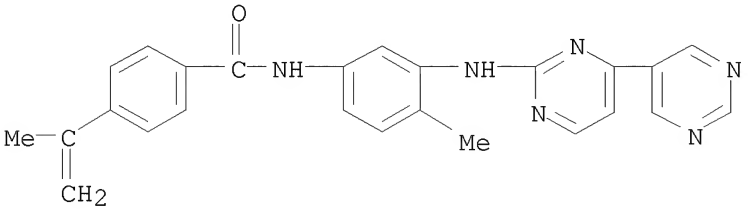
RN 883751-39-1 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-pentyl- (CA INDEX NAME)



RN 883751-41-5 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-ethenyl- (CA INDEX NAME)



RN 883751-42-6 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-(1-methylethenyl)- (CA INDEX NAME)



L11 ANSWER 23 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:333442 CAPLUS
DN 144:370121
TI Preparation of pyrimidine derivatives as phosphatase and kinase inhibitors for treating a variety of diseases
IN Klebl, Bert; Baumann, Matthias; Hoppe, Edmund; Brehmer, Dirk; Daub, Henrik; Keri, Gyoergy; Varga, Zoltan; Marosfalvi, Jenoe; Oerfi, Laszlo
PA GPC Biotech A.-G., Germany
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006021458	A2	20060302	WO 2005-EP9291	20050829
	WO 2006021458	A3	20070412		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	CA 2578122	A1	20060302	CA 2005-2578122	20050829
	EP 1786781	A2	20070523	EP 2005-785583	20050829
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	JP 2008510766	T	20080410	JP 2007-528759	20050829
	US 20080187575	A1	20080807	US 2007-661041	20070924
PRAI	US 2004-604685P	P	20040827		
	WO 2005-EP9291	W	20050829		

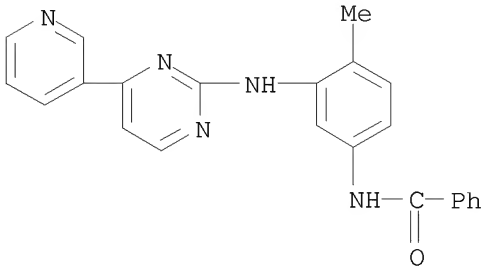
OS MARPAT 144:370121
AB The present invention relates to pyrimidine derivs. of general formula I (wherein R and R* = CH3, C2H5, R', R17; R' = H, F, Cl, CN, OCF3, NH2, SH, etc.; R17 = H, R', CH3, C2H5, CH=CH2, etc.; Z = NH-CO-R5, CO-NH-R5, NH-CS-R5, etc. or a substituted ring or ring system; R5 = H, R4, CH2R3, etc. or a substituted ring, e.g., Ph, naphthyl; R3, R4 = H, OH, SH, heterocyclic ring, etc.; X = a substituted ring or ring system), methods for their synthesis, and the use of said pyrimidine derivs. as pharmaceutically active agents, especially for the prophylaxis and/or treatment of cell proliferation disorders, cancer, leukemia, erectile dysfunction, cardiovascular diseases and disorders, inflammatory diseases, transplant rejection, immunol. diseases, neuroimmunol. diseases, autoimmune diseases, infective diseases including opportunistic infections, prion diseases and/or neurodegeneration. I are inhibitors of phosphatase and kinase, specifically selected from Abl, Akt, c-kit, EGF-R, GSK3b, JNK, Lck, PDGF-R, PknG, and ROCK2. Furthermore, the present invention relates to pharmaceutical compns. containing at least one pyrimidine derivative and/or pharmaceutically acceptable salts thereof as an active ingredient together with at least one pharmaceutically acceptable carrier, excipient or

diluents as well as to methods for prophylaxis and/or treatment of the above-mentioned diseases and disorders. For example, II was prepared from the appropriate amine and appropriate benzoyl chloride. G315The I that were tested were able to inhibit the amount of pathogenic prion protein PrPSc in infected cells at concentration between 5 and 20 μM. A method for detecting prion infections and/or prion diseases in a sample is also claimed, the method comprises administering I to a sample and detecting activity in said sample of the human cellular protein kinase Abl.

IT 152459-94-4P, N-[4-Methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 152459-96-6P, 4-Methyl-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 152459-98-8P, 4-Chloro-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 152459-99-9P, 2-Methoxy-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 404844-11-7P, 4-Chloromethyl-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-13-4P, 3,4,5-Trimethoxy-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-14-5P, 4-Cyano-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-15-6P, 4-Methoxy-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-18-9P, 3,5-Dimethoxy-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-19-0P, N-[4-Methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]-4-trifluoromethoxybenzamide 475587-25-8P, 3,4,5-Trimethoxy-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-26-9P, 4-Cyano-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-27-0P, 4-Chloro-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-29-2P, 4-Cyano-N-[4-methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-31-6P, 4-Methoxy-N-[4-methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-32-7P, 4-Chloro-N-[4-methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-38-3P, N-[4-Methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]-4-trifluoromethoxybenzamide 475587-43-0P, 3,5-Dimethoxy-N-[4-methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-44-1P, 3,4,5-Trimethoxy-N-[4-methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-46-3P, 4-Methoxy-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 475587-47-4P, 3,5-Dimethoxy-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 881674-72-2P, 4-Chloro-N-[3-[[4-[4-(imidazol-1-yl)phenyl]pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 881674-73-3P, N-[3-[[4-[4-(Imidazol-1-yl)phenyl]pyrimidin-2-yl]amino]-4-methylphenyl]-4-methylbenzamide 881674-75-5P, N-[3-[[4-[4-(Imidazol-1-yl)phenyl]pyrimidin-2-yl]amino]-4-methylphenyl]-3,4,5-trimethoxybenzamide 881674-76-6P, 4-Cyano-N-[3-[[4-[4-(imidazol-1-yl)phenyl]pyrimidin-2-yl]amino]-4-

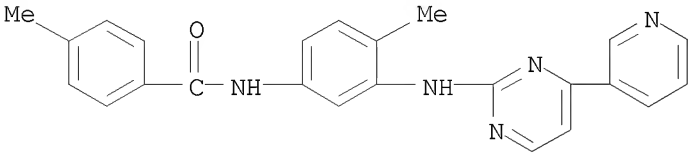
methylphenyl]benzamide 881674-80-2P,
N-[3-[[4-[4-(Imidazol-1-yl)phenyl]pyrimidin-2-yl]amino]-4-methylphenyl]-2-methoxybenzamide 881674-84-6P,
4-Chloromethyl-N-[3-[[4-[4-(imidazol-1-yl)phenyl]pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 881675-00-9P,
N-[3-[[4-(3,4-Dimethoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]-4-methylbenzamide 881675-01-0P,
4-Chloromethyl-N-[3-[[4-(3,4-dimethoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 881675-13-4P,
4-Chloro-N-[3-[[4-(3,4-dimethoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 881675-15-6P,
N-[3-[[4-(3,4-Dimethoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]-3,4,5-trimethoxybenzamide 881675-19-0P,
4-Cyano-N-[3-[[4-(3,4-dimethoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 881675-23-6P,
N-[3-[[4-(3,4-Dimethoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]-2-methoxybenzamide 881676-31-9P,
2-Methoxy-N-[4-methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 881676-36-4P,
N-[4-Methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 881676-40-0P, 4-Methyl-N-[4-methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]benzamide 881676-59-1P,
N-[3-[[4-(3,4-Dimethoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]-3-fluorobenzamide 881676-64-8P,
3-Fluoro-N-[3-[[4-[4-(imidazol-1-yl)phenyl]pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 881677-31-2P,
4-Bromo-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 881677-35-6P,
4-Methyl-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 881677-39-0P,
4-Chloromethyl-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 881677-41-4P,
2-Methoxy-N-[4-methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine derivs. as phosphatase and kinase inhibitors for treating diseases)

RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

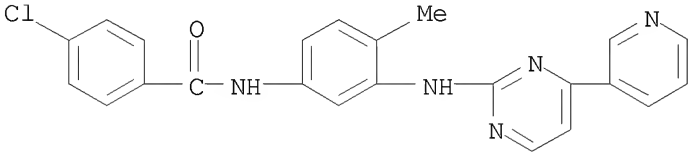


RN 152459-96-6 CAPLUS

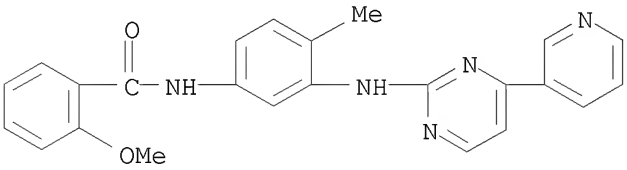
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



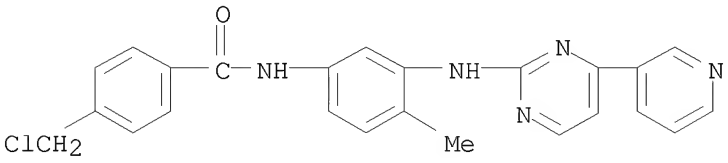
RN 152459-98-8 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



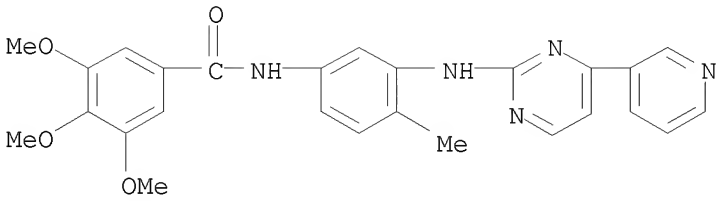
RN 152459-99-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



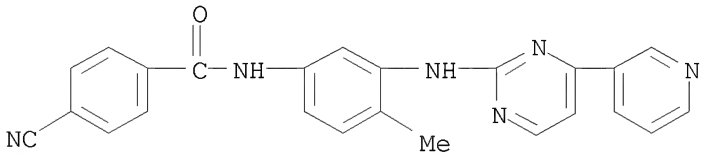
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



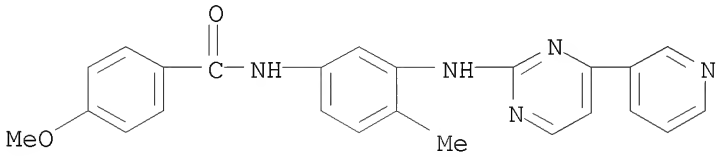
RN 475587-13-4 CAPLUS
CN Benzamide, 3,4,5-trimethoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



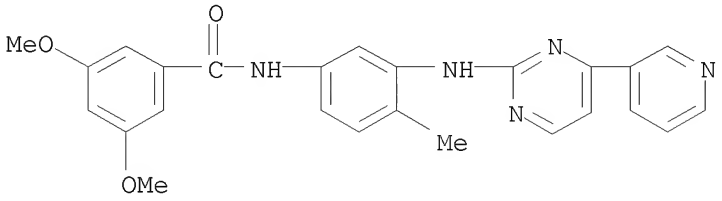
RN 475587-14-5 CAPLUS
CN Benzamide, 4-cyano-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



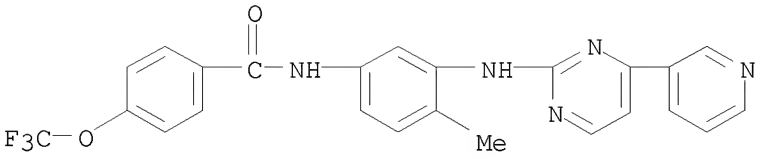
RN 475587-15-6 CAPLUS
CN Benzamide, 4-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



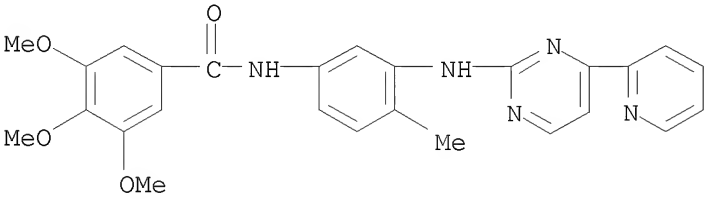
RN 475587-18-9 CAPLUS
CN Benzamide, 3,5-dimethoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



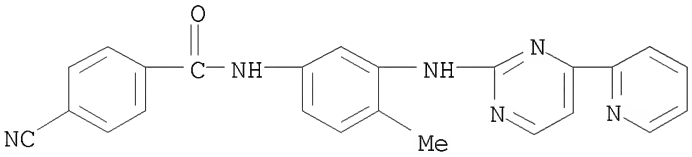
RN 475587-19-0 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)



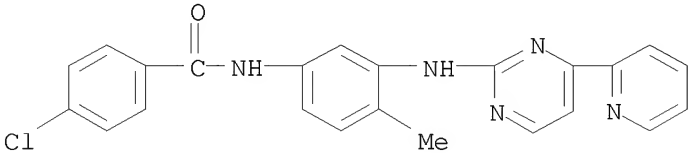
RN 475587-25-8 CAPLUS
CN Benzamide, 3,4,5-trimethoxy-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



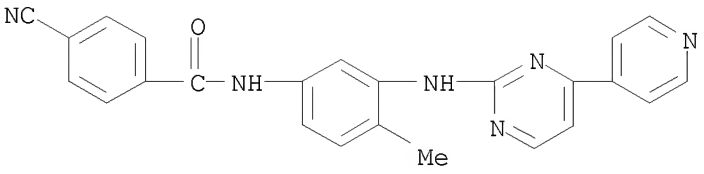
RN 475587-26-9 CAPLUS
CN Benzamide, 4-cyano-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



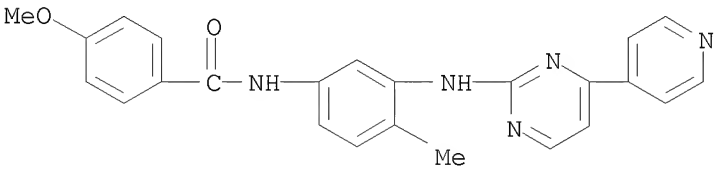
RN 475587-27-0 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



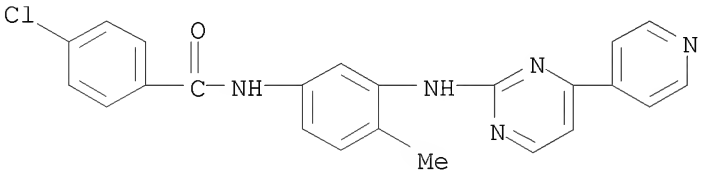
RN 475587-29-2 CAPLUS
CN Benzamide, 4-cyano-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



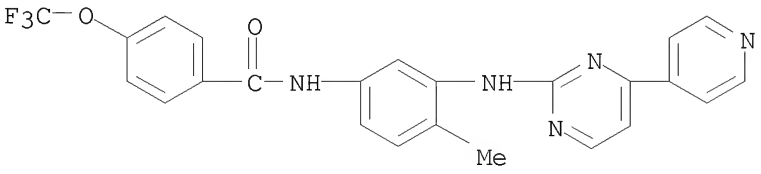
RN 475587-31-6 CAPLUS
CN Benzamide, 4-methoxy-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



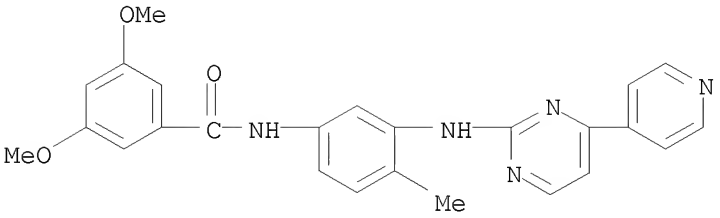
RN 475587-32-7 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



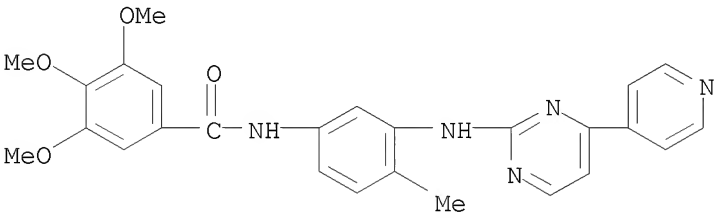
RN 475587-38-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)



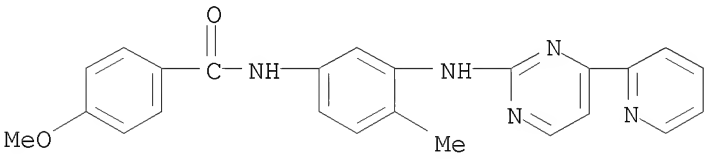
RN 475587-43-0 CAPLUS
CN Benzamide, 3,5-dimethoxy-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



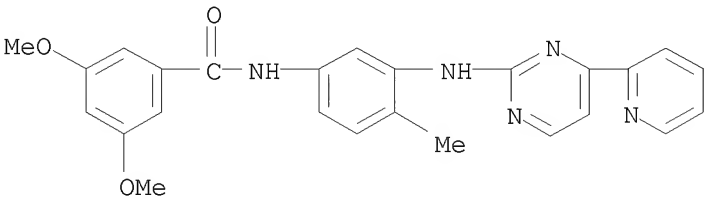
RN 475587-44-1 CAPLUS
CN Benzamide, 3,4,5-trimethoxy-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



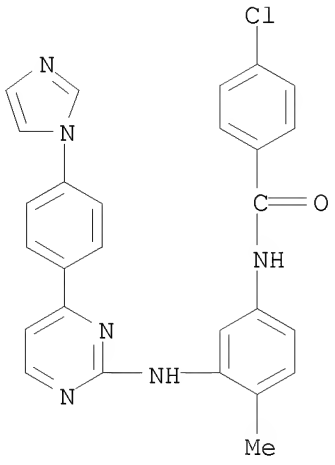
RN 475587-46-3 CAPLUS
CN Benzamide, 4-methoxy-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



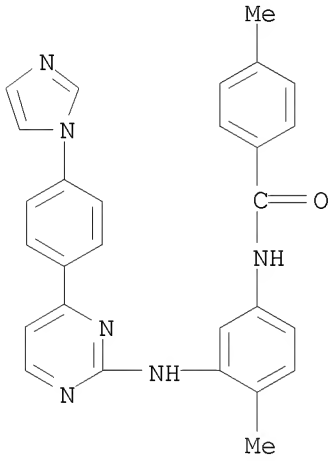
RN 475587-47-4 CAPLUS
CN Benzamide, 3,5-dimethoxy-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



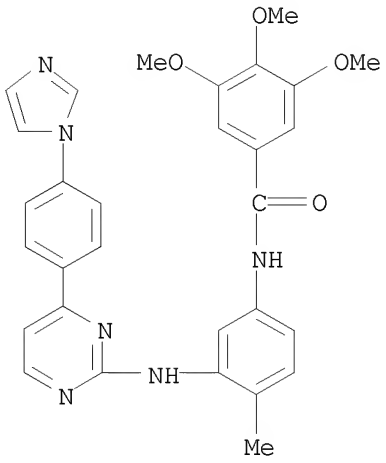
RN 881674-72-2 CAPLUS
CN Benzamide, 4-chloro-N-[3-[[4-[4-(1H-imidazol-1-yl)phenyl]-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



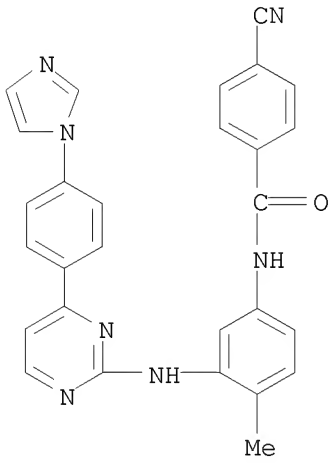
RN 881674-73-3 CAPLUS
CN Benzamide, N-[3-[[4-[4-(1H-imidazol-1-yl)phenyl]-2-pyrimidinyl]amino]-4-methylphenyl]-4-methyl- (CA INDEX NAME)



RN 881674-75-5 CAPLUS
CN Benzamide, N-[3-[[4-[4-(1H-imidazol-1-yl)phenyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3,4,5-trimethoxy- (CA INDEX NAME)



RN 881674-76-6 CAPLUS
CN Benzamide, 4-cyano-N-[3-[[4-[4-(1H-imidazol-1-yl)phenyl]-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



RN 881674-80-2 CAPLUS
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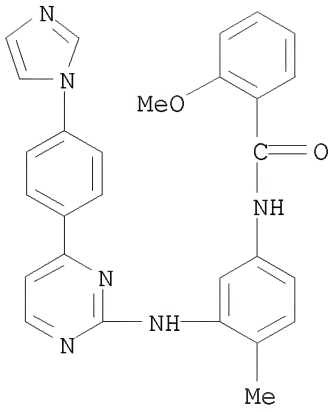

RN 881674-84-6 CAPLUS
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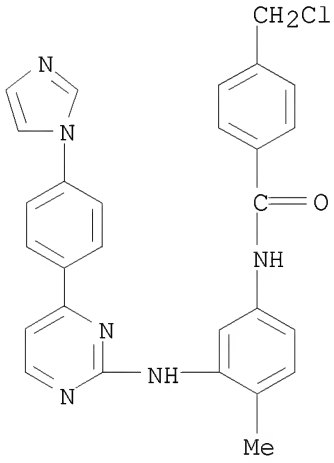

RN 881675-00-9 CAPLUS
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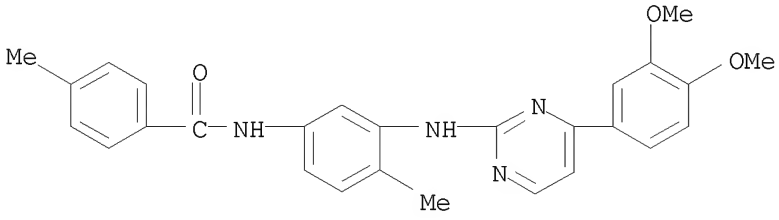
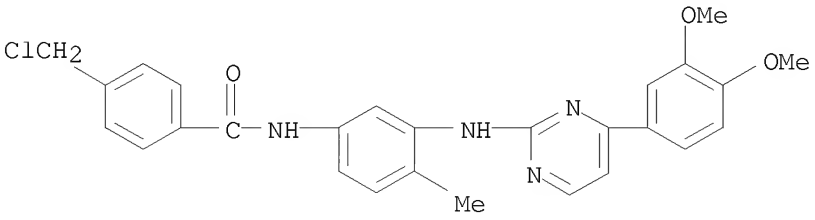
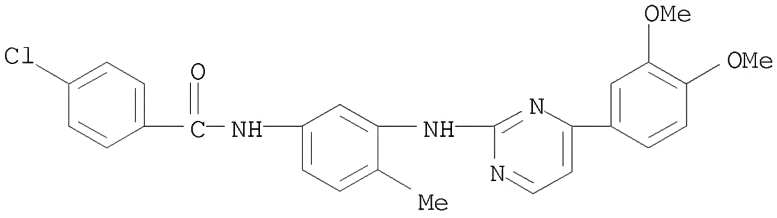


RN 881675-01-0 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[3-[[4-(3,4-dimethoxyphenyl)-2-

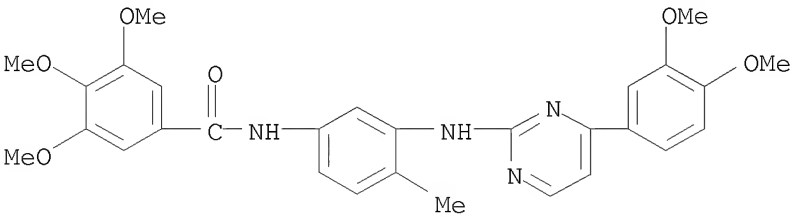
pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



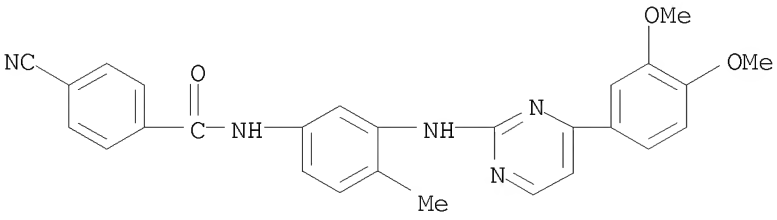
RN 881675-13-4 CAPLUS
CN Benzamide, 4-chloro-N-[3-[[4-(3,4-dimethoxyphenyl)-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



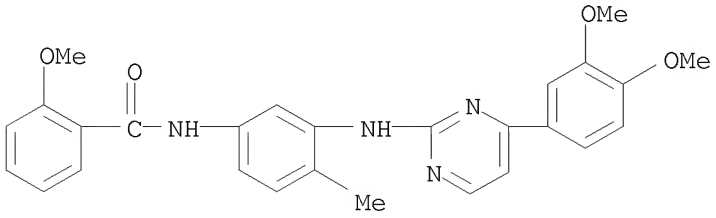
RN 881675-15-6 CAPLUS
CN Benzamide, N-[3-[[4-(3,4-dimethoxyphenyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3,4,5-trimethoxy- (CA INDEX NAME)



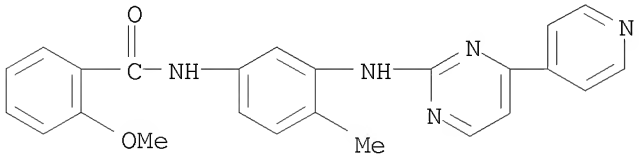
RN 881675-19-0 CAPLUS
CN Benzamide, 4-cyano-N-[3-[[4-(3,4-dimethoxyphenyl)-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



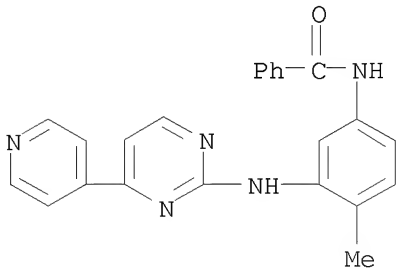
RN 881675-23-6 CAPLUS
CN Benzamide, N-[3-[[4-(3,4-dimethoxyphenyl)-2-pyrimidinyl]amino]-4-methylphenyl]-2-methoxy- (CA INDEX NAME)



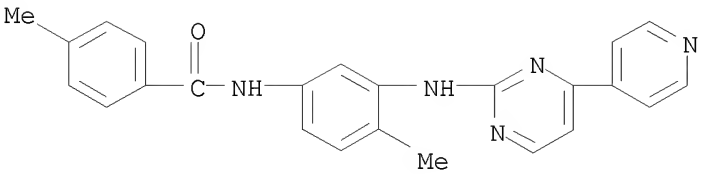
RN 881676-31-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



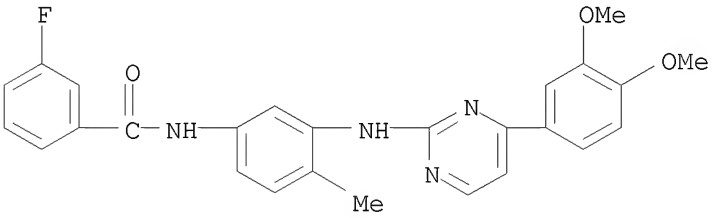
RN 881676-36-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



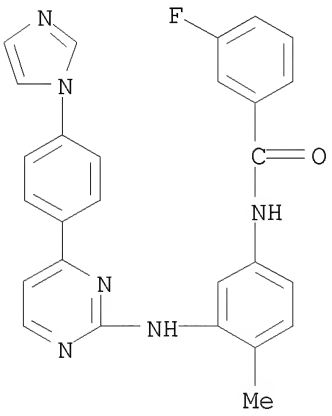
RN 881676-40-0 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



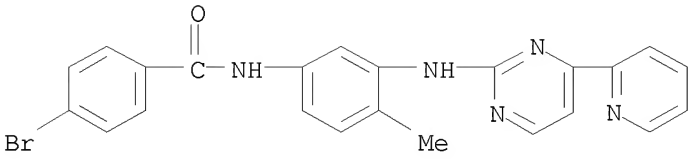
RN 881676-59-1 CAPLUS
CN Benzamide, N-[3-[[4-(3,4-dimethoxyphenyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3-fluoro- (CA INDEX NAME)



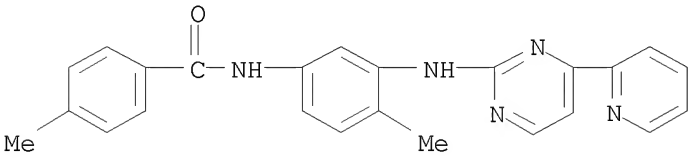
RN 881676-64-8 CAPLUS
CN Benzamide, 3-fluoro-N-[3-[[4-[4-(1H-imidazol-1-yl)phenyl]-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



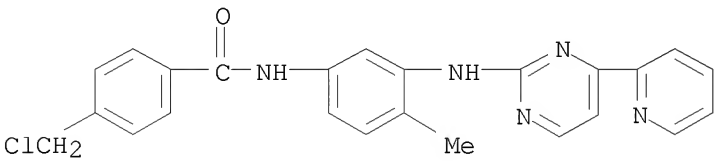
RN 881677-31-2 CAPLUS
CN Benzamide, 4-bromo-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



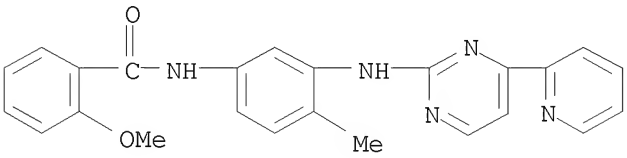
RN 881677-35-6 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 881677-39-0 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 881677-41-4 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 24 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:235109 CAPLUS
DN 144:312102
TI Preparation of (phenylamino)pyrimidine derivatives as inhibitors of BCR-ABL kinase for treatment of chronic myeloid leukemia
IN Kompella, Amala Kishan; Adibhatla Kali Satya, Bhujanga Rao; Rachakonda, Sreenivas; Podili, Khadgapathi; Venkaiah Chowdary, Nannapaneni
PA Natco Pharma Limited, India
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

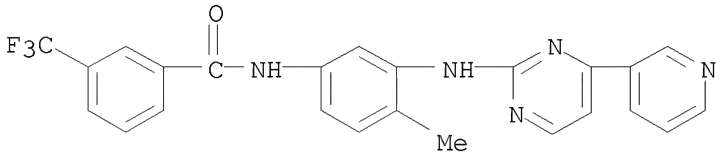
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006027795	A1	20060316	WO 2005-IN243	20050719
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	IN 2004CH00908	A	20061103	IN 2004-CH908	20040909
	AU 2005281299	A1	20060316	AU 2005-281299	20050719
	CA 2591321	A1	20060316	CA 2005-2591321	20050719
	EP 1786799	A1	20070523	EP 2005-779775	20050719
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101068805	A	20071107	CN 2005-80030091	20050719
	JP 2008512448	T	20080424	JP 2007-530854	20050719
	BR 2005015081	A	20080708	BR 2005-15081	20050719
	US 20070232633	A1	20071004	US 2007-714565	20070305
	MX 2007002819	A	20070814	MX 2007-2819	20070308
	NO 2007001521	A	20070611	NO 2007-1521	20070322
	IN 2007CN01426	A	20070831	IN 2007-CN1426	20070409
	KR 2007106681	A	20071105	KR 2007-708040	20070409
	US 20080249121	A1	20081009	US 2008-42240	20080304
	US 20080306100	A1	20081211	US 2008-42235	20080304
PRAI	IN 2004-CH908	A	20040909		
	WO 2005-IN243	W	20050719		
	US 2007-714565	A2	20070305		

OS CASREACT 144:312102; MARPAT 144:312102
AB The present invention relates to preparation of novel (phenylamino)pyrimidine derivs. I [wherein X = CH or N; n = 1 or 2; R = H or Me; R' = CF3] or pharmaceutically acceptable salts thereof as inhibitors of BCR-ABL kinase for the treatment of chronic myeloid leukemia (CML). For example, the compound II was prepared in a multi-step synthesis in good yield. Pharmaceutical composition containing the novel (phenylamino)pyrimidine derivs. and processes for their prepn were also presented. Since the IC50 values of these mols. are in the range of 0.1 to 10.0 nm, these novel compds. are

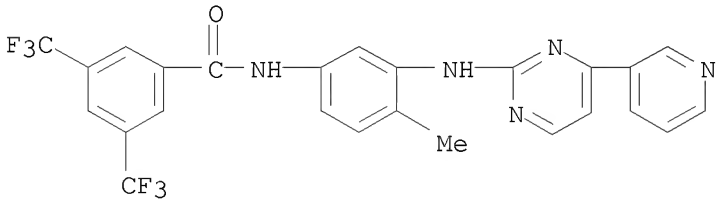
potentially useful for the treatment of CML.

IT 879507-24-1P 879507-25-2P 879507-26-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (phenylamino)pyrimidine derivs. as inhibitors of BCR-ABL kinase for treatment of chronic myeloid leukemia)

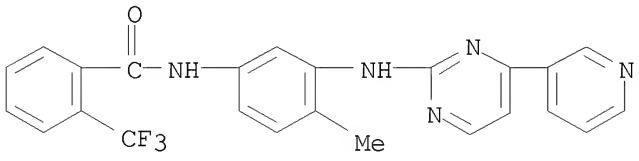
RN 879507-24-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 879507-25-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)



RN 879507-26-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

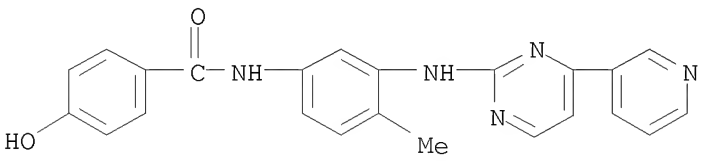


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

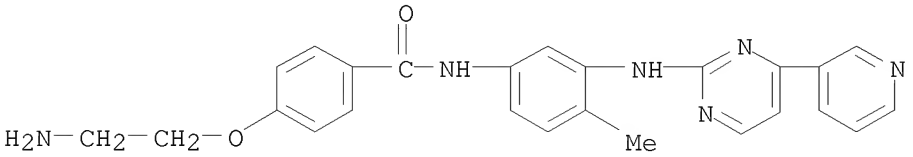
L11 ANSWER 25 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:176834 CAPLUS
DN 144:370108
TI Preparation and application of phenylamino pyrimidine derivatives
IN Chen, Guoqing
PA Chen Guoqing, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 41 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1560050	A	20050105	CN 2004-10014093	20040218
	CN 1309719	C	20070411		
PRAI	CN 2004-10014093		20040218		

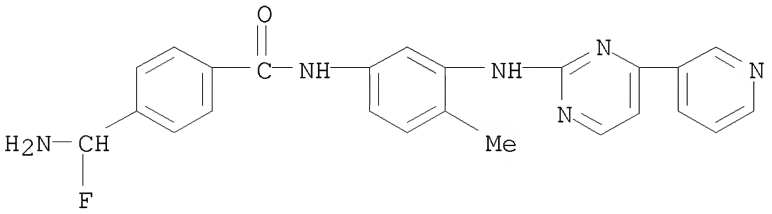
OS MARPAT 144:370108
AB The invention relates to a phenylamino pyrimidine derivative, its preparing process, medicines adopting it as active component, a method of curing the diseases relative to tyrosine kinase, especially to Bcr-Abl, like cancers, etc, and the application of its acting as medicine and making tyrosine kinase inhibition medicines to relieve the effect of tyrosine kinase to endotherms like human beings.
IT 791609-55-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and application of phenylamino pyrimidine derivs.)
RN 791609-55-7 CAPLUS
CN Benzamide, 4-hydroxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



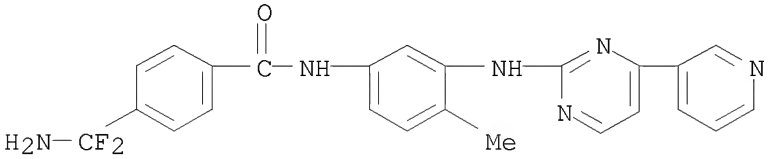
IT 791609-56-8P 791609-65-9P 791609-67-1P
791609-71-7P 791609-74-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and application of phenylamino pyrimidine derivs.)
RN 791609-56-8 CAPLUS
CN Benzamide, 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



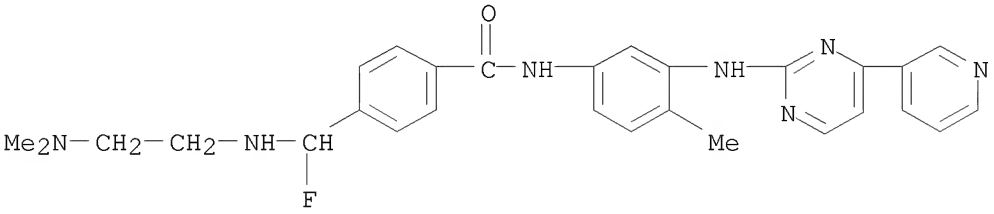
RN 791609-65-9 CAPLUS
CN Benzamide, 4-(aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



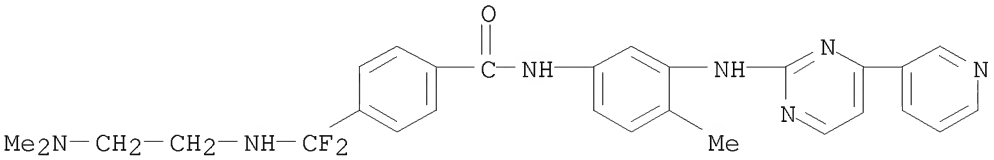
RN 791609-67-1 CAPLUS
CN Benzamide, 4-(aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



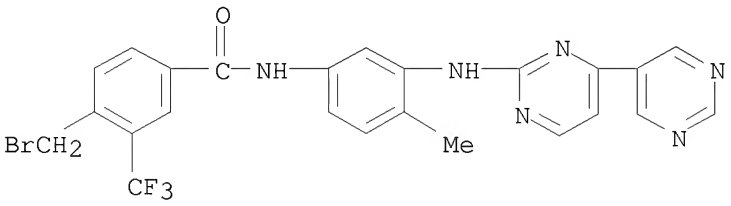
RN 791609-71-7 CAPLUS
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 791609-74-0 CAPLUS
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

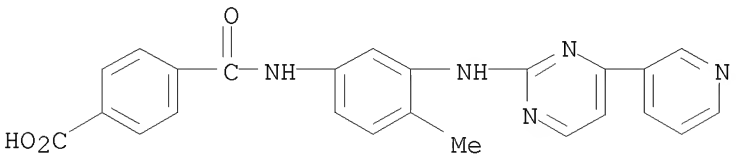


L11 ANSWER 26 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:87941 CAPLUS
DN 144:331390
TI Design and synthesis of 3-substituted benzamide derivatives as Bcr-Abl kinase inhibitors
AU Asaki, Tetsuo; Sugiyama, Yukiteru; Hamamoto, Taisuke; Higashioka, Masaya; Umehara, Masato; Naito, Haruna; Niwa, Tomoko
CS Discovery Research Laboratories, Nippon Shinyaku Co, Ltd, Minami-ku, Kyoto, Kisshoin, 601-8550, Japan
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(5), 1421-1425
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 144:331390
AB A series of 3-substituted benzamide derivs. structurally related to STI-571 (imatinib mesylate), a Bcr-Abl tyrosine kinase inhibitor used to treat chronic myeloid leukemia, was prepared and evaluated for antiproliferative activity against the Bcr-Abl-pos. leukemia cell line K562. About ten 3-halogenated and 3-trifluoromethyl-benzamide derivs. were identified as highly potent Bcr-Abl kinase inhibitors. One of these, NS-187, is a promising new candidate Bcr-Abl inhibitor for the therapy of STI-571-resistant chronic myeloid leukemia.
IT 859213-40-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation substituted benzamide derivs. and study of their activity as Bcr-Abl kinase inhibitors)
RN 859213-40-4 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-(bromomethyl)-3-(trifluoromethyl)- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 27 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:1068885 CAPLUS
DN 143:338914
TI Metabolism and disposition of imatinib mesylate in healthy volunteers
AU Gschwind, Hans-Peter; Pfaar, Ulrike; Waldmeier, Felix; Zollinger, Markus; Sayer, Claudia; Zbinden, Peter; Hayes, Michael; Pokorny, Rolf; Seiberling, Michael; Ben-Am, Monique; Peng, Bin; Gross, Gerhard
CS Exploratory Development/Drug Metabolism & Pharmacokinetics, Novartis Pharma AG, Basel, Switz.
SO Drug Metabolism and Disposition (2005), 33(10), 1503-1512
CODEN: DMDSAI; ISSN: 0090-9556
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB Imatinib mesylate (GLEEVEC, GLIVEC, formerly STI571) has demonstrated unprecedented efficacy as first-line therapy for treatment for all phases of chronic myelogenous leukemia and metastatic and unresectable malignant gastrointestinal stromal tumors. Disposition and biotransformation of imatinib were studied in four male healthy volunteers after a single oral dose of 239 mg of 14C-labeled imatinib mesylate. Biol. fluids were analyzed for total radioactivity, imatinib, and its main metabolite CGP74588. Metabolite patterns were determined by radio-high-performance liquid chromatog. with off-line microplate solid scintillation counting and characterized by liquid chromatog.-mass spectrometry. Imatinib treatment was well tolerated without serious adverse events. Absorption was rapid (tmax 1-2 h) and complete with imatinib as the major radioactive compound in plasma. Maximum plasma concns. were 0.921±0.095 μg/mL (mean ± S.D., n = 4) for imatinib and 0.115±0.026 μg/mL for the pharmacol. active N-desmethyl metabolite (CGP74588). Mean plasma terminal elimination half-lives were 13.5±0.9 h for imatinib, 20.6±1.7 h for CGP74588, and 57.3±12.5 h for 14C radioactivity. Imatinib was predominantly cleared through oxidative metabolism Approx. 65 and 9% of total systemic exposure [AUC0-24 h (area under the concentration time curve) of radioactivity] corresponded to imatinib and CGP74588, resp. The remaining proportion corresponded mainly to oxidized derivs. of imatinib and CGP74588. Imatinib and its metabolites were excreted predominantly via the biliary-fecal route. Excretion of radioactivity was slow with a mean radiocarbon recovery of 80% within 7 days (67% in feces, 13% in urine). Approx. 28 and 13% of the dose in the excreta corresponded to imatinib and CGP74588, resp.
IT 865487-51-0
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metabolism and disposition of imatinib mesylate in healthy volunteers)
RN 865487-51-0 CAPLUS
CN Benzoic acid, 4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD

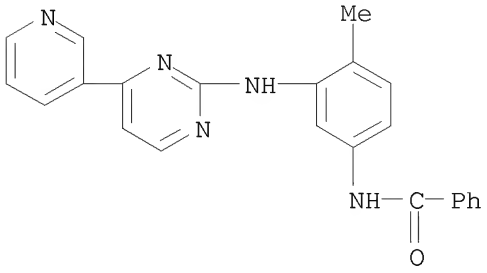
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 28 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:638614 CAPLUS
DN 143:149136
TI Protection of tissues and cells from cytotoxic effects of ionizing radiation by ABL inhibitors
IN Reddy, E. Premkumar; Reddy, M. V. Ramana; Cosenza, Stephen C.; Gumireddy, Kiranmai
PA Temple University of the Commonwealth System of Higher Education, USA
SO PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

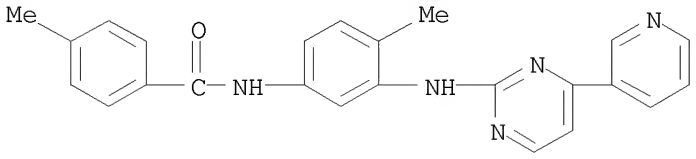
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005065074	A2	20050721	WO 2004-US28654	20040902
WO 2005065074	A3	20060223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

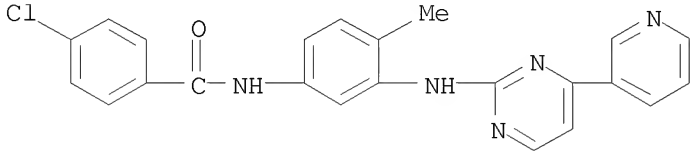
PRAI US 2003-501783P P 20030909
OS MARPAT 143:149136
AB Pre-treatment with ABL protein kinase inhibitors protects normal cells from the toxic side effects of ionizing radiation. Administration of one or more radioprotectant to a patient prior to anticancer radiotherapy reduces the cytotoxic side effects of the radiation on normal cells. The radioprotective effect allows for safely increasing the dosage of anticancer radiation. Amelioration of toxicity following inadvertent radiation exposure may also be mitigated.
IT 152459-94-4 152459-96-6 152459-98-8
152459-99-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ABL protein kinase inhibitors as radioprotectants)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



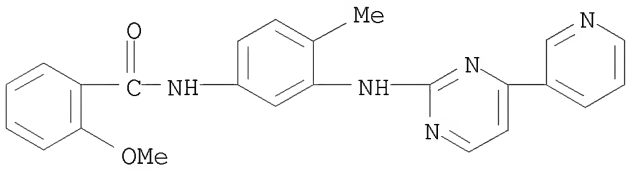
RN 152459-96-6 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 152459-98-8 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 152459-99-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 29 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:614536 CAPLUS
DN 143:115392
TI Preparation of conjugated small molecules for diagnostic and therapeutic use
IN Grotzfeld, Robert M.; Milanov, Zdravko V.; Patel, Hitesh K.; Lai, Andiliy G.; Mehta, Shamal A.; Lockhart, David J.
PA Ambit Biosciences Corp., USA
SO U.S. Pat. Appl. Publ., 63 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

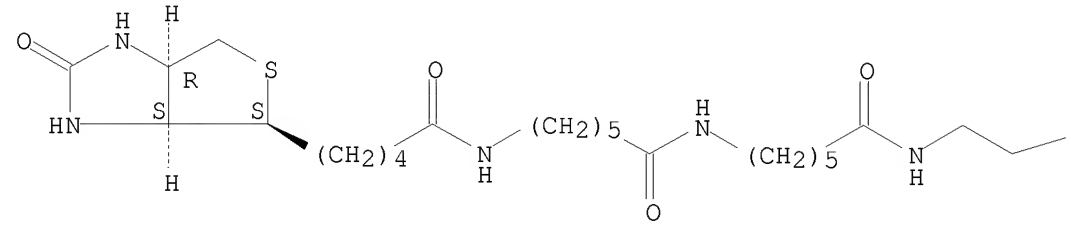
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050153371	A1	20050714	US 2005-31638	20050107
	AU 2005204428	A1	20050728	AU 2005-204428	20050107
	CA 2551495	A1	20050728	CA 2005-2551495	20050107
	WO 2005067644	A2	20050728	WO 2005-US456	20050107
	WO 2005067644	A3	20051013		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1711825	A2	20061018	EP 2005-705221	20050107
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	JP 2007521338	T	20070802	JP 2006-549423	20050107
PRAI	US 2004-535173P	P	20040107		
	US 2004-557941P	P	20040330		
	WO 2005-US456	W	20050107		

OS CASREACT 143:115392
AB Provided herein are linker compds. and conjugates that include the linker compds. In one embodiment, the linker compds. comprise 2 or 3 residues of 6-aminohexanoic acid and optionally 7-10 residues of polyethyleneglycol (PEG). The linker compds. are useful in forming conjugates with one or more components useful in biopharmaceutical or bioanal. applications. In particular, the biopharmaceutically useful compds. are kinase inhibitors. The conjugates described herein have utility in a variety of diagnostic, separation, and therapeutic applications. Thus, I was prepared from SB 202190, PEG-azide and the biotin-linker compound
IT 857892-09-2P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of conjugated biotins for diagnostic and therapeutic use)
RN 857892-09-2 CAPLUS
CN 1,4-Benzenedicarboxamide, N1-[49-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-31,38,45-trioxo-3,6,9,12,15,18,21,24,27-nonaoxa-30,37,44-triazanonatetracont-1-yl]-N4-[4-methyl-3-[[4-(3-

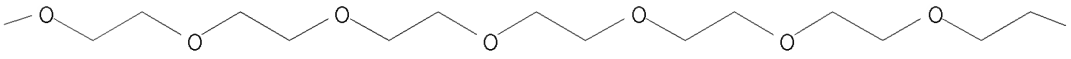
pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

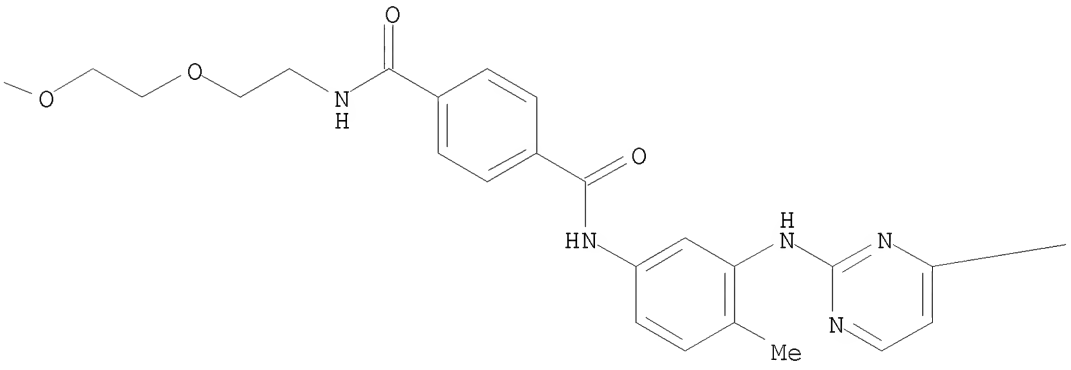
PAGE 1-A



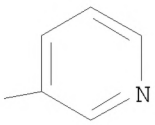
PAGE 1-B



PAGE 1-C



PAGE 1-D



L11 ANSWER 30 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:612254 CAPLUS
DN 143:133396
TI Preparation of heterocyclyl moiety-containing amides as BCR-ABL tyrosine kinase inhibitors
IN Asaki, Tetsuo; Sugiyama, Yukiteru; Segawa, Jun
PA Nippon Shinyaku Co., Ltd., Japan
SO PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

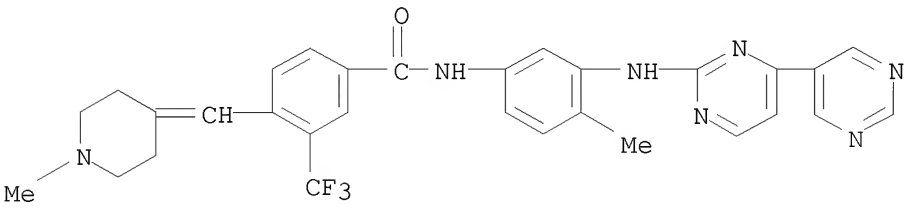
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005063709	A1	20050714	WO 2004-JP19553	20041227
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004309248	A1	20050714	AU 2004-309248	20041227
	CA 2551529	A1	20050714	CA 2004-2551529	20041227
	EP 1702917	A1	20060920	EP 2004-807908	20041227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	CN 1898208	A	20070117	CN 2004-80039048	20041227
	BR 2004018074	A	20070417	BR 2004-18074	20041227
	MX 2006007237	A	20060818	MX 2006-7237	20060622
	IN 2006CN02337	A	20070706	IN 2006-CN2337	20060626
	KR 2006127901	A	20061213	KR 2006-714770	20060721
	KR 848067	B1	20080723		
	US 20080293940	A1	20081127	US 2008-584829	20080710
PRAI	JP 2003-431398	A	20031225		
	WO 2004-JP19553	W	20041227		

OS MARPAT 143:133396
AB The title compds. I (R1 represents CH2R11 (R11 represents a nitrogenous saturated heterocyclic group), etc.; R2 represents alkyl, halogeno, haloalkyl, etc.; R3 represents hydrogen, halogeno, alkoxy; Het1 represents Q1, etc.; and Het2 represents pyrimidinyl, etc.) are prepared Thus 3-difluoromethyl-4-(4-methylpiperazin-1-ylmethyl)-N-[4-methyl-3-[4-(5-pyrimidinyl)pyrimidin-2-ylamino]phenyl]benzamide was prepared from 4-methyl-3-[4-(5-pyrimidinyl)pyrimidin-2-ylamino]aniline and 3-difluoromethyl-4-(4-methylpiperazin-1-ylmethyl)benzoyl chloride HCl salt. In an assay (for cell proliferation inhibiting activity) using K562 cells, compds. of this invention showed IC50 values of < 0.00001 μM to 0.001 μM. Formulations are given.
IT 859211-64-6P 859211-65-7P 859212-55-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl moiety-containing amides as BCR-ABL tyrosine kinase

inhibitors)

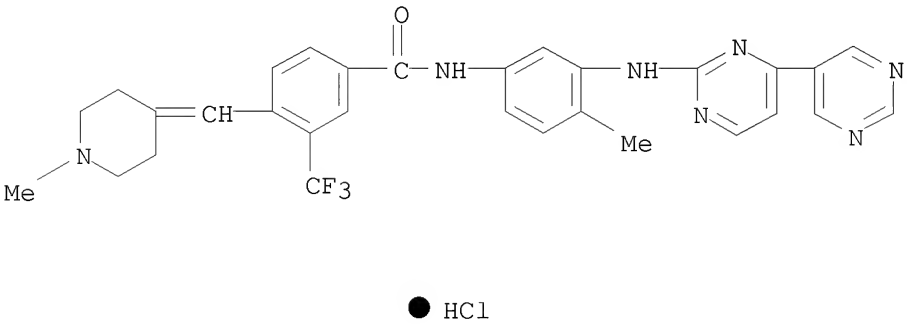
RN 859211-64-6 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[(1-methyl-4-piperidinylidene)methyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 859211-65-7 CAPLUS

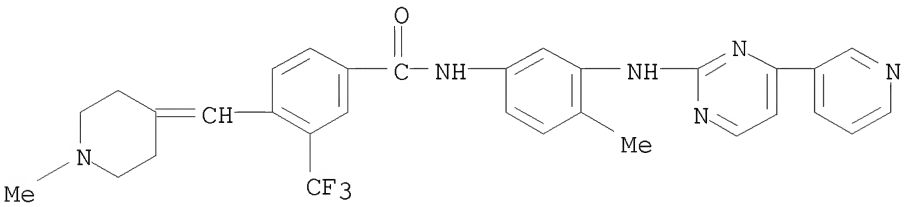
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[(1-methyl-4-piperidinylidene)methyl]-3-(trifluoromethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 859212-55-8 CAPLUS

CN Benzamide, 4-[(1-methyl-4-piperidinylidene)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

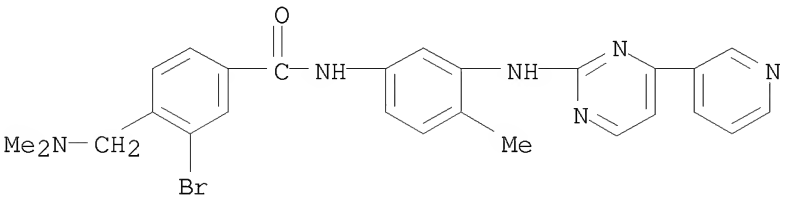


IT 641615-11-4P 641615-12-5P 859213-40-4P, 4-(Bromomethyl)-3-trifluoromethyl-N-[4-methyl-3-[4-(5-pyrimidinyl)pyrimidin-2-ylamino]phenyl]benzamide

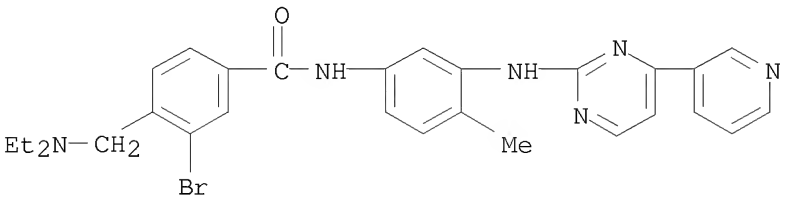
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclyl moiety-containing amides as BCR-ABL tyrosine kinase inhibitors)

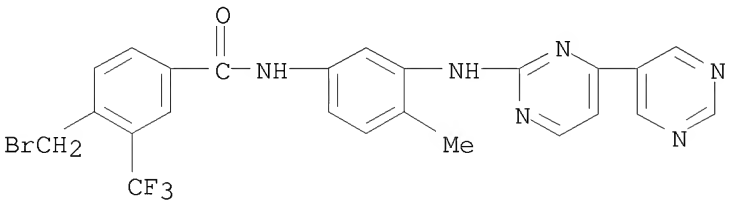
RN 641615-11-4 CAPLUS
CN Benzamide, 3-bromo-4-[(dimethylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 641615-12-5 CAPLUS
CN Benzamide, 3-bromo-4-[(diethylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

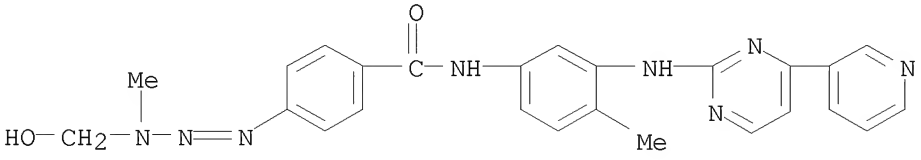


RN 859213-40-4 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-(bromomethyl)-3-(trifluoromethyl)- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 31 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:366660 CAPLUS
DN 143:126017
TI Engineering 3-alkyltriazenes to block bcr-abl kinase: a novel strategy for the therapy of advanced bcr-abl expressing leukemias
AU Katsoulas, Athanasia; Rachid, Zakaria; Brahimi, Fouad; McNamee, James; Jean-Claude, Bertrand J.
CS Cancer Drug Research Laboratory, Department of Medicine, Division of Medical Oncology, McGill University Health Center/Royal Victoria Hospital, Montreal, QC, H3A 1A1, Can.
SO Leukemia Research (2005), 29(6), 693-700
CODEN: LEREDD; ISSN: 0145-2126
PB Elsevier B.V.
DT Journal
LA English
AB Recently, within the framework of a new strategy termed "combi-targeting," we designed ZRCM5 to contain a 2-phenylaminopyrimidopyridine moiety targeted to bcr-abl kinase and a triazene tail capable of generating a methyldiazonium species upon hydrolysis. The ability of ZRCM5 to block tyrosine kinase activity was tested in a short 10 min exposure ELISA involving isolated bcr-abl kinase and Western blotting assays. The results showed that: (a) ZRCM5 was hydrolyzed with a half-life of 27 min in cell culture media, (b) it blocked bcr-abl autophosphorylation in promyeloblastic leukemia K562 cells in a dose-dependent manner (IC50 = 14.01 μM) and (c) it induced dose-dependent levels of DNA strand breaks. In contrast, temozolomide (TEM), a clin. DNA damaging triazene capable of generating, like ZRCM5, a methyldiazonium species, could neither block bcr-abl tyrosine kinase activity in isolated enzyme nor in whole cell autophosphorylation assays. In cells expressing varied levels of bcr-abl, ZRCM5 was consistently more potent than TEM. The significant potency of ZRCM5 against the leukemia cells was attributed to its ability to simultaneously to block bcr-abl and related DNA repair activity while inducing significant DNA lesions in bcr-abl expressing leukemia cells. Further studies are ongoing to increase the affinity of ZRCM5 with the purpose of further enhancing its potency in bcr-abl expressing cells.
IT 623901-04-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ZRCM5 blocked bcr-abl kinase autophosphorylation, induced DNA strand breaks by dose dependent manner and also induced apoptosis, cytotoxicity in advanced bcr-abl expressing leukemia K562 cells)
RN 623901-04-2 CAPLUS
CN Benzamide, 4-[3-(hydroxymethyl)-3-methyl-1-triazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 32 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:1124644 CAPLUS
DN 142:74589
TI 2-Aminopyrimidine derivatives as Raf kinase inhibitors, process for their preparation, and their use, e.g., in the treatment of proliferative diseases such as cancer
IN Batt, David Bryant; Ramsey, Timothy Michael; Sabio, Michael Lloyd
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

Applicant's

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004110452	A1	20041223	WO 2004-EP6317	20040611
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004246800	A1	20041223	AU 2004-246800	20040611
	AU 2004246800	B2	20081204		
	CA 2529090	A1	20041223	CA 2004-2529090	20040611
	EP 1635835	A1	20060322	EP 2004-739809	20040611
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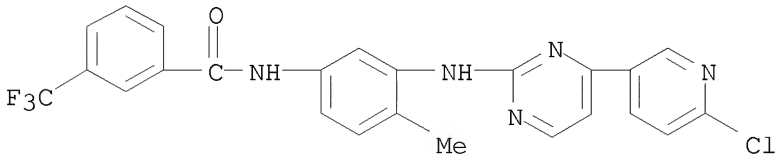
	CN 1805748	A	20060719	CN 2004-80016328	20040611
	BR 2004011365	A	20060725	BR 2004-11365	20040611
	JP 2006527230	T	20061130	JP 2006-515898	20040611
	US 20060293340	A1	20061228	US 2004-560352	20040611
	MX 2005013349	A	20060309	MX 2005-13349	20051208
	IN 2005CN03360	A	20070914	IN 2005-CN3360	20051212
PRAI	US 2003-478709P	P	20030613		
	WO 2004-EP6317	W	20040611		

OS MARPAT 142:74589
AB The application discloses compds. that inhibit Raf kinase, having formula I [wherein R1 is an (un)substituted Ph or heteroaryl radical; and R2 is an (un)substituted Ph radical; or an N-oxide or pharmaceutically acceptable salt thereof]. Also disclosed are methods of treating diseases characterized by excessive signaling through the MAP kinase pathway by administering a RAF kinase-inhibiting amount of a compound I. In particular, I are useful for the treatment of proliferative diseases such as cancer. Over 30 compds. I were prepared For instance, amidation of 4-methyl-N3-[4-(pyrazin-2-yl)pyrimidin-2-yl]benzene-1,3-diamine with 3-CF3C6H4CO2H using BOP reagent and DIEA in DMF gave invention compound II. The prepared compds. I inhibited human Raf proteins as follows (IC50): wild-type C-Raf 0-01-0.7 μM; wild-type B-Raf 0.04-1.5 μM; and mutant B-Raf (V599E) 0.006-1.6 μM.
IT 812699-95-9P, N-[3-[[4-(6-Chloropyridin-3-yl)pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aminopyrimidine derivs. as Raf kinase inhibitors for treatment of proliferative diseases such as cancer)

RN 812699-95-9 CAPLUS

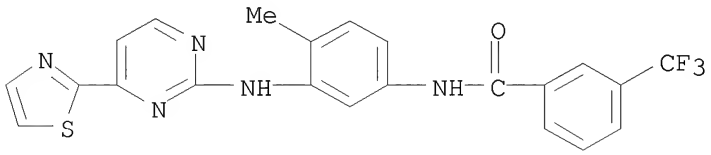
CN Benzamide, N-[3-[[4-(6-chloro-3-pyridinyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



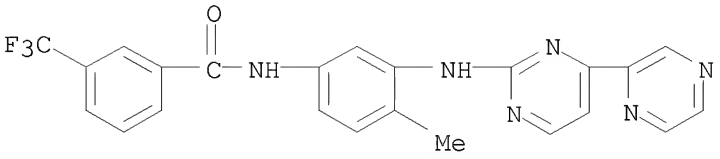
IT 812699-79-9P, N-[4-Methyl-3-[[4-(thiazol-2-yl)pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812699-80-2P, N-[4-Methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812699-81-3P, N-[4-Methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]-3-(1,1,2,2-tetrafluoroethoxy)benzamide 812699-82-4P, 3-(Difluoromethoxy)-N-[4-methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 812699-83-5P, 3-(Dimethylamino)-N-[4-methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 812699-84-6P, N-[4-Methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]-3-(2,2,2-trifluoroethoxy)benzamide 812699-85-7P, N-[4-Methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)sulfanylbenzamide 812699-86-8P, N-[3-[[4-(4-Methoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812699-87-9P, N-[4-Methyl-3-[(4-phenylpyrimidin-2-yl)amino]phenyl]-3-(trifluoromethyl)benzamide 812699-88-0P, N-[3-[[4-(3-Methoxyphenyl)pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812699-89-1P, 3-(Diethylamino)-N-[4-methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]benzamide 812699-90-4P, N-[4-Methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethoxy)benzamide 812699-91-5P, N-[3-[[4-(3-Ethylpyrazin-2-yl)pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812699-92-6P, N-[4-Methyl-3-[[4-[6-[[3-(morpholin-4-yl)propyl]amino]pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812699-93-7P, N-[4-Methyl-3-[[4-[6-(pyridin-4-ylamino)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812699-94-8P, 3-(Difluoromethoxy)-N-[3-[[4-[6-[(2-hydroxyethyl)amino]pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 812699-96-0P, N-[3-[[4-[6-(Dimethylamino)pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812699-97-1P, N-[3-[[4-[6-(2-Methoxyethoxy)pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812699-99-3P, N-[4-Methyl-3-[[4-[6-(4-methylpiperazin-1-yl)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-01-9P,

N-[4-Methyl-3-[[4-[6-(methylamino)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-03-1P, N-[3-[[4-[6-(Cyclopropylamino)pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-05-3P, N-[3-[[4-[6-(Cyclopentylamino)pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-07-5P, N-[4-Methyl-3-[[4-[6-(thiomorpholin-4-yl)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-08-6P, N-[4-Methyl-3-[[4-[6-(morpholin-4-yl)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-09-7P, N-[3-[[4-(4-Hydroxy-3,4,5,6-tetrahydro[1,2']bipyridinyl-5'-yl)pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-10-0P, N-[3-[[4-[6-[[3-(Diethylamino)propyl]amino]pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-11-1P, N-[4-Methyl-3-[[4-[6-[(pyridin-4-ylmethyl)amino]pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-12-2P, N-[3-[[4-[6-[(2-Methoxyethyl)amino]pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-13-3P, N-[3-[[4-[6-[(2-Hydroxypropyl)sulfanyl]pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-14-4P, N-[4-Methyl-3-[[4-[6-(pyridin-3-yloxy)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-15-5P, N-[4-Methyl-3-[[4-[6-[(1-methylpiperidin-4-yl)oxy]pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-16-6P, N-[3-[([4,5']Bipyrimidinyl-2-yl)amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-17-7P, 3-Fluoro-N-[4-methyl-3-[[4-(pyrazin-2-yl)pyrimidin-2-yl]amino]phenyl]-5-(trifluoromethyl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminopyrimidine derivs. as Raf kinase inhibitors for treatment of proliferative diseases such as cancer)

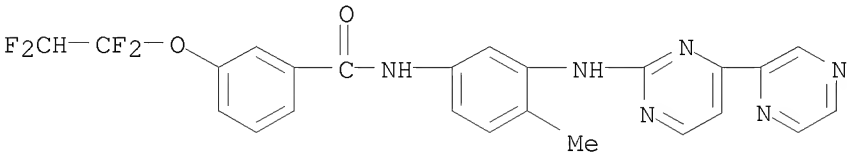
RN 812699-79-9 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(2-thiazolyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



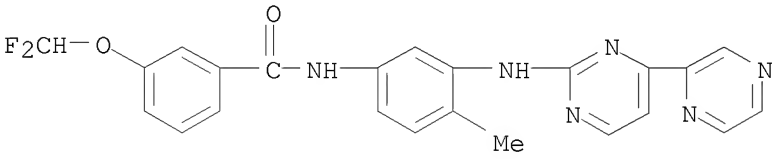
RN 812699-80-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



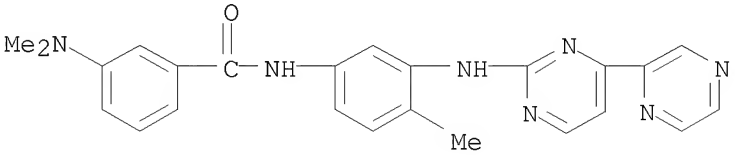
RN 812699-81-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]-3-(1,1,2,2-tetrafluoroethoxy)- (CA INDEX NAME)



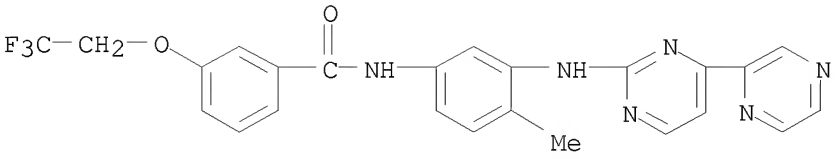
RN 812699-82-4 CAPLUS
CN Benzamide, 3-(difluoromethoxy)-N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



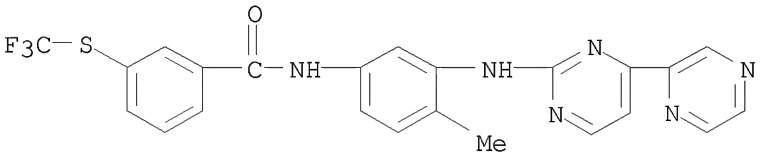
RN 812699-83-5 CAPLUS
CN Benzamide, 3-(dimethylamino)-N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



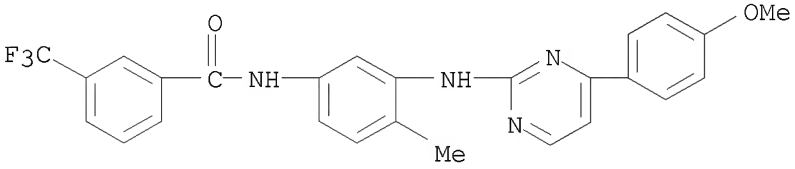
RN 812699-84-6 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]-3-(2,2,2-trifluoroethoxy)- (CA INDEX NAME)



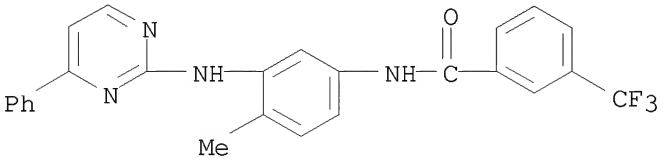
RN 812699-85-7 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]-3-[(trifluoromethyl)thio]- (CA INDEX NAME)



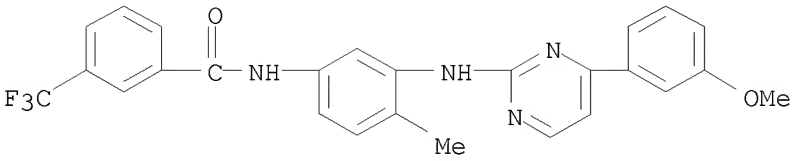
RN 812699-86-8 CAPLUS
CN Benzamide, N-[3-[[4-(4-methoxyphenyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



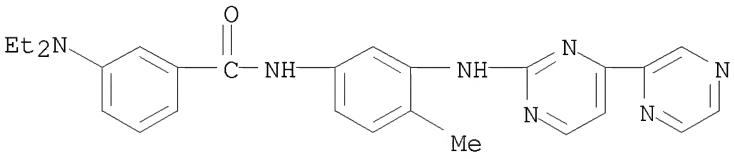
RN 812699-87-9 CAPLUS
CN Benzamide, N-[4-methyl-3-[(4-phenyl-2-pyrimidinyl)amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



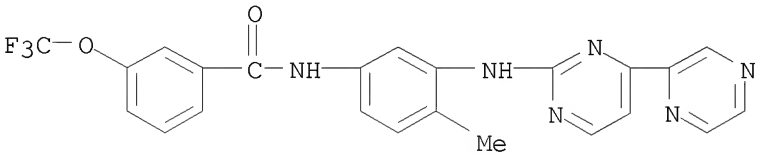
RN 812699-88-0 CAPLUS
CN Benzamide, N-[3-[[4-(3-methoxyphenyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



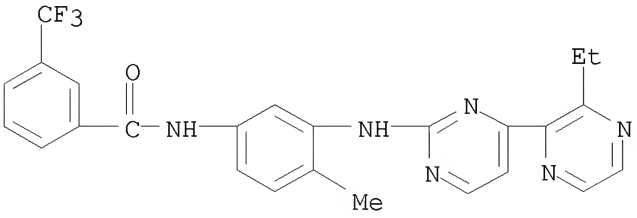
RN 812699-89-1 CAPLUS
CN Benzamide, 3-(diethylamino)-N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



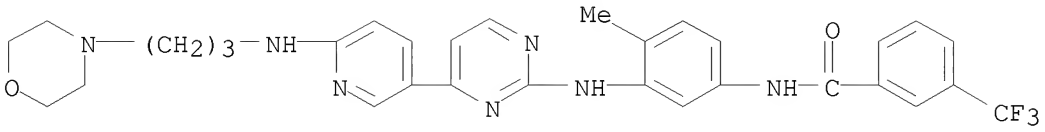
RN 812699-90-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethoxy)- (CA INDEX NAME)



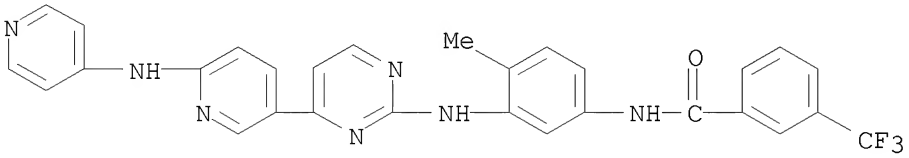
RN 812699-91-5 CAPLUS
CN Benzamide, N-[3-[[4-(3-ethyl-2-pyrazinyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



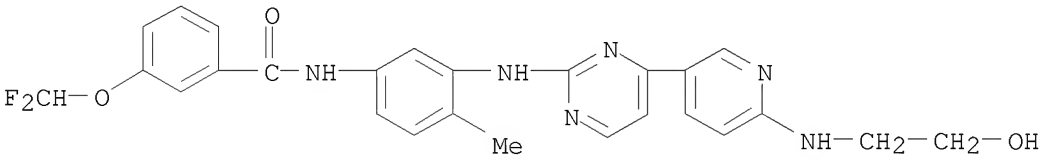
RN 812699-92-6 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-[[3-(4-morpholinyl)propyl]amino]-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



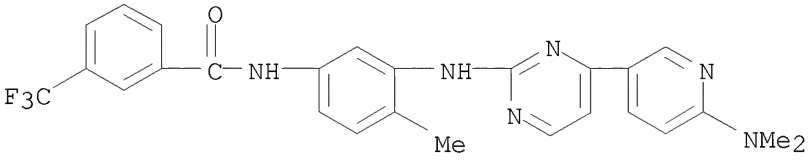
RN 812699-93-7 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-(4-pyridinylamino)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



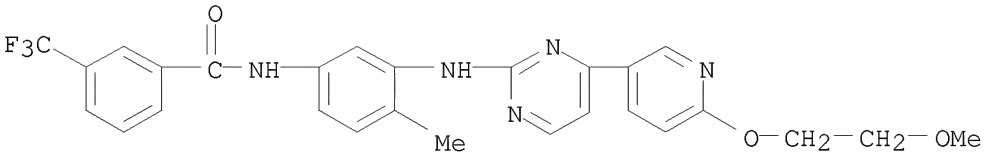
RN 812699-94-8 CAPLUS
CN Benzamide, 3-(difluoromethoxy)-N-[3-[[4-[6-[(2-hydroxyethyl)amino]-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



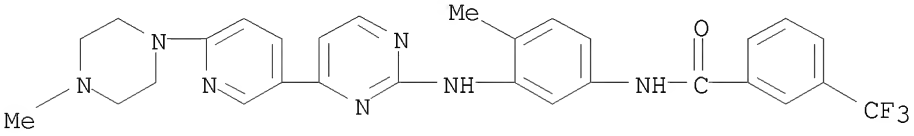
RN 812699-96-0 CAPLUS
CN Benzamide, N-[3-[[4-[6-(dimethylamino)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



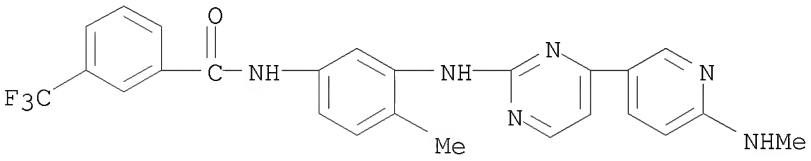
RN 812699-97-1 CAPLUS
CN Benzamide, N-[3-[[4-[6-(2-methoxyethoxy)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



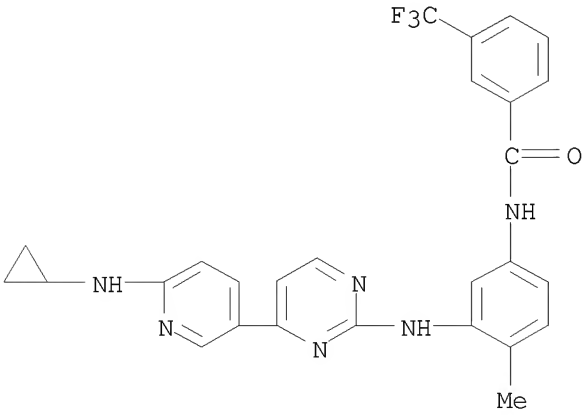
RN 812699-99-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-(4-methyl-1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 812700-01-9 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-(methylamino)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

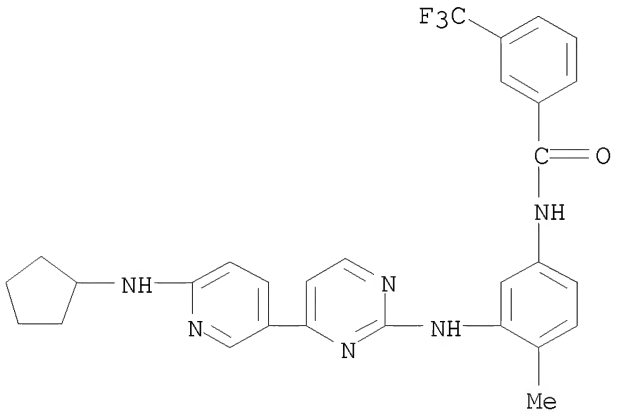


RN 812700-03-1 CAPLUS
CN Benzamide, N-[3-[[4-[6-(cyclopropylamino)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

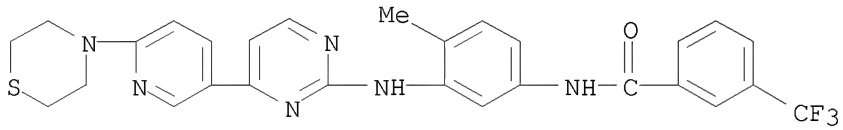


RN 812700-05-3 CAPLUS

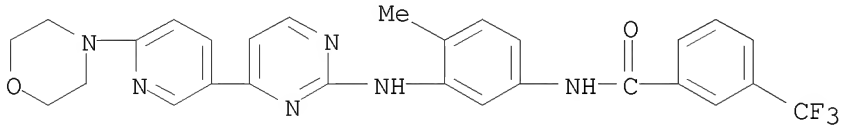
CN Benzamide, N-[3-[[4-[6-(cyclopentylamino)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



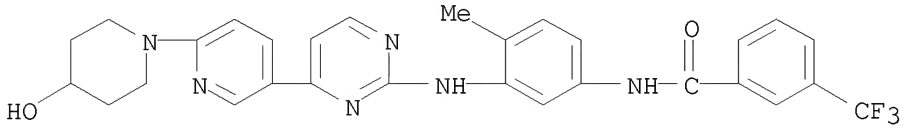
RN 812700-07-5 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-(4-thiomorpholinyl)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



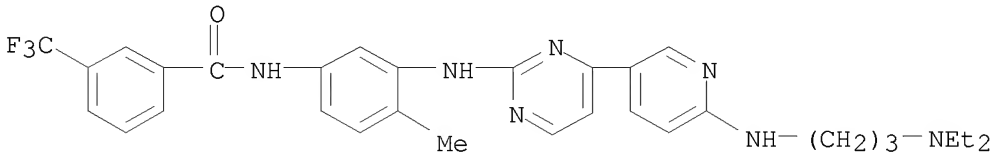
RN 812700-08-6 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-(4-morpholinyl)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



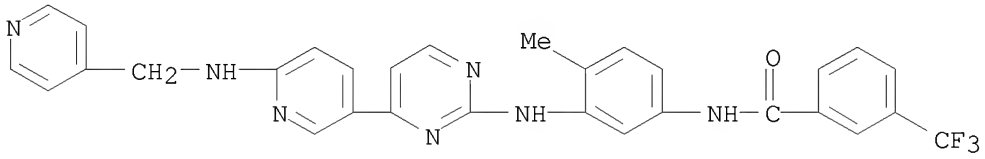
RN 812700-09-7 CAPLUS
CN Benzamide, N-[3-[[4-[6-(4-hydroxy-1-piperidinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



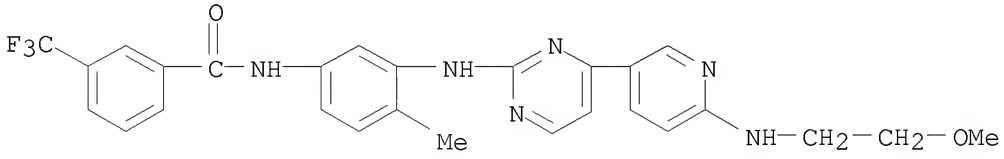
RN 812700-10-0 CAPLUS
CN Benzamide, N-[3-[[4-[6-[[3-(diethylamino)propyl]amino]-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



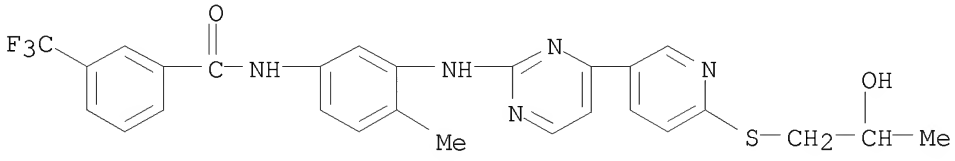
RN 812700-11-1 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-[(4-pyridinylmethyl)amino]-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



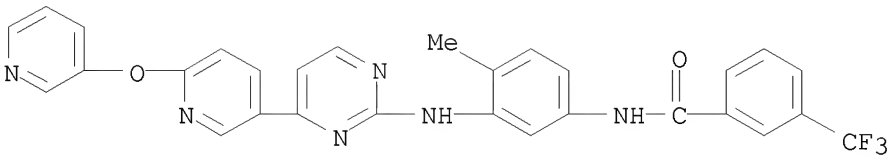
RN 812700-12-2 CAPLUS
CN Benzamide, N-[3-[[4-[6-[(2-methoxyethyl)amino]-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



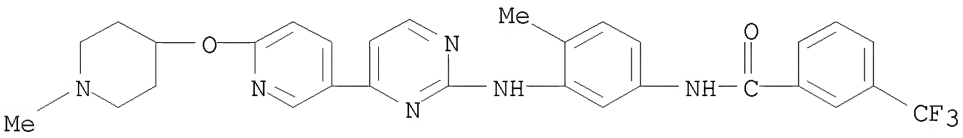
RN 812700-13-3 CAPLUS
CN Benzamide, N-[3-[[4-[6-[(2-hydroxypropyl)thio]-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



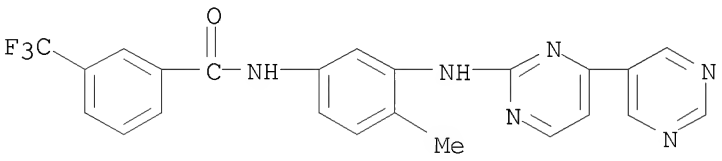
RN 812700-14-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-(3-pyridinyloxy)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



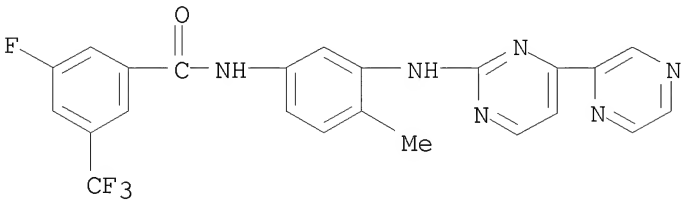
RN 812700-15-5 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-[6-[(1-methyl-4-piperidinyl)oxy]-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



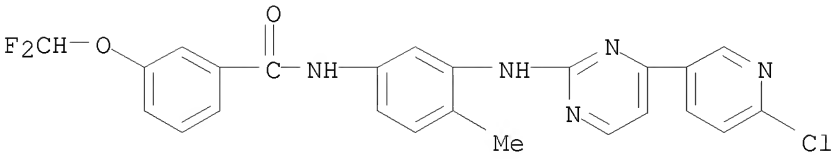
RN 812700-16-6 CAPLUS
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 812700-17-7 CAPLUS
CN Benzamide, 3-fluoro-N-[4-methyl-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)



IT 812700-23-5, N-[3-[[4-(6-Chloropyridin-3-yl)pyrimidin-2-yl]amino]-4-methylphenyl]-3-(difluoromethoxy)benzamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of aminopyrimidine derivs. as Raf kinase inhibitors for treatment of proliferative diseases such as cancer)
RN 812700-23-5 CAPLUS
CN Benzamide, N-[3-[[4-(6-chloro-3-pyridinyl)-2-pyrimidinyl]amino]-4-methylphenyl]-3-(difluoromethoxy)- (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 33 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:1080884 CAPLUS
DN 142:56339
TI Process for the preparation of the anti-cancer drug imatinib and its analogs via aminolysis of a (chloromethyl)benzamide intermediate
IN Kompella, Amala; Bhujanga Rao, Adibhatla Kali Sathya; Venkaiah Chowdary, Nannapaneni; Srinivas, Rachakonda
PA Natco Pharma Limited, India
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004108699	A1	20041216	WO 2003-IN211	20030606
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003242988	A1	20050104	AU 2003-242988	20030606
PRAI	WO 2003-IN211	A	20030606		

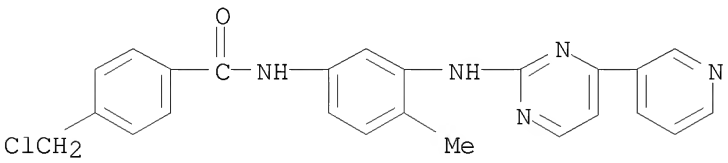
OS CASREACT 142:56339
AB The invention discloses a process for the manufacture of imatinib [I; X = 4-methylpiperazin-1-yl] and three of its new analogs I [X = morpholin-4-yl, piperidin-1-yl, and imidazol-1-yl] through aminolyis of the intermediate I [X = Cl]. The mesylate (methanesulfonate) salt of imatinib is a popular life-saving drug, used to treat chronic myelogenous leukemia (CML). The other compds. are claimed as protein tyrosine kinase inhibitors (no data). The new process involves fewer steps (7) than the 9 steps in the known process disclosed in EP 0564409 and US 55211584, making the new process simple and cost effective. Yields are fairly high in all steps (65-90%), as compared to 20-50% realized by the prior art process. Reaction times are fairly low (8-10 h) in all steps, as compared to the time (12-25 h) for most of the stages in the prior art process. Obnoxious, foul smelling, and difficult-to-handle reagents are avoided, making the process safe and environmentally safe for com. application. Column chromatog., which is not practical on com. scale, is avoided at all stages. Consequently the process is simple and economical. Thus, 2-amino-4-nitrotoluene in BuOH was treated with HNO3 and then with aqueous cyanamide, and the mixture was heated at 90-95° for 12 h, to give 61% yield of 2-methyl-5-nitrophenylguanidine nitrate (II) on a 22-kg scale, with simple recovery of pure, unreacted 2-amino-4-nitrotoluene from the mother liquors, also on a multi-kg scale. Cyclization of II with 3-(dimethylamino)-1-(3-pyridyl)-2-propen-1-one in refluxing BuOH in the presence of NaOH for 10 h gave intermediate III quant., with III being isolated in 88% yield on a 21-kg scale. This was followed by reduction of the nitro group of III, using SnCl2 in concentrated HCl, to give the corresponding amine in 61.5% yield, on a 10-kg scale. The amine was amidated with 4-(ClCH2)C6H4COCl (preparation given) using Et3N in CHCl3, giving the (chloromethyl)benzamide intermediate I [X = Cl] in 70% yield on a 13.9-kg

scale. This compound reacted with N-methylpiperazine in DMF over 4 h at 20-40°, giving imatinib free base after extraction into CHCl3, carbon treatment, evaporation, and trituration with EtOAc. Imatinib was obtained in 61% yield, 99.8% purity by HPLC, and on a 9.8-kg scale. The other three products I were obtained almost identically, using different amines in the final step.

IT 404844-11-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process intermediate; manufacture of imatinib and analogs via aminolysis of (chloromethyl)benzamide intermediate)

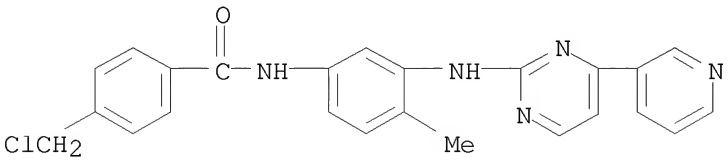
RN 404844-11-7 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 34 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:1067788 CAPLUS
DN 142:204407
TI Acid-Base Profiling of Imatinib (Gleevec) and Its Fragments
AU Szakacs, Zoltan; Beni, Szabolcs; Varga, Zoltan; Oerfi, Laszlo; Keri, Gyoergy; Noszal, Bela
CS Department of Inorganic and Analytical Chemistry, Lorand Eoetvoes University, Budapest, H-1117, Hung.
SO Journal of Medicinal Chemistry (2005), 48(1), 249-255
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:204407
AB The site-specific basicities of imatinib (I) (Gleevec, a new signal transduction inhibitor drug of chronic myeloid leukemia) and two of its fragment compds. were quantitated in terms of protonation macroconstants, microconstants, and group consts. by NMR-pH and pH-potentiometric titrns. Sequential protonation of imatinib follows the N34, N11, N31, N13 order, in which N11 and N31 show commensurable basicity, but negligible intramol. interaction. Fragment compds. include two "halves" of imatinib, and their moiety-specific basicities confirm the NMR-based protonation sequence of the parent compound NMR-pH profiles, macro- and/or microscopic protonation schemes, and species-specific distribution diagrams are presented. On the basis of these data, imatinib is shown to be predominantly neutral, monocationic, and tricationic at intestinal, blood, and gastric pH, resp. The mol. hypotheses on imatinib binding to the Bcr-Abl oncogene fusion protein are interpreted at the site-specific level in view of the moiety basicities of imatinib.
IT 404844-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(acid-base profiling of imatinib (Gleevec) and its fragments)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

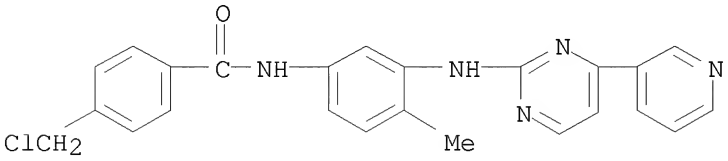
L11 ANSWER 35 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:1060780 CAPLUS
DN 142:38275
TI Preparation of N-phenyl-2-pyrimidine-amine derivatives as anticancer agents and process for the preparation thereof
IN Kim, Dong-Yeon; Kim, Jae-Gun; Cho, Dae-Jin; Lee, Gong-Yeal; Kim, Hong-Youb; Woo, Seok-Hun; Kim, Yong-Seok; Bae, Woo-Chul; Lee, Sun-Ahe; Han, Byoung-Cheol
PA Il Yang Pharm. Co., Ltd., S. Korea
SO U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 446,446, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040248918	A1	20041209	US 2004-806834	20040322
PRAI	KR 2003-28669	A	20030506		
	US 2003-446446	B2	20030528		

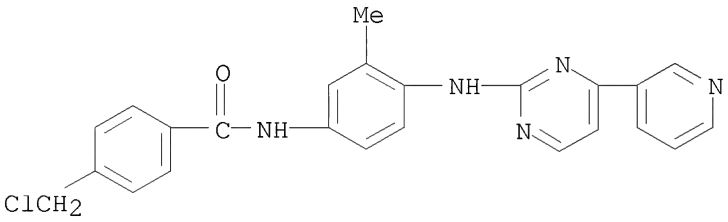
OS MARPAT 142:38275
AB The title compds. (I) [R1 = 3- or 4-pyridyl; R2, R3 = H, lower alkyl; R6, R7 = Q; wherein X = O, NH; n= 0, 1; R9 = C5-10 9 aliphatic radical, 5- to 7-membered (un)saturated monocyclic radical, or bi- or tricyclic radical optionally combined with benzene ring, each of which has 1 to 3 hetero atoms selected from a group consisting of N, O, and S, piperazinyl or homopiperazinyl each of which is substituted by lower alkyl; R4, R5, R7, R8 = H or one or two thereof each represent halogen, lower alkyl, or lower alkoxy; when R6 is Q, or one or two of R4, R5, R6, and R8 each represent halogen, lower alkyl, or lower alkoxy; when R7 is Q, provided that R6 or R7 represents Q wherein n = 0 and R9 = 4-methylpiperazine, then one or more of R4, R5, R7, and R8, or one or more of R4, R5, R6, and R8 are halogen] or salts thereof are prepared These compds. show a superior effect on lung cancer, gastric cancer, colon cancer, pancreatic cancer, hepatoma, prostatic cancer, breast cancer, chronic or acute leukemia, hematol. malignancy, encephalophyma, bladder cancer, rectal cancer, or cervical cancer of warm-blooded animals. The present invention also relates to a process for preparing the compound I, and to a pharmaceutical composition for the
treatment of the above various diseases, which comprises an effective amount of the compound as an active ingredient together with pharmaceutically acceptable inert carriers. Thus, 3-dimethylamino-1-(3-pyridyl)-2-propen-1-one was cyclocondensed with 2-methyl-5-nitrophenylguanidine nitrate in the presence of sodium hydroxide in isopropanol under reflux for 18 h to give N-(2-methyl-5-nitrophenyl)-4-(3-pyridyl)-2-pyrimidineamine which was reduced by stannous chloride dihydrate in EtOAc/ethanol under reflux for 4 h to give N-(5-amino-2-methylphenyl)-4-(3-pyridyl)-2-pyrimidineamine (II). II underwent amidation with 4-chloromethylbenzoyl chloride in Et3N in THF under reflux for 4 h to give N-[5-(4-chloromethylbenzoylamino)-2-methylphenyl]-4-(3-pyridyl)-2-pyrimdineamine which was stirred with pyridine for 30 min and then refluxed with N-methylhomopiperazine for 12 h to give 4-(4-methylhomopiperazin-1-ylmethyl)-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide (III). III methanesulfonate and 4-[(4-methylpiperazin-1-ylamino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate showed IC50 of 1.20 and <0.10 μg/mL, resp., against the growth of K562 cells.
IT 404844-11-7P, N-[5-[(4-Chloromethylbenzoyl)amino]-2-methylphenyl]-

4-(3-pyridyl)-2-pyrimidineamine 796738-74-4P,
N-[4-(4-Chloromethylbenzoylamino)-2-methylphenyl]-4-(3-pyridyl)-2-pyrimidineamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-phenylpyrimidine-2-amine derivs. as anticancer agents)

RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

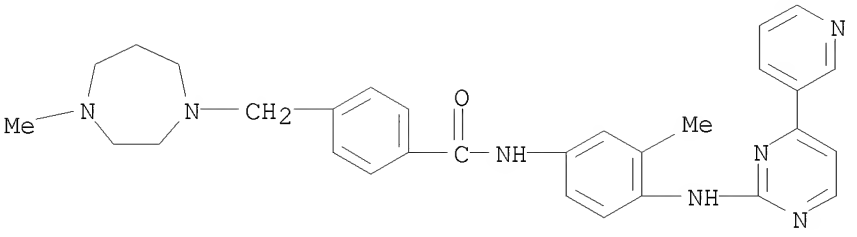


RN 796738-74-4 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

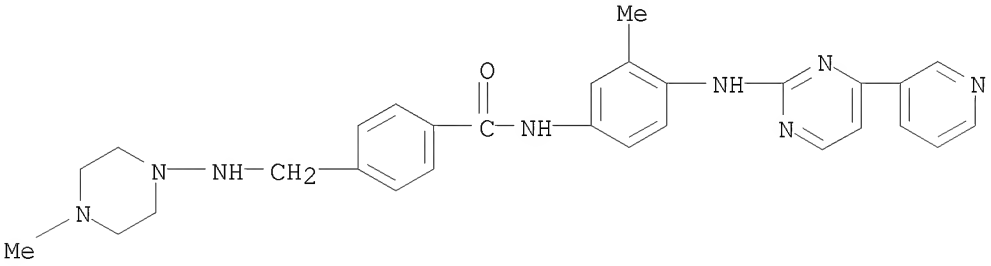


IT 796738-36-8P, 4-(4-Methylhomopiperazin-1-ylmethyl)-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide
796738-38-0P, 4-(4-Methylpiperazin-1-ylaminomethyl)-N-[3-methyl-4-[4-(pyridin-3-yl)pyrimidin-2-yl]aminophenyl]benzamide 804554-82-3P
, 4-(4-Methylhomopiperazin-1-ylmethyl)-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate
804554-83-4P, 4-[(4-Methylpiperazin-1-ylamino)methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-phenylpyrimidine-2-amine derivs. as anticancer agents)

RN 796738-36-8 CAPLUS
CN Benzamide, 4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



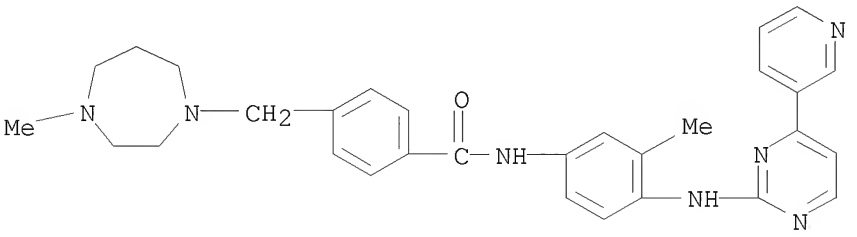
RN 796738-38-0 CAPLUS
CN Benzamide, 4-[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 804554-82-3 CAPLUS
CN Benzamide, 4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:1) (CA INDEX NAME)

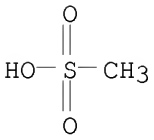
CM 1

CRN 796738-36-8
CMF C30 H33 N7 O



CM 2

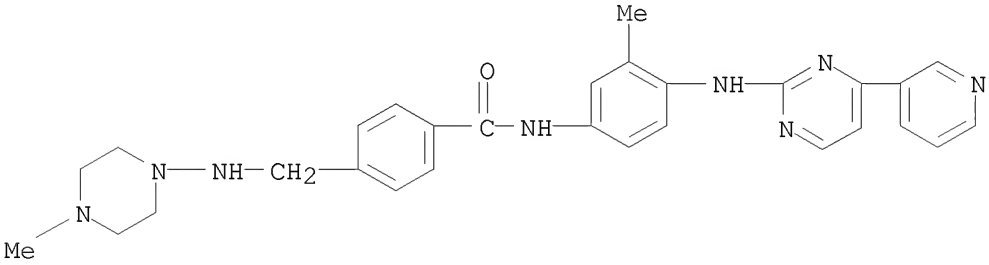
CRN 75-75-2
CMF C H4 O3 S



RN 804554-83-4 CAPLUS
CN Benzamide, 4-[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:1) (CA INDEX NAME)

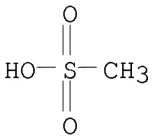
CM 1

CRN 796738-38-0
CMF C29 H32 N8 O



CM 2

CRN 75-75-2
CMF C H4 O3 S



L11 ANSWER 36 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:996162 CAPLUS
DN 141:424205
TI New N-phenyl-2-pyrimidine-amine derivatives related to imatinib mesylate, useful as antitumor agents, and process for their preparation
IN Kim, Dong-Yeon; Kim, Jae-Gun; Cho, Dae-Jin; Lee, Gong-Yeal; Kim, Hong-Youb; Woo, Seok-Hun; Kim, Yong-Seok; Bae, Woo-chul; Lee, Sun-Ahe; Han, Byoung-Cheol
PA Il Yang Pharm. Co. Ltd., S. Korea
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004099187	A1	20041118	WO 2004-KR611	20040319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2004095155	A	20041112	KR 2004-17594	20040316
PRAI KR 2003-28669	A	20030506		

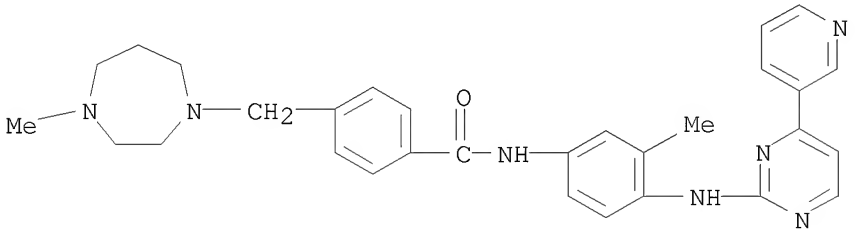
OS MARPAT 141:424205
AB The invention relates to N-phenyl-2-pyrimidine-amine derivs. and their salts, which show superior action against lung cancer, gastric cancer, colon cancer, pancreatic cancer, hepatoma, prostatic cancer, breast cancer, chronic or acute leukemia, hematol. malignancy, encephalophyma, bladder cancer, rectal cancer, or cervical cancer, etc., in warm-blooded animals. The invention also relates to a process for preparing the compds., and to pharmaceutical compns. for the treatment of cancer, etc., which comprise the compds. as active ingredients, together with pharmaceutically acceptable inert carriers. Specifically claimed are compds. I and salts [wherein: R1 = 3-pyridyl or 4-pyridyl; R2, R3 = (independently) H or lower alkyl; R6 or R7 = -NHCO-p-C6H4-CH2XnR9; X = O or NH; n = 0-1; R9 = C5-10 aliphatic, or 5- to 7-membered (un)saturated monocycle, or a bi- or tricyclic radical optionally combined with a benzene ring, each with 1-3 N/O/S heteroatoms, or (homo)piperazinyl substituted by lower alkyl; 1-2 of R4, R5, R6/R7, and R8 = halo, lower alkyl, or lower alkoxy; others = H; provided that when R6 or R7 = said radical and n = 0 and R9 = 4-methylpiperazinyl, then one or more of R4, R5, R6/R7, and R8 is halo]. For example, 3-acetylpyridine was converted in 3 steps to N-(2-methyl-5-nitrophenyl)-4-(3-pyridyl)-2-pyrimidineamine. This nitro compound was reduced to the amine with SnCl2, and the amine was amidated with 4-(ClCH2)C6H4COCl. The obtained 4-(chloromethyl)benzamide derivative was coupled with 1-amino-4-methylpiperazine to give invention compound II, which was converted to the methanesulfonate salt (III). The latter was more than 5-fold more potent than imatinib mesylate against the human CML cell line K562, and was at least as active against other cell lines. Other compds. I showed different spectra of superiority to imatinib mesylate

against the various cancer cell lines. Compound IV (mesylate) had excellent, dose-related therapeutic activity against sarcoma-180 in ICR mice, giving an inhibition ratio of 63.0% at 50 mg/kg i.v. In an oral pharmacokinetic assay in rats, III roughly matched the performance of imatinib mesylate (Tmax, Cmax, and AUC) at half the dosage. III also showed no acute toxicity toward mice at a dose of 2000 mg/kg orally. IV mesylate had an i.v. LD50 of 75-100 mg/kg in mice, still much safer than cisplatin (11 mg/kg i.v.). Although several compds. I are preferred with respect to protein kinase inhibition (no data), II is particularly preferred. Therefore III and IV mesylate are expected to be new and potent therapeutic agents for the treatment of the aforementioned cancers, in addition to CML.

IT 796738-36-8P, 4-[(4-Methylhomopiperazin-1-yl)methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide
796738-38-0P, 4-[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

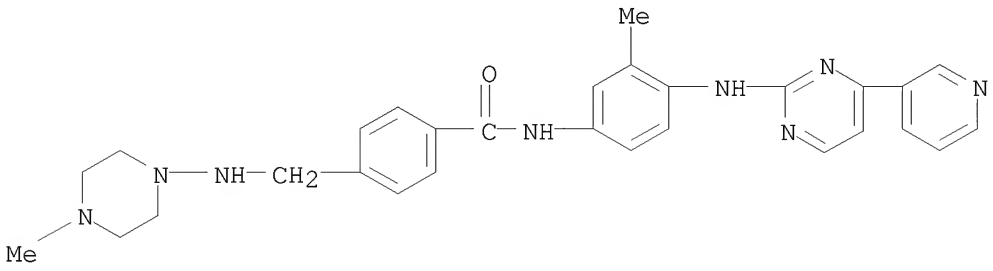
RN 796738-36-8 CAPLUS

CN Benzamide, 4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 796738-38-0 CAPLUS

CN Benzamide, 4-[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



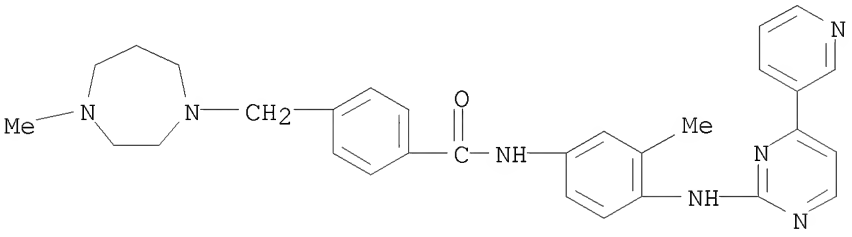
IT 796738-37-9P, 4-[(4-Methylhomopiperazin-1-yl)methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate
796738-39-1P, 4-[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

RN 796738-37-9 CAPLUS
CN Benzamide, 4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

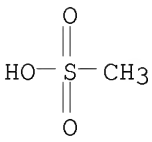
CM 1

CRN 796738-36-8
CMF C30 H33 N7 O



CM 2

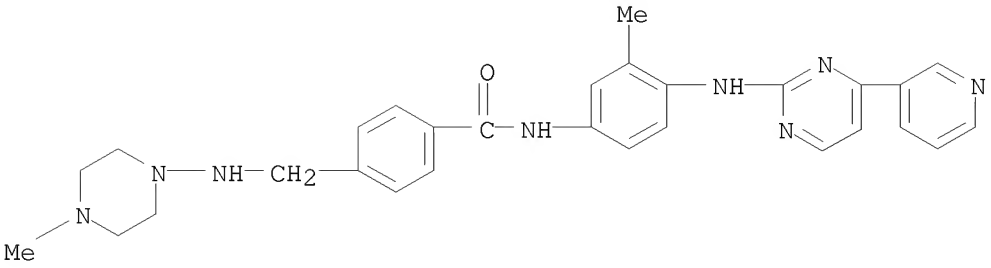
CRN 75-75-2
CMF C H4 O3 S



RN 796738-39-1 CAPLUS
CN Benzamide, 4-[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

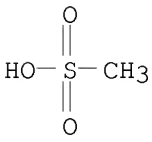
CM 1

CRN 796738-38-0
CMF C29 H32 N8 O

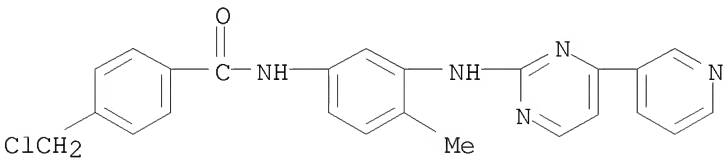


CM 2

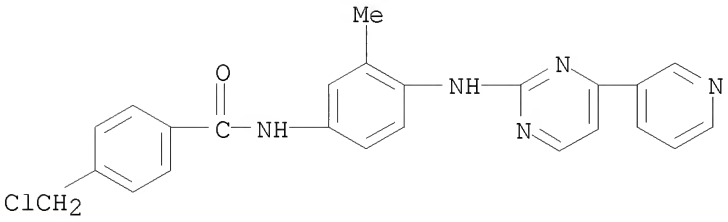
CRN 75-75-2
CMF C H4 O3 S



IT 404844-11-7P, N-[5-[[4-(Chloromethyl)benzoyl]amino]-2-methylphenyl]-4-(3-pyridyl)-2-pyrimidineamine 796738-74-4P, N-[4-[[4-(Chloromethyl)benzoyl]amino]-2-methylphenyl]-4-(3-pyridyl)-2-pyrimidineamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)
RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 796738-74-4 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 37 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:996161 CAPLUS
DN 141:424204
TI New N-phenyl-2-pyrimidine-amine derivatives related to imatinib mesylate, useful as antitumor agents, and process for the preparation thereof
IN Kim, Dong-Yeon; Kim, Jae-Gun; Cho, Dae-Jn; Lee, Gong-Yeal; Kim, Hong-Youb; Woo, Seok-Hun; Bae, Woo-chul; Lee, Sun-Ahe; Han, Byoung-Ceol
PA Il Yang Pharm Co., Ltd., S. Korea
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004099186	A1	20041118	WO 2003-KR1029	20030526
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003232650	A1	20041126	AU 2003-232650	20030526
	KR 2004095155	A	20041112	KR 2004-17594	20040316
PRAI	KR 2003-28669	A	20030506		
	WO 2003-KR1029	W	20030526		

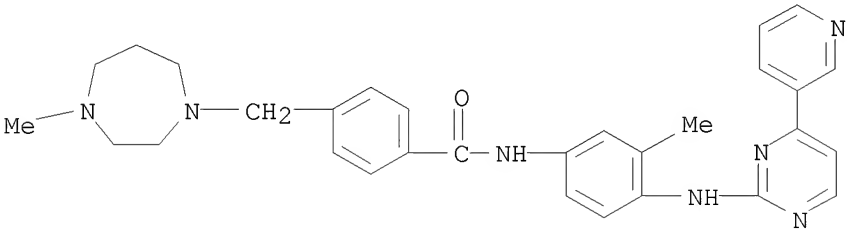
OS MARPAT 141:424204
AB The invention relates to N-phenyl-2-pyrimidine-amine derivs. and their salts, which show superior action against tumors, lung cancer, gastric cancer, etc., in warm-blooded animals. The invention also relates to a process for preparing the compds., and to pharmaceutical compns. for the prevention and treatment of cancer, etc., which comprise the compds. as active ingredients. Specifically claimed are compds. I and salts [wherein: R1 = 3-pyridyl or 4-pyridyl; R2, R3 = (independently) H or lower alkyl; R6 or R7 = -NHCO-p-C6H4-CH2XnR9; X = O or NH; n = 0-1; R9 = C5+ aliphatic or heterocycle, or (homo)piperazinyl substituted by lower alkyl; 1-2 of R4, R5, R6/R7, and R8 = halo, lower alkyl, or lower alkoxy; others = H; provided that when R6 or R7 = said radical and n = 0 and R9 = 4-methylpiperazinyl, then one or more of R4, R5, R6/R7, and R8 is halo]. For example, 3-acetylpyridine was converted in 3 steps to N-(2-methyl-5-nitrophenyl)-4-(3-pyridyl)-2-pyrimidineamine. This nitro compound was reduced to the amine with SnCl2, and the amine was amidated with 4-(ClCH2)C6H4COCl. The obtained 4-(chloromethyl)benzamide derivative was coupled with 1-amino-4-methylpiperazine to give invention compound II, which was converted to the methanesulfonate salt (III). The latter was more than 5-fold more potent than imatinib mesylate against the human CML cell line K562, and was at least as active against other cell lines. Other compds. I showed different spectra of superiority to imatinib mesylate against the various cancer cell lines. In an oral pharmacokinetic assay in rats, III roughly matched the performance of imatinib mesylate (Tmax, Cmax, and AUC) at half the dosage. III also showed no acute toxicity toward mice at a dose of 2000 mg/kg orally. Although several compds. I are preferred with respect to protein kinase inhibition (no data), II is

particularly preferred.

IT 796738-36-8P, 4-[(4-Methylhomopiperazin-1-yl)methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide
796738-38-0P, 4-[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

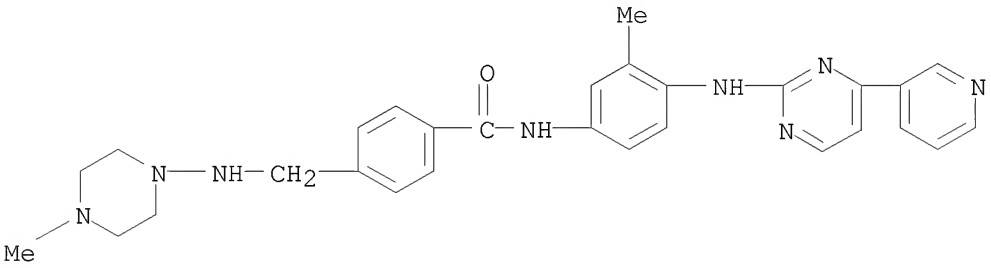
RN 796738-36-8 CAPLUS

CN Benzamide, 4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 796738-38-0 CAPLUS

CN Benzamide, 4-[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



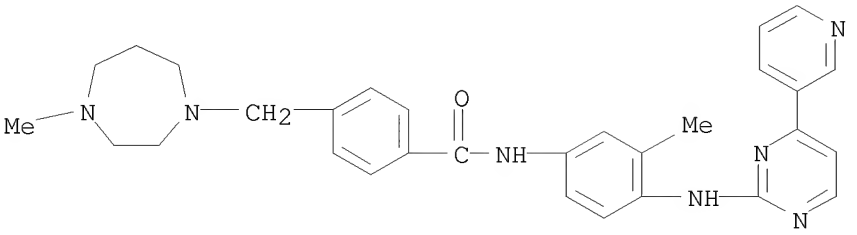
IT 796738-37-9P, 4-[(4-Methylhomopiperazin-1-yl)methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate
796738-39-1P, 4-[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

RN 796738-37-9 CAPLUS

CN Benzamide, 4-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

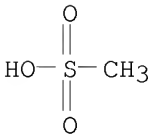
CM 1

CRN 796738-36-8
CMF C30 H33 N7 O



CM 2

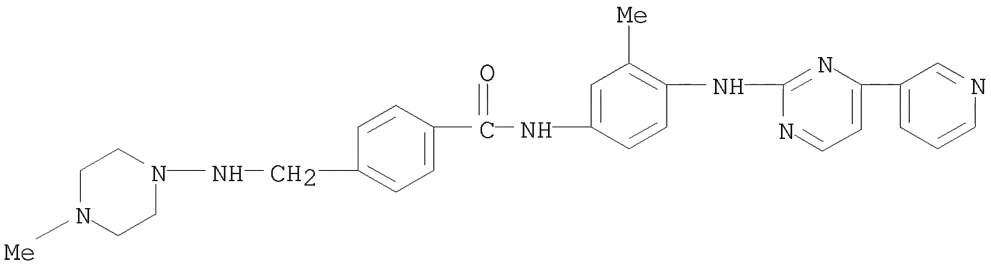
CRN 75-75-2
CMF C H4 O3 S



RN 796738-39-1 CAPLUS
CN Benzamide, 4-[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

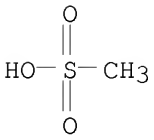
CM 1

CRN 796738-38-0
CMF C29 H32 N8 O



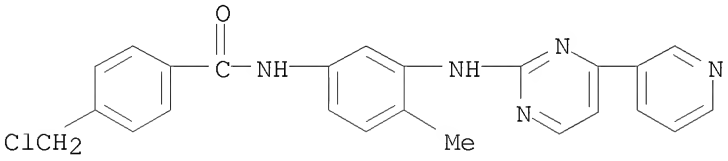
CM 2

CRN 75-75-2
CMF C H4 O3 S

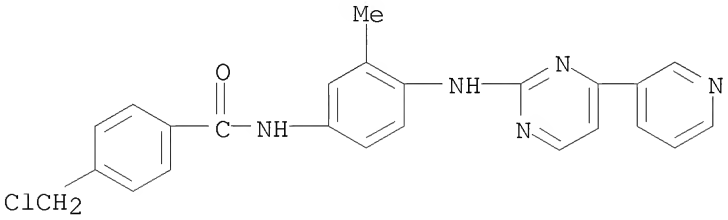


IT 404844-11-7P, N-[5-[[4-(Chloromethyl)benzoyl]amino]-2-methylphenyl]-4-(3-pyridyl)-2-pyrimidineamine 796738-74-4P, N-[4-[[4-(Chloromethyl)benzoyl]amino]-2-methylphenyl]-4-(3-pyridyl)-2-pyrimidineamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 796738-74-4 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



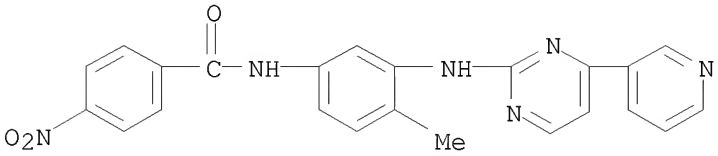
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 38 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:964826 CAPLUS
DN 141:410958
TI Preparation of 2-phenylaminopyrimidine derivatives as tyrosine kinase inhibitors for treatment of cancers
IN Chen, Guoqing P.
PA USA
SO U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

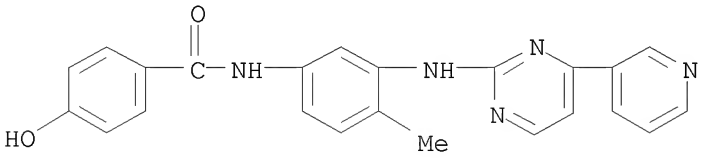
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040224967	A1	20041111	US 2004-821382	20040409
	US 7232825	B2	20070619		
PRAI	US 2003-466883P	P	20030502		

OS MARPAT 141:410958
AB The present invention relates to phenylaminopyrimidine derivs. (I) [X = O, S; Y = a direct bond, O, N, lower alkyl; Z = an aliphatic, cycloaliph., aryl or a heterocyclyl radical; R1 = heterocyclyl; R2 = H, halogen, halo-lower alkyl, lower alkyl, lower alkoxy; R3 = H, lower alkyl; R4 = oxy-lower alkylamino, lower alkoxy-lower alkylamino, oxyheterocyclyl, lower alkyl oxyheterocyclyl, oxy-lower alkylheterocyclyl, lower alkyl oxy-lower alkylheterocyclyl, halo-lower alkylamino, halo-lower alkylheterocyclyl, amino-lower alkylamino, lower alkylamino lower alkylamino, aminoheterocyclyl, lower alkylaminoheterocyclyl, amino-lower alkylheterocyclyl, lower alkylamino-lower alkylheterocyclyl] or pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns. containing them as active ingredient, methods for the treatment of disease states such as cancers associated with tyrosine kinases, especially Bcr-Abl, to their use as medicaments and to their use in the manufacture of medicaments for use in the production of inhibition of tyrosine kinase reducing effects in warm-blooded animals such as humans. Thus, Mitsunobu reaction of N-(tert-butoxycarbonyl)aminoethanol and 4-hydroxy-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide in CH2Cl2 at room temperature for 4 h gave 4-[2-(tert-butoxycarbonylamino)ethoxy]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide which was treated with 4 N HCl/dioxane, evaporated, mixed with NaHCO3, and extracted with EtOAc to give 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide. No biol. data for the compds. I were given.
IT 623900-99-2P, 4-Nitro-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-55-7P, 4-Hydroxy-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-57-9P, 4-[2-(tert-Butoxycarbonylamino)ethoxy]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-83-1P, 4-(Aminomethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-phenylaminopyrimidine derivs. as tyrosine kinase inhibitors for treatment of cancers)
RN 623900-99-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-

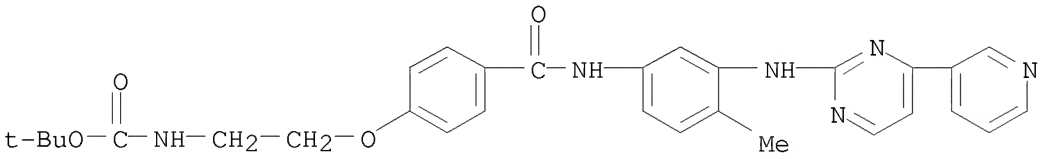
nitro- (CA INDEX NAME)



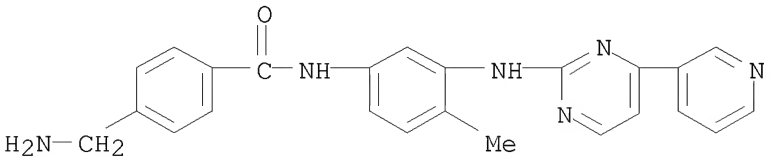
RN 791609-55-7 CAPLUS
CN Benzamide, 4-hydroxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 791609-57-9 CAPLUS
CN Carbamic acid, [2-[4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



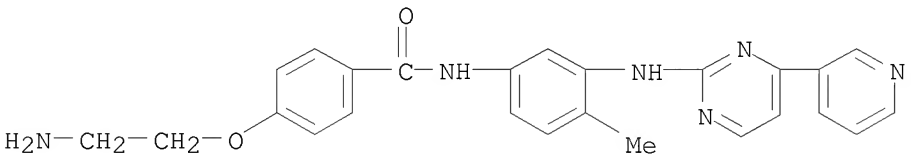
RN 791609-83-1 CAPLUS
CN Benzamide, 4-(aminomethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



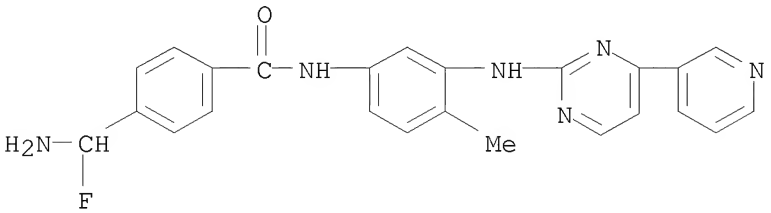
IT 791609-56-8P, 4-(2-Aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-65-9P, 4-(Aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-67-1P, 4-(Aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-71-7P,

4-[[[2-(Dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-74-0P, 4-[[[2-(Dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-87-5P, 4-(2-Aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-92-2P, 4-(Aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-94-4P, 4-(Aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-98-8P, 4-[[[2-(Dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-01-0P, 4-[[[2-(Dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-phenylaminopyrimidine derivs. as tyrosine kinase inhibitors for treatment of cancers)

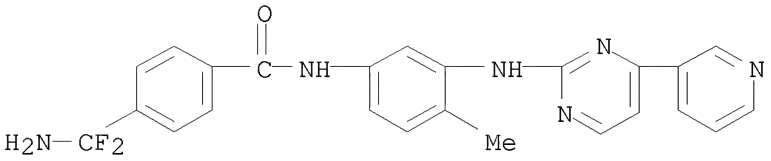
RN 791609-56-8 CAPLUS
CN Benzamide, 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



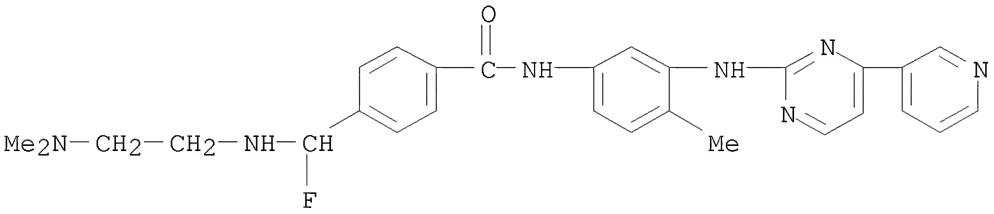
RN 791609-65-9 CAPLUS
CN Benzamide, 4-(aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



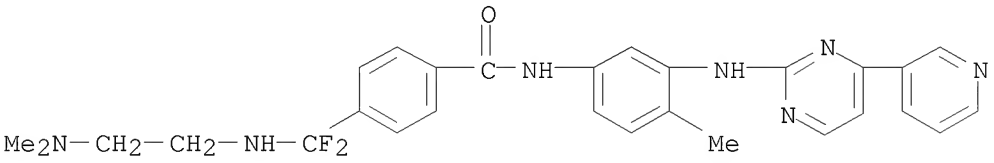
RN 791609-67-1 CAPLUS
CN Benzamide, 4-(aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 791609-71-7 CAPLUS
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



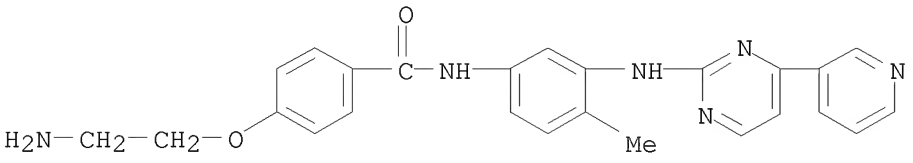
RN 791609-74-0 CAPLUS
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 791609-87-5 CAPLUS
CN Benzamide, 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

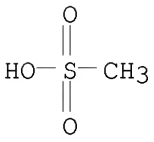
CM 1

CRN 791609-56-8
CMF C25 H24 N6 O2



CM 2

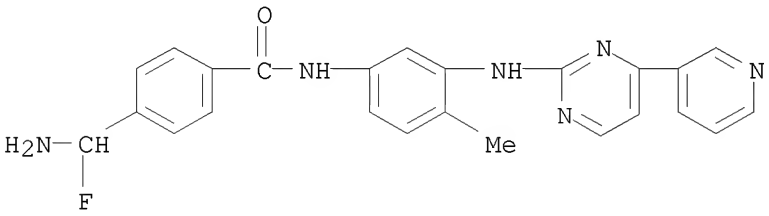
CRN 75-75-2
CMF C H4 O3 S



RN 791609-92-2 CAPLUS
CN Benzamide, 4-(aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

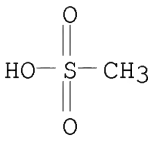
CM 1

CRN 791609-65-9
CMF C24 H21 F N6 O



CM 2

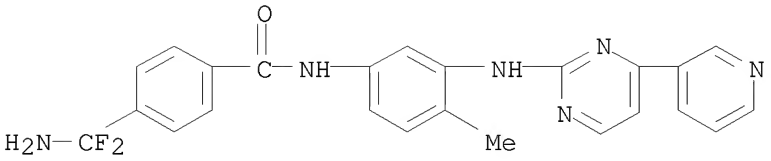
CRN 75-75-2
CMF C H4 O3 S



RN 791609-94-4 CAPLUS
CN Benzamide, 4-(aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

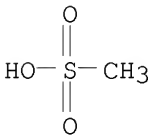
CM 1

CRN 791609-67-1
CMF C24 H20 F2 N6 O



CM 2

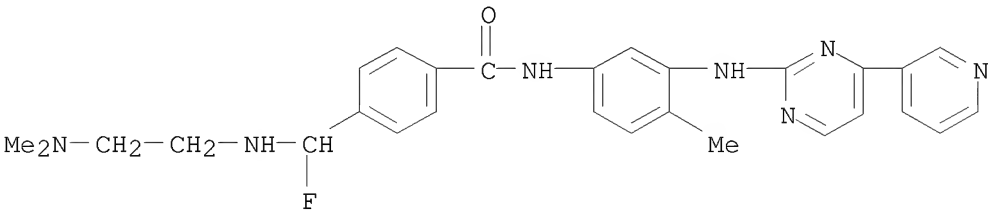
CRN 75-75-2
CMF C H4 O3 S



RN 791609-98-8 CAPLUS
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

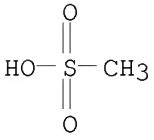
CM 1

CRN 791609-71-7
CMF C28 H30 F N7 O



CM 2

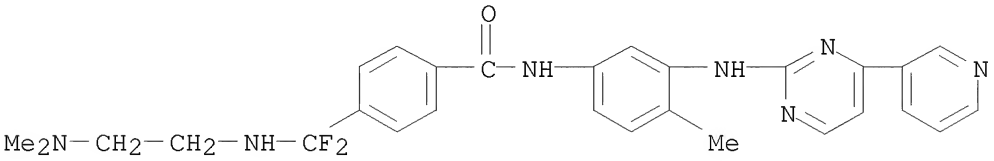
CRN 75-75-2
CMF C H4 O3 S



RN 791610-01-0 CAPLUS
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (1:?) (CA INDEX NAME)

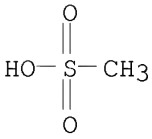
CM 1

CRN 791609-74-0
CMF C28 H29 F2 N7 O



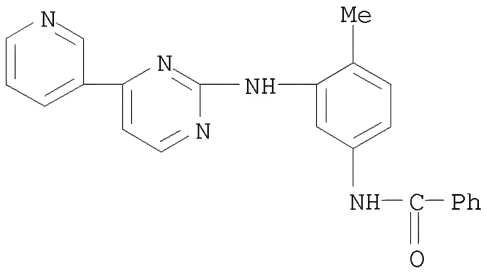
CM 2

CRN 75-75-2
CMF C H4 O3 S



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 39 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:954402 CAPLUS
DN 142:147823
TI Efficient optimization strategy for marginal hits active against abl tyrosine kinases
AU Tkachenko, Sergey E.; Okun, Ilya; Balakin, Konstantin V.; Petersen, Charles E.; Ivanenkov, Yan A.; Savchuk, Nikolay P.; Ivashchenko, Andrey A.
CS Chemical Diversity Labs, Inc., San Diego, CA, 92121, USA
SO Current Drug Discovery Technologies (2004), 1(3), 201-210
CODEN: CDDTAF; ISSN: 1570-1638
PB Bentham Science Publishers Ltd.
DT Journal
LA English
AB Primary high-throughput screening of com. available small mols. collections often results in hit compds. with unfavorable ADME/Tox properties and low IP potential. These issues are addressed empirically at follow-up lead development and optimization stages. In this work, we describe a rational approach to the optimization of hit compds. discovered during screening of a kinase focused library against abl tyrosine kinase. The optimization strategy involved application of modern chemoinformatics techniques, such as automatic bioisosteric transformation of the initial hits, efficient solution-phase combinatorial synthesis, and advanced methods of knowledge-based libraries design.
IT 152459-94-4, CGP-53716
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(efficient optimization strategy for marginal hits active against abl tyrosine kinases)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

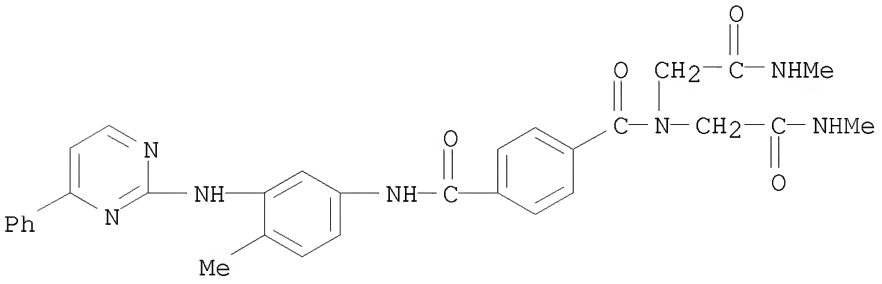
L11 ANSWER 40 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:589375 CAPLUS
DN 141:140459
TI Preparation of sulfamides as anti-cancer agents
IN Flynn, Daniel L.; Petrillo, Peter A.
PA Deciphera Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004060305	A2	20040722	WO 2003-US41425	20031226
	WO 2004060305	A3	20050210		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 20040176395	A1	20040909	US 2003-746607	20031224
	US 7279576	B2	20071009		
	CA 2511840	A1	20040722	CA 2003-2511840	20031226
	AU 2003303639	A1	20040729	AU 2003-303639	20031226
	EP 1590344	A2	20051102	EP 2003-814980	20031226
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003017863	A	20051206	BR 2003-17863	20031226
	CN 1756849	A	20060405	CN 2003-80110049	20031226
	CN 1791596	A	20060621	CN 2003-80110048	20031226
	JP 2006519765	T	20060831	JP 2005-508623	20031226
	IN 2005CN01433	A	20070302	IN 2005-CN1433	20050628
	MX 2005007237	A	20071115	MX 2005-7237	20050630
	US 20090069310	A1	20090312	US 2006-450852	20060609
PRAI	US 2002-437304P	P	20021231		
	US 2002-437403P	P	20021231		
	US 2002-437415P	P	20021231		
	US 2002-437487P	P	20021231		
	US 2003-463804P	P	20030418		
	US 2003-437804P	P	20030103		
	US 2003-746460	A1	20031224		
	US 2003-746545	A	20031224		
	US 2003-746607	A	20031224		
	WO 2003-US41425	W	20031226		

OS MARPAT 141:140459
AB Sulfamides, such as I, were prepared for use as anticancer agents which act by modulating the activation states of abl or bcr-abl α-kinase proteins. Thus, 4-HO2CC6H4CH2NHSO2NHCOR [R = pyrrolidino], prepared from 4-MeO2CC6H4CH2NH2 and pyrrolidine, was treated with the pyrimidinylaminoaniline fragment to give I, which showed 10% inhibition of non-phosphorylated abl kinase at 10μM.
IT 726192-42-3P

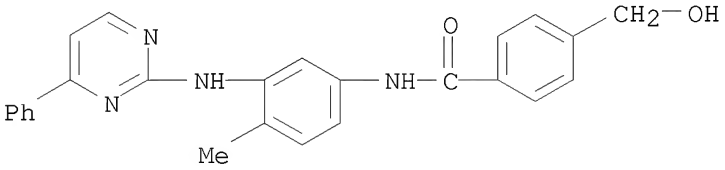
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfamides as anti-cancer agents)

RN 726192-42-3 CAPLUS
CN 1,4-Benzenedicarboxamide, N1,N1-bis[2-(methylamino)-2-oxoethyl]-N4-[4-methyl-3-[(4-phenyl-2-pyrimidinyl)amino]phenyl]- (CA INDEX NAME)

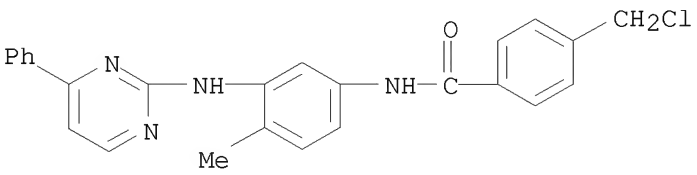


IT 726192-76-3P 726192-77-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfamides as anti-cancer agents)

RN 726192-76-3 CAPLUS
CN Benzamide, 4-(hydroxymethyl)-N-[4-methyl-3-[(4-phenyl-2-pyrimidinyl)amino]phenyl]- (CA INDEX NAME)



RN 726192-77-4 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[(4-phenyl-2-pyrimidinyl)amino]phenyl]- (CA INDEX NAME)

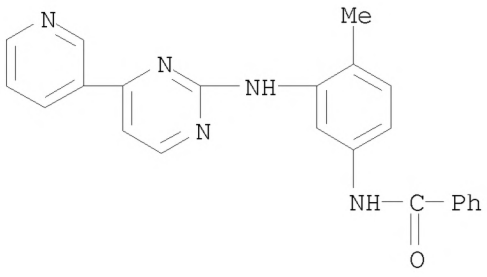


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 41 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:533970 CAPLUS
DN 141:65088
TI Methods and compositions for the prevention or treatment of neoplasia comprising a COX-2 inhibitor in combination with an epidermal growth factor receptor antagonist
IN Masferrer, Jaime
PA Pharmacia Corporation, USA
SO U.S. Pat. Appl. Publ., 103 pp., Cont.-in-part of U.S. Ser. No. 470,951.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 21

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040127470	A1	20040701	US 2003-651916	20030829
	EP 1522313	A1	20050413	EP 2004-26577	19991222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
	AU 2004201161	A1	20040422	AU 2004-201161	20040319
	AU 2004201161	B2	20060209		
	WO 2005037259	A2	20050428	WO 2004-US27574	20040825
	WO 2005037259	A3	20050804		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004210578	A1	20041007	AU 2004-210578	20040910
PRAI	US 1998-113786P	P	19981223		
	US 1999-470951	B2	19991222		
	US 1999-385214	A	19990827		
	AU 2000-25936	A3	19991222		
	AU 2000-27134	A3	19991222		
	EP 1999-968939	A3	19991222		
	US 2003-651916	A	20030829		

AB The present invention relates to a novel method of preventing and/or treating neoplasia disorders in a subject that is in need of such prevention or treatment by administering to the subject at least one COX-2 inhibitor in combination with an EGF receptor antagonist. Compns., pharmaceutical compns. and kits are also described.
IT 152459-94-4, CGP-53716
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as EGFR antagonist; COX-2 inhibitor in combination with epidermal growth factor receptor antagonist for prevention or treatment of neoplasia)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)


N
N
NH
N
Me
NH
C
Ph
O

L11 ANSWER 42 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:287838 CAPLUS
DN 140:321373
TI Preparation of novel pyrimidine amides as protein kinase inhibitors
IN Manley, Paul William; Breitenstein, Werner; Jacob, Sandra; Furet, Pascal
PA Novartis Ag, Switz.; Novartis Pharma GmbH
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

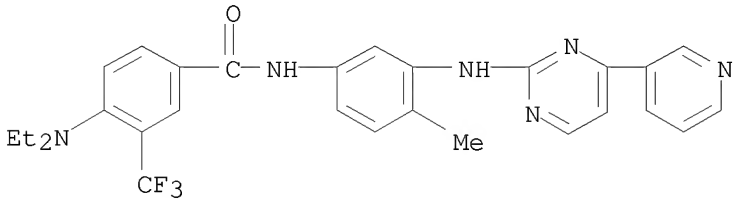
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	WO 2004029038	A1	20040408	WO 2003-EP10724		20030926	no 102(a) or (e) date
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW						
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR						
	CA 2499822	A1	20040408	CA 2003-2499822		20030926	
	AU 2003270277	A1	20040419	AU 2003-270277		20030926	
	AU 2003270277	B2	20070823				
	EP 1546127	A1	20050629	EP 2003-750639		20030926	
	EP 1546127	B1	20070808				
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
	BR 2003014797	A	20050726	BR 2003-14797		20030926	
	CN 1684951	A	20051019	CN 2003-823213		20030926	
	CN 100404528	C	20080723				
	JP 2006508064	T	20060309	JP 2004-539039		20030926	
	AT 369355	T	20070815	AT 2003-750639		20030926	
	ES 2288615	T3	20080116	ES 2003-750639		20030926	
	NZ 538930	A	20080430	NZ 2003-538930		20030926	
	ZA 2005002304	A	20060426	ZA 2005-2304		20050318	
	MX 2005003253	A	20050608	MX 2005-3253		20050323	
	IN 2005CN00464	A	20070406	IN 2005-CN464		20050323	
	KR 876055	B1	20081226	KR 2005-705204		20050325	
	NO 2005001966	A	20050422	NO 2005-1966		20050422	
	HK 1080459	A1	20080215	HK 2005-111972		20051223	
	US 20060142577	A1	20060629	US 2006-528913	ODP	20060105	
	KR 2007098940	A	20071005	KR 2007-719251		20070823	
	IN 2007CN04330	A	20080125	IN 2007-CN4330		20071001	
PRAI	GB 2002-22514	A	20020927				
	WO 2003-EP10724	W	20030926				
	IN 2005-CN464	A3	20050323				
	KR 2005-705204	A3	20050325				

OS MARPAT 140:321373
AB The title substituted N-(3-benzoylaminophenyl)-4-pyridyl-2-pyrimidinamines [I; R1 = H and R2 = NR5R6, or R1 = NR5R6 and R2 = H; R3 = alkyl, fluoroalkyl, hydroxyalkyl, carbamoyl; R4 = H, alkyl, halo; R5 and R6 = H, alkyl, hydroxyalkyl, etc. or NR5R6 = (un)substituted (un)saturated 5-7 membered ring optionally containing heteroatoms], useful for the therapy of a disease which responds to an inhibition of protein kinase activity, especially a

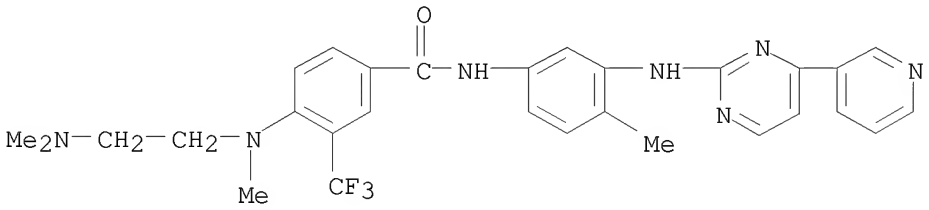
neoplastic disease (e.g., leukemia), were prepared and formulated. Thus, amidation of 4-methyl-N-[4-(3-pyridinyl)-2-pyrimidinyl]-1,3-benzenediamine with 4-diethylamino-3-(trifluoromethyl)benzoic acid (preparation given) afforded I [R1 = H; R2 = NEt2; R3 = CF3; R4 = Me] which showed IC50 of 50-100 nM against c-Abl and IC50 of 200-500 nM against Bcr-Abl (in vitro inhibition data).

IT 677704-35-7P 677704-49-3P 677704-51-7P
677704-52-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel N-[3-(pyrimidin-2-ylamino)phenyl] benzamides as protein kinase inhibitors)

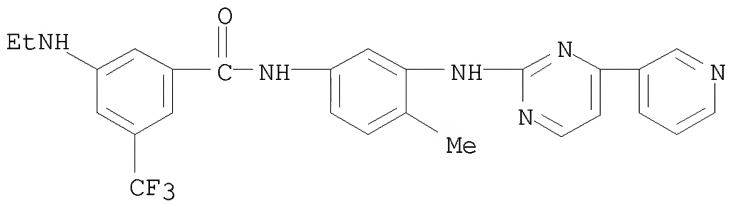
RN 677704-35-7 CAPLUS
CN Benzamide, 4-(diethylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 677704-49-3 CAPLUS
CN Benzamide, 4-[[2-(dimethylamino)ethyl]methylamino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

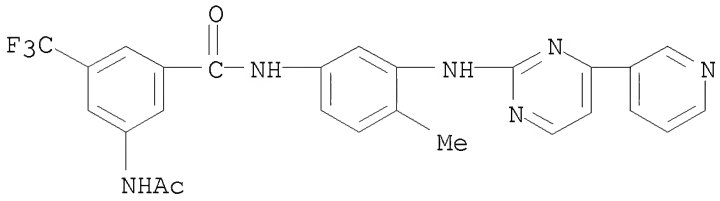


RN 677704-51-7 CAPLUS
CN Benzamide, 3-(ethylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)



RN 677704-52-8 CAPLUS

CN Benzamide, 3-(acetylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

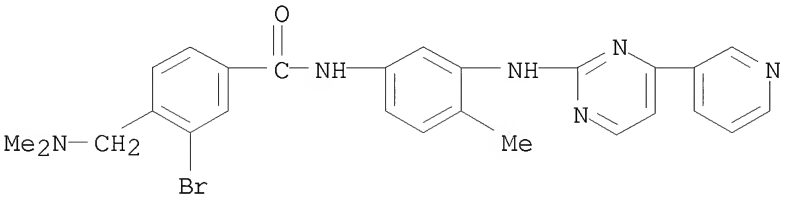
L11 ANSWER 43 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:20664 CAPLUS
DN 140:77165
TI Preparation of 4-[(4-methylpiperazin-1-yl)methyl]benzamide for treatment of leukemia
IN Asaki, Tetsuo; Hamamoto, Taisuke; Sugiyama, Yukiteru
PA Nippon Shinyaku Co., Ltd., Japan
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004002963	A1	20040108	WO 2003-JP8192	20030627
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2490907	A1	20040108	CA 2003-2490907	20030627
	AU 2003246100	A1	20040119	AU 2003-246100	20030627
	BR 2003012288	A	20050412	BR 2003-12288	20030627
	EP 1533304	A1	20050525	EP 2003-738555	20030627
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1678590	A	20051005	CN 2003-820146	20030627
	CN 100343237	C	20071017		
	RU 2315043	C2	20080120	RU 2005-102098	20030627
	MX 2004012845	A	20050224	MX 2004-12845	20041216
	US 20060014742	A1	20060119	US 2004-519722	20041228
	US 7494997	B2	20090224		
PRAI	JP 2002-189269	A	20020628		
	JP 2002-305146	A	20021018		
	JP 2002-377937	A	20021226		
	WO 2003-JP8192	W	20030627		

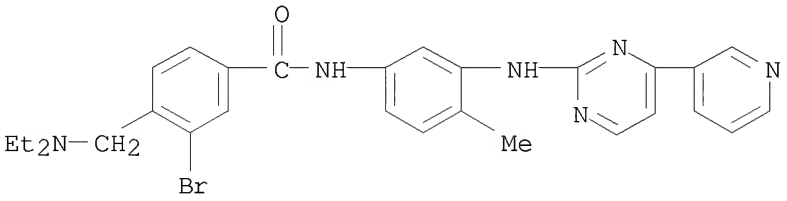
OS MARPAT 140:77165
AB The title compds. I [wherein R1 = saturate cyclic amino, alkylamino, or dialkylamino; R2 = alkyl, halo, haloalkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, acyl, amino, alkylamino, dialkylamino, NO2, carbamoyl, alkylcarbamoyl, dialkylcarbamoyl, or CN; R3 = H, halo, or alkoxy; Het1 = pyridyl, Ph, pyrimidyl, pyrazinyl, or triazinyl; Het2 = pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, or 1,2-dihydropyridazinyl; etc.] or salts thereof are prepared as BCR-ABL tyrosine kinase inhibitors, and are useful for the treatment of leukemia (no data). For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activities with IC50 of 0.0008 and 3.99 μM against cell proliferation of K562 and U937, resp., in cow. Formulations containing I as an active ingredient were also described.
IT 641615-11-4P 641615-12-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of [(piperazinyl)methyl]benzamides for treatment of leukemia)

RN 641615-11-4 CAPLUS
CN Benzamide, 3-bromo-4-[(dimethylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

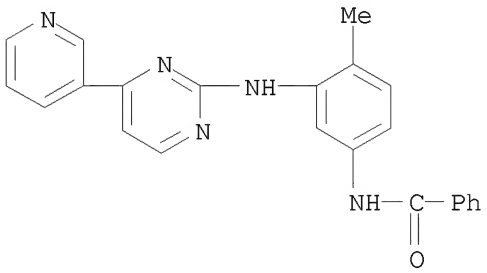


RN 641615-12-5 CAPLUS
CN Benzamide, 3-bromo-4-[(diethylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



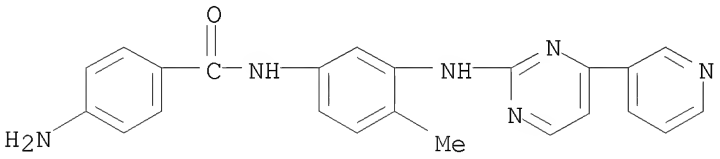
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 44 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:738968 CAPLUS
DN 139:358017
TI Kinases, Homology Models, and High Throughput Docking
AU Diller, David J.; Li, Rixin
CS Pharmacopeia, Inc., Princeton, NJ, 08543-5350, USA
SO Journal of Medicinal Chemistry (2003), 46(22), 4638-4647
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB With the many protein sequences coming from the genome sequencing projects, it is unlikely that the authors will ever have an atomic resolution structure of every relevant protein. With high throughput crystallog., however, the authors will soon have representative structures for the vast majority of protein families. Thus the drug discovery and design process will rely heavily on protein modeling to address issues such as designing combinatorial libraries for an entire class of targets and engineering genome-wide selectivity over a target class. In this study the authors assess the value of high throughput docking into homol. models. To do this the authors dock a database of random compds. seeded with known inhibitors into homol. models of six different kinases. In five of the six cases the known inhibitors were enriched by factors of 4-5 in the top 5% of the overall scored and ranked compds. Furthermore, in the same five cases the known inhibitors were enriched by factors of 2-3 in the top 5% of the scored and ranked known kinase inhibitors, thus showing that the homol. models can pick up some of the crucial selectivity information.
IT 152459-94-4D, derivs.
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(protein kinases and homol. models and high throughput docking in relation to drug discovery and design)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

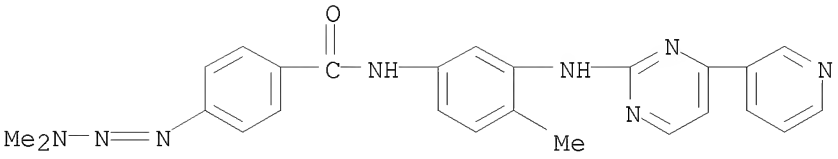


RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

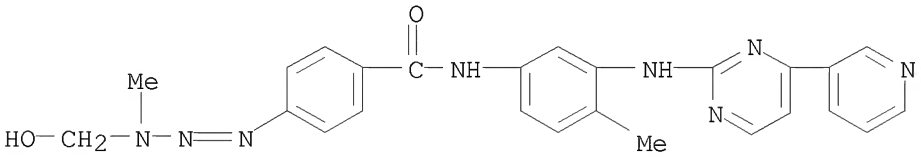
L11 ANSWER 45 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:689661 CAPLUS
DN 139:374254
TI Synthesis of pyrimidinopyridine-triazene conjugates targeted to abl tyrosine kinase
AU Rachid, Zakaria; Katsoulas, Athanasia; Brahimi, Fouad; Jean-Claude, Bertrand Jacques
CS Department of Medicine, Division of Medical Oncology, Cancer Drug Research Laboratory, McGill University/Royal Victoria Hospital, Montreal, QC, 687, Can.
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(19), 3297-3300
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 139:374254
AB The synthesis and abl tyrosine kinase inhibitory activities of alkyltriazenes conjugated to phenylaminopyrimidines are described. Significant abl inhibitory activities were observed only when a benzamido spacer was inserted between the 1,2,3-triazene chain and the 2-phenyaminopyridopyrimidine moiety.
IT 623901-01-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of pyrimidinopyridine-triazene conjugates targeted to abl tyrosine kinase and cytotoxicity structure activity)
RN 623901-01-9 CAPLUS
CN Benzamide, 4-amino-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



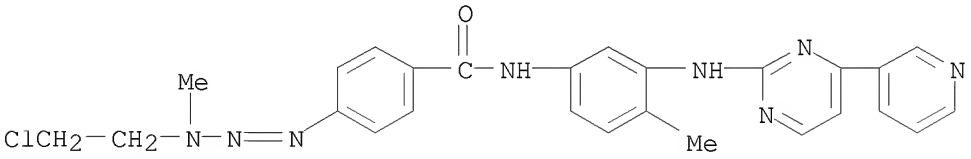
IT 623901-03-1P 623901-04-2P 623901-05-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of pyrimidinopyridine-triazene conjugates targeted to abl tyrosine kinase and cytotoxicity structure activity)
RN 623901-03-1 CAPLUS
CN Benzamide, 4-(3,3-dimethyl-1-triazen-1-yl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



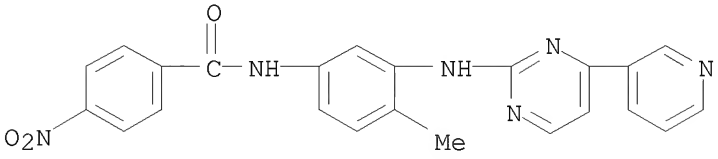
RN 623901-04-2 CAPLUS
CN Benzamide, 4-[3-(hydroxymethyl)-3-methyl-1-triazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 623901-05-3 CAPLUS
CN Benzamide, 4-[3-(2-chloroethyl)-3-methyl-1-triazen-1-yl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



IT 623900-99-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyrimidinopyridine-triazene conjugates targeted to abl tyrosine kinase and cytotoxicity structure activity)
RN 623900-99-2 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-nitro- (CA INDEX NAME)



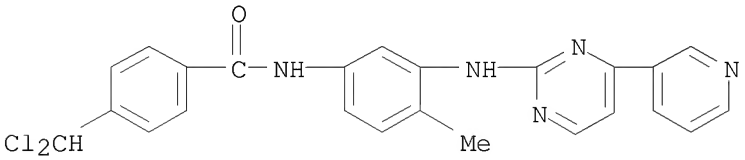
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 46 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:633685 CAPLUS
DN 139:180080
TI Preparation of N-(pyridin-3-ylpyrimidin-2-ylaminophenyl)benzamide derivatives
IN Loiseleur, Olivier; Kaufmann, Daniel; Abel, Stephan; Buerger, Hans Michael; Meisenbach, Mark; Schmitz, Beat; Sedelmeier, Gottfried
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
common assignee
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066613	A1	20030814	WO 2003-EP1188	20030206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
	CA 2474738	A1	20030814	CA 2003-2474738	20030206
	AU 2003244444	B9	20030902	AU 2003-244444	20030206
	AU 2003244444	A1	20030902		
	AU 2003244444	B2	20070809		
	EP 1474408	A1	20041110	EP 2003-737319	20030206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007529	A	20041221	BR 2003-7529	20030206
	CN 1630648	A	20050622	CN 2003-803556	20030206
	CN 100347162	C	20071107		
	JP 2005528340	T	20050922	JP 2003-565987	20030206
	NZ 534315	A	20070629	NZ 2003-534315	20030206
	CN 101016262	A	20070815	CN 2007-10086009	20030206
	NZ 554430	A	20080829	NZ 2003-554430	20030206
	IN 2004CN01716	A	20060224	IN 2004-CN1716	20040804
	MX 2004007642	A	20041110	MX 2004-7642	20040806
	NO 2004003685	A	20041105	NO 2004-3685	20040903
	US 20060142580	A1	20060629	US 2005-503538	20050120
	US 7456283	B2	20081125		
	ZA 2004005970	A	20060531	ZA 2004-5970	20060329
	AU 2007203462	A1	20070816	AU 2007-203462	20070725
	AU 2007203463	A1	20070816	AU 2007-203463	20070725
	US 20070293672	A1	20071220	US 2007-845914	20070828
	US 20070293673	A1	20071220	US 2007-845924	20070828
	US 20070293504	A1	20071220	US 2007-845934	20070828
	US 20070293683	A1	20071220	US 2007-845946	20070828
	IN 2007CN04593	A	20080111	IN 2007-CN4593	20071015
PRAI	GB 2002-2873	A	20020207		
	AU 2003-244444	A3	20030206		
	CN 2003-803556	A3	20030206		
	NZ 2003-534315	A3	20030206		
	WO 2003-EP1188	W	20030206		
	IN 2004-CN1716	A3	20040804		

no ODP

US 2005-503538 A3 20050120
OS MARPAT 139:180080
AB The present invention relates to a process for the preparation of the title compds., amides I [R1, R2, R3, R4, R5 = lower alkyl, amino, lower alkoxycarbonyl, unsubstituted or substituted radical selected from benzylamino, benzoylamino, pyrrolidinyl, piperazinyl, 4-methylpiperazinyl, H, cyano, etc., with substituents selected from cyano, lower alkyl, CF3, halogen, etc.; R1R2 or R2R3 or R3R4 or R4R5 = substituted or unsubstituted alkylene radical with 4 carbons, substituents = cyano, hydroxy, 4-methylpiperazinyl-substituted lower alkyl, etc. while the other three radicals are independently H, cyano, hydroxy, CF3, etc.; R6, R7, or R8 = halogen, NH2, NO2, NHCOCF3, NHCOMe, NHC(NH)NH2 while the other two radicals are H, lower alkyl, lower fluorinated alkyl, benzyl, Ph, Me]. For example, benzamide II was prepared by reacting 4-(3-pyridyl)-2-pyridineamine with N-(3-bromo-4-methylphenyl)-4-(4-methylpiperazin-1-ylmethyl)benzamide, which was prepared by condensing 3-bromo-4-methylaniline and 4-(4-methylpiperazin-1-ylmethyl)benzoic acid Me ester in toluene in the presence of AlMe3.
IT 581076-66-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-(pyridin-3-ylpyrimidin-2-ylaminophenyl)benzamide derivs.)
RN 581076-66-6 CAPLUS
CN Benzamide, 4-(dichloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 47 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:591164 CAPLUS
DN 139:149642
TI Preparation of benzoylaminophenylaminopyrimidinylpyridines as antitumor agents
IN Boernsen, Klaus Olaf; End, Peter; Gross, Gerhard; Pfaar, Ulrike
PA Novartis Ag, Switz.; Novartis Pharma Gmbh
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English

common assignee

FAN.CNT 1

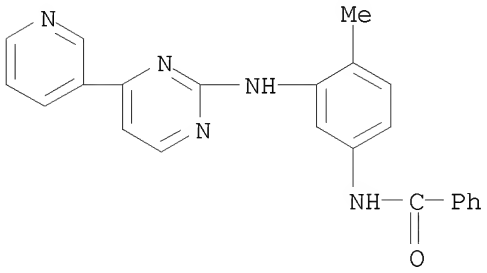
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003062220	A1	20030731	WO 2003-EP613	20030122
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
	CA 2474104	A1	20030731	CA 2003-2474104	20030122
	EP 1470120	A1	20041027	EP 2003-731700	20030122
	EP 1470120	B1	20071212		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007058	A	20041228	BR 2003-7058	20030122
	JP 2005519908	T	20050707	JP 2003-562099	20030122
	JP 4213595	B2	20090121		
	CN 1646519	A	20050727	CN 2003-802708	20030122
	EP 1783126	A2	20070509	EP 2007-101787	20030122
	EP 1783126	A3	20081126		
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, SE, SI, SK, TR, RO				
	AT 380807	T	20071215	AT 2003-731700	20030122
	ES 2294293	T3	20080401	ES 2003-731700	20030122
	IN 2004CN01599	A	20060224	IN 2004-CN1599	20040720
	KR 778163	B1	20071128	KR 2004-711382	20040722
	MX 2004007130	A	20041029	MX 2004-7130	20040723
	US 20050209452	A1	20050922	US 2005-502291 ODP	20050429
	KR 2007058019	A	20070607	KR 2007-711065	20070515
	JP 2009051837	A	20090312	JP 2008-208640	20080813
PRAI	GB 2002-1508	A	20020123		
	EP 2003-731700	A3	20030122		
	JP 2003-562099	A3	20030122		
	WO 2003-EP613	W	20030122		
	KR 2004-711382	A3	20040722		

OS MARPAT 139:149642
AB Title compds. I [R1 = , OH; R2 = H, alkyl, hydroxyalkyl; A = NR3R4, CR3R4, OR3R4; R3R4 = (un)substituted alkylene, oxaalkylene, azaalkylene; at least one N atom is substituted by O] were prepared for use as antitumor agents (no data). Thus, I [R1 = H, R2 = Me, A = 4-methyl-4-oxido-1-piperazinyl] was prepared by oxidation of I [R1 = H, R2 = Me, A = 4-methyl-1-piperazinyl].
IT 152459-94-4
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzoylaminophenylaminopyrimidinylpyridines as antitumor agents)

RN 152459-94-4 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



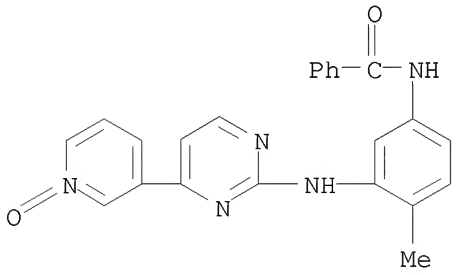
IT 180258-56-4P 571187-02-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzoylaminophenylaminopyrimidinylpyridines as antitumor agents)

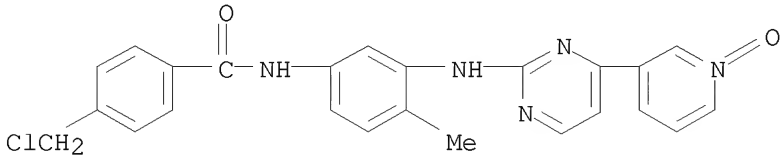
RN 180258-56-4 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(1-oxido-3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 571187-02-5 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(1-oxido-3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



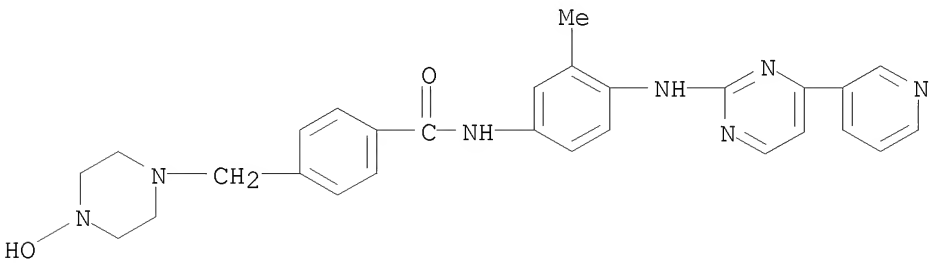
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 48 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:551338 CAPLUS
DN 139:111702
TI Compositions and methods using ATP-dependent γ-secretase modulators for prevention and treatment of amyloid-β peptide-related disorders, and screening methods for modulators of Aβ
IN Netzer, William J.; Greengard, Paul; Xu, Huaxi
PA The Rockefeller University, USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003057165	A2	20030717	WO 2003-US249	20030106
	WO 2003057165	A3	20031113		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003206397	A1	20030724	AU 2003-206397	20030106
	AU 2003206397	B2	20080717		
	US 20040028673	A1	20040212	US 2003-337261	20030106
	EP 1469810	A2	20041027	EP 2003-703695	20030106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005522417	T	20050728	JP 2003-557524	20030106
PRAI	US 2002-345009P	P	20020104		
	WO 2003-US249	W	20030106		

OS MARPAT 139:111702
AB The invention provides methods and compns. for modulating levels of amyloid-β peptide (Aβ) exhibited by cells or tissues. The invention also provides pharmaceutical compns. and methods of screening for compds. that modulate Aβ levels. The invention also provides modulation of Aβ levels via selective modulation (e.g., inhibition) of ATP-dependent γ-secretase activity. The invention also provides methods of preventing, treating or ameliorating the symptoms of a disorder, including but not limited to an Aβ-related disorder, by administering a modulator of γ-secretase, including, but not limited to, a selective inhibitor of ATP-dependent γ-secretase activity or an agent that decreases the formation of active (or optimally active) γ-secretase. The invention also provides the use of inhibitors of ATP-dependent γ-secretase activity to prevent, treat or ameliorate the symptoms of Alzheimer's disease.
IT 560070-08-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ATP-dependent enzyme modulators for prevention and treatment of amyloid-β peptide-related disorders, and screening methods for modulators of Aβ)

RN 560070-08-8 CAPLUS
CN Benzamide, 4-[(4-hydroxy-1-piperazinyl)methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 49 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:889028 CAPLUS
DN 137:379974
TI Pyridylpyrimidine derivatives as effective compounds against prion diseases
IN Stein-Gerlach, Matthias; Salassidis, Konstadinos; Bacher, Gerald; Mueller, Stefan
PA Axxima Pharmaceuticals A.-G., Germany
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

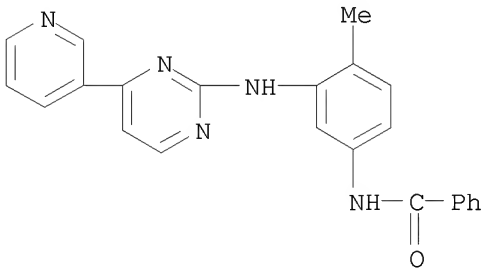
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002093164	A2	20021121	WO 2002-EP5420	20020516
	WO 2002093164	A3	20030904		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2446939	C	20021121	CA 2002-2446939	20020516
	CA 2446939	A1	20021121		
	AU 2002342878	A1	20021125	AU 2002-342878	20020516
	EP 1395261	A2	20040310	EP 2002-769490	20020516
	EP 1395261	B1	20060628		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	AT 331519	T	20060715	AT 2002-769490	20020516
	EP 1721609	A2	20061115	EP 2006-13237	20020516
	EP 1721609	A3	20070131		
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR				
	US 20030176443	A1	20030918	US 2002-204041	20020816
	US 20060217404	A1	20060928	US 2006-350410	20060208
PRAI	EP 2001-111858	A	20010516		
	US 2001-293528P	P	20010529		
	EP 2001-117113	A	20010713		
	US 2001-305898P	P	20010718		
	EP 2002-769490	A3	20020516		
	WO 2002-EP5420	W	20020516		
	US 2002-204041	B1	20020816		

OS MARPAT 137:379974
AB The present invention relates to pyridylpyrimidine derivs. of the general formula (I) : wherein R represents hydrogen or Me and Z represents nitrogen containing functional groups, the use of the pyridylpyrimidine derivs. as pharmaceutically active agents, especially for the prophylaxis and/or
treatment of prion infections and prion diseases, as well as compns. containing at least one pyridylpyrimidine derivative and/or pharmaceutically acceptable salt thereof. Furthermore, the present invention is directed

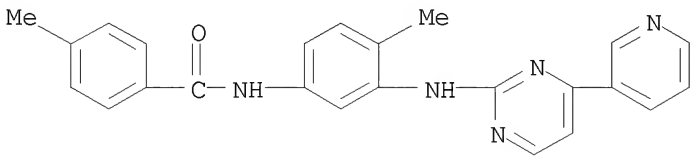
to methods for preventing and/or treating prion infections and prion diseases using said pyridylpyrimidine derivs. Human cellular protein kinases, phosphatases and cellular signal transduction mols. are disclosed as targets for detecting, preventing and/or treating prion infections and diseases, especially BSE, vCJD, or CJD, which can be inhibited by the inventive pyridylpyrimidine derivs.

IT 152459-94-4 152459-96-6 152459-98-8
152459-99-9 404844-11-7 475587-13-4
475587-14-5 475587-15-6 475587-18-9
475587-19-0 475587-25-8 475587-26-9
475587-27-0 475587-29-2 475587-31-6
475587-32-7 475587-38-3 475587-43-0
475587-44-1 475587-46-3 475587-47-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyridylpyrimidine derivs. as effective compds. against prion diseases)

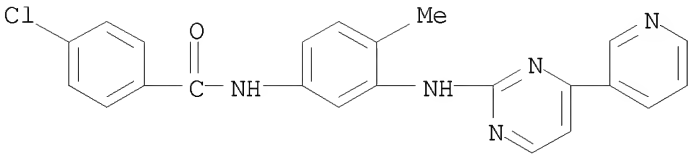
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



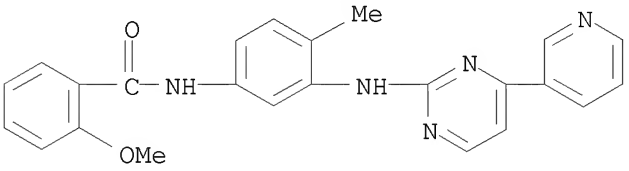
RN 152459-96-6 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



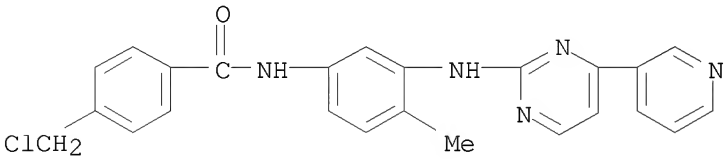
RN 152459-98-8 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



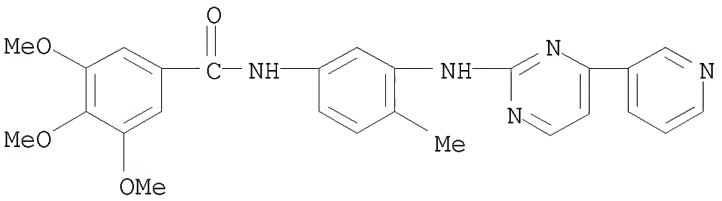
RN 152459-99-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 475587-13-4 CAPLUS
CN Benzamide, 3,4,5-trimethoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 475587-14-5 CAPLUS
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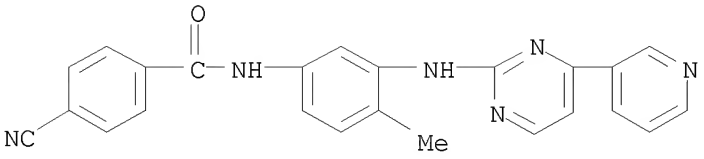
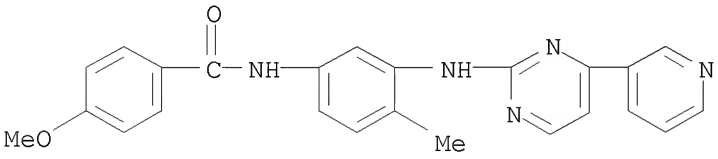
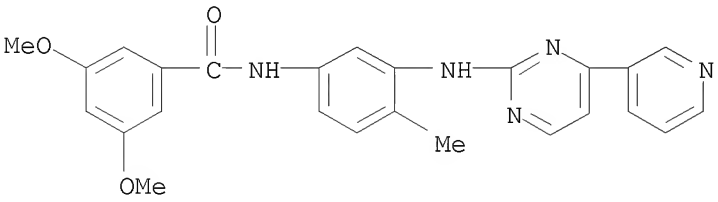


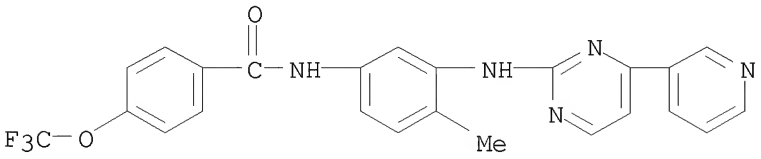
RN 475587-15-6 CAPLUS
CN Benzamide, 4-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



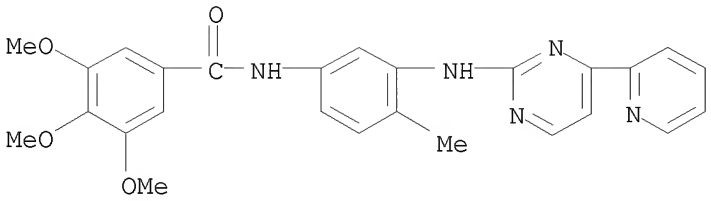
RN 475587-18-9 CAPLUS
CN Benzamide, 3,5-dimethoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 475587-19-0 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)



RN 475587-25-8 CAPLUS
CN Benzamide, 3,4,5-trimethoxy-N-[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 475587-26-9 CAPLUS
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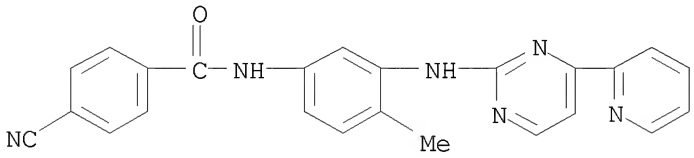

RN 475587-27-0 CAPLUS
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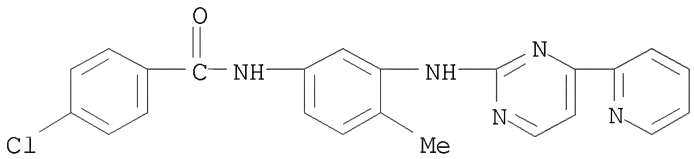
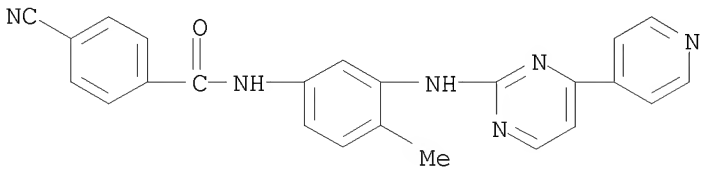


RN 475587-29-2 CAPLUS
CN Benzamide, 4-cyano-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 475587-31-6 CAPLUS
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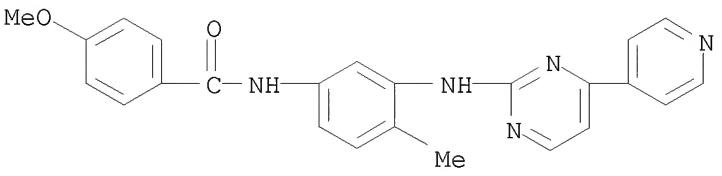
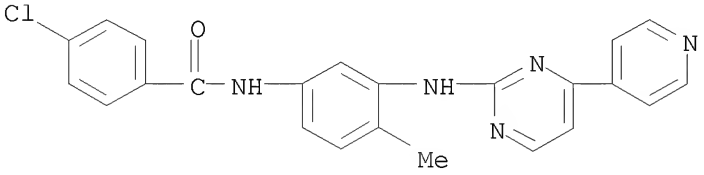
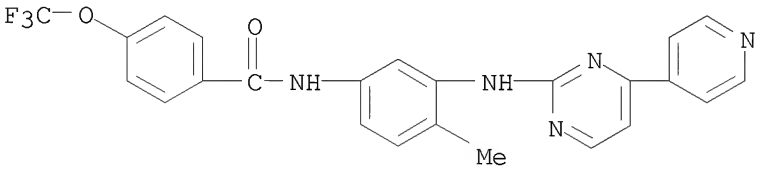


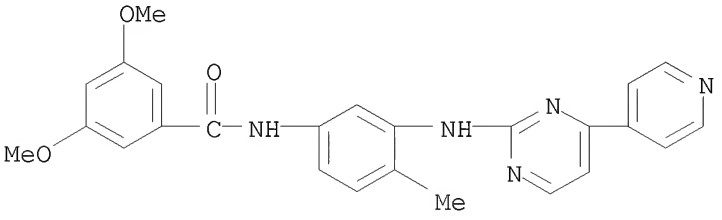
RN 475587-32-7 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



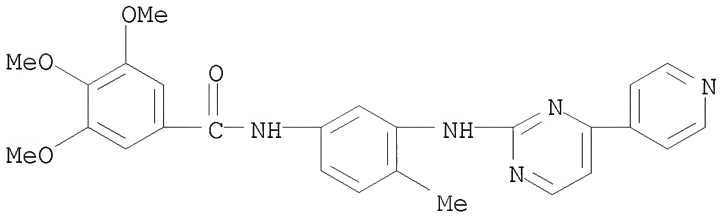
RN 475587-38-3 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)



RN 475587-43-0 CAPLUS
CN Benzamide, 3,5-dimethoxy-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 475587-44-1 CAPLUS
CN Benzamide, 3,4,5-trimethoxy-N-[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 475587-46-3 CAPLUS
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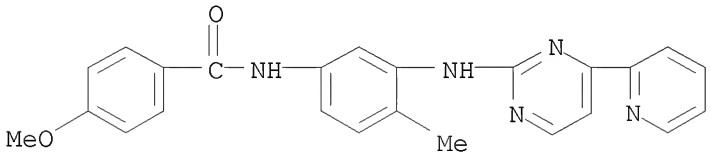

RN 475587-47-4 CAPLUS
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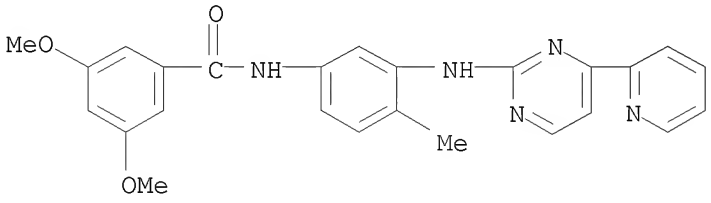

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 50 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:220573 CAPLUS
DN 136:247605
TI N-phenyl-2-pyrimidinamine derivatives as tyrosine kinase inhibitors
IN Buerger, Hans Michael; Caravatti, Giorgio; Zimmermann, Juerg; Manley, Paul William; Breitenstein, Werner; Cudd, Margaret Amelia
PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022597	A1	20020321	WO 2001-EP10503	20010911
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2416274	A1	20020321	CA 2001-2416274	20010911
	AU 2002018167	A	20020326	AU 2002-18167	20010911
	BR 2001013838	A	20030603	BR 2001-13838	20010911
	EP 1322634	A1	20030702	EP 2001-984640	20010911
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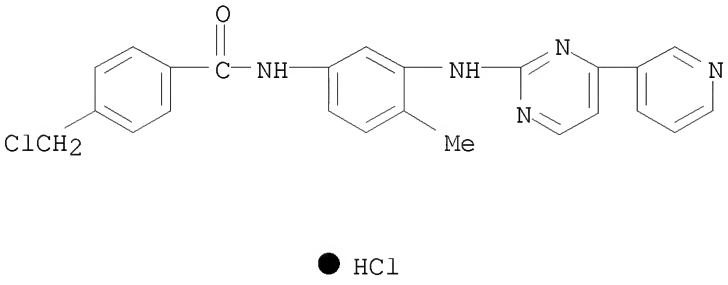
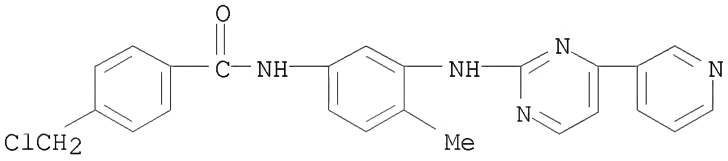
	JP 2004509111	T	20040325	JP 2002-526850	20010911
	CN 1525967	A	20040901	CN 2001-815539	20010911
	CN 1872850	A	20061206	CN 2006-10100258	20010911
	US 20040102453	A1	20040527	US 2003-363841	20030310
	US 7081532 ODP	B2	20060725		
	US 20060223818	A1	20061005	US 2006-448649	20060607
	US 7312216 ODP	B2	20071225		
	US 20070265292	A1	20071115	US 2007-828367	20070726
	US 7329661 ODP	B2	20080212		
PRAI	GB 2000-22438	A	20000913		
	CN 2001-815539	A3	20010911		
	WO 2001-EP10503	W	20010911		
	US 2003-363841	A3	20030310		
	US 2006-448649	A1	20060607		

OS MARPAT 136:247605
AB The N-phenyl-2-pyrimidinamines I [R = substituted Ph; R1 = (un)substituted pyrazinyl, 1-methylpyrrolyl, aminophenyl, aminoalkylphenyl, indolyl, imidazolyl, pyridyl, pyridyl N-oxide; R2, R3 = H, alkyl] were prepared for use as tyrosine kinase inhibitors with IC50 of 3-300 nM. Thus, the benzamide II [R4 = 4-ethylpiperazino] was prepared from II [R4 = Cl] and 1-ethylpiperazine.
IT 404844-10-6 404844-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-phenyl-2-pyrimidinamine derivs. as tyrosine kinase inhibitors)
RN 404844-10-6 CAPLUS

CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

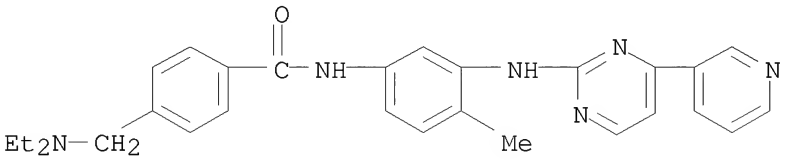


RN 404844-11-7 CAPLUS
CN Benzamide, 4-(chloromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

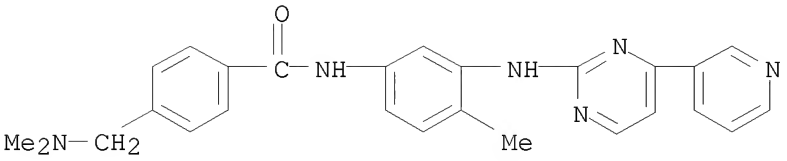


IT 404843-95-4P 404843-96-5P 404844-04-8P
404844-05-9P 404844-06-0P 404844-07-1P
404844-08-2P 404844-09-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-phenyl-2-pyrimidinamine derivs. as tyrosine kinase inhibitors)

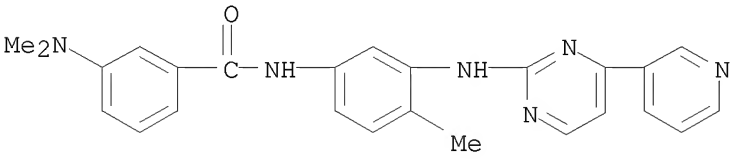
RN 404843-95-4 CAPLUS
CN Benzamide, 4-[(diethylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



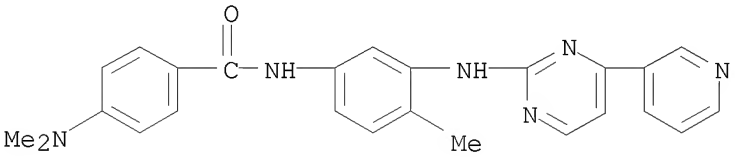
RN 404843-96-5 CAPLUS
CN Benzamide, 4-[(dimethylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



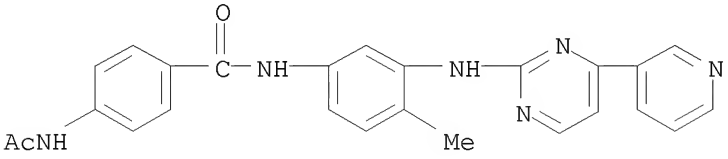
RN 404844-04-8 CAPLUS
CN Benzamide, 3-(dimethylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



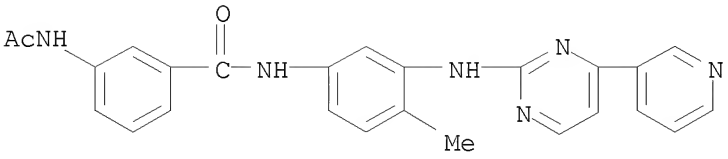
RN 404844-05-9 CAPLUS
CN Benzamide, 4-(dimethylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



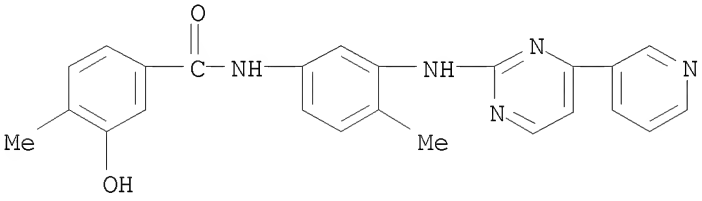
RN 404844-06-0 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



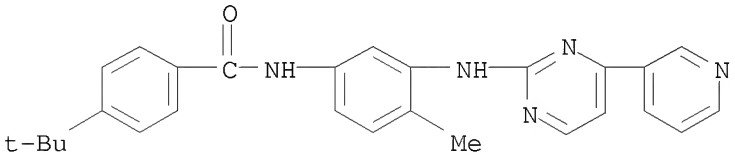
RN 404844-07-1 CAPLUS
CN Benzamide, 3-(acetylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 404844-08-2 CAPLUS
CN Benzamide, 3-hydroxy-4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 404844-09-3 CAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

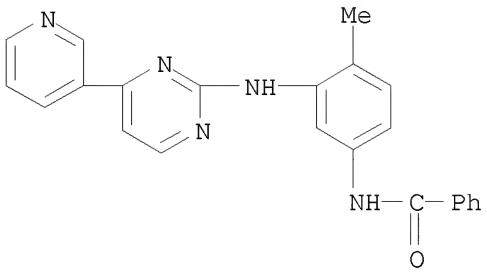


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 51 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2000:133467 CAPLUS
DN 132:175828
TI Method using phthalazine derivatives for treating ocular neovascular diseases
IN Brazzell, Romulus Kimbro; Wood, Jeanette Marjorie; Campochiaro, Peter Anthony; Kane, Frances Elizabeth
PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

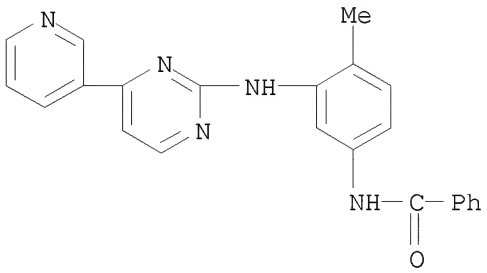
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000009098	A2	20000224	WO 1999-EP5876	19990811
	WO 2000009098	A3	20000518		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9957330	A	20000306	AU 1999-57330	19990811
	EP 1105136	A2	20010613	EP 1999-944371	19990811
	EP 1105136	B1	20070829		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	JP 2002522475	T	20020723	JP 2000-564601	19990811
	AT 371453	T	20070915	AT 1999-944371	19990811
	ES 2291041	T3	20080216	ES 1999-944371	19990811
	TW 239243	B	20050911	TW 1999-88113778	19990812
	US 6214819	B1	20010410	US 1999-442781	19991118
PRAI	US 1998-133855	A	19980813		
	WO 1999-EP5876	W	19990811		

OS MARPAT 132:175828
AB Phthalazines are used in the preparation of medicaments for the treatment of ocular neovascularization.
IT 152459-94-4, CGP 53716
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(phthalazine derivs. for treating ocular neovascular diseases)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



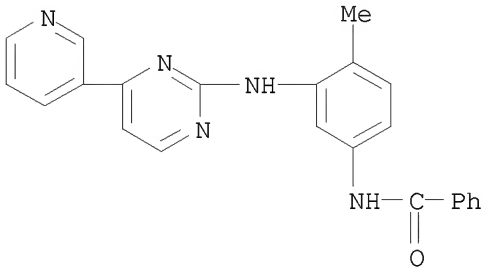
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 52 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:316816 CAPLUS
DN 131:125171
TI Prevention of cardiac allograft arteriosclerosis by protein tyrosine kinase inhibitor selective for platelet-derived growth factor receptor
AU Sihvola, Roope; Koskinen, Petri; Myllarniemi, Marjukka; Loubtchenkov, Michael; Hayry, Pekka; Buchdunger, Elisabeth; Lemstrom, Karl
CS Cardiopulmonary Research Group of the Transplantation Laboratory, University of Helsinki and Helsinki University Central Hospital, Helsinki, FIN-00014, Finland
SO Circulation (1999), 99(17), 2295-2301
CODEN: CIRCAZ; ISSN: 0009-7322
PB Lippincott Williams & Wilkins
DT Journal
LA English
AB Background-Increased immunoreactivity of platelet-derived growth factor (PDGF)-AA, -Rα, and -Rβ in intimal cells correlates with the development of cardiac allograft arteriosclerosis, a condition for which there is little or no current therapy. Therefore, we hypothesized that PDGF may have a rate-limiting role in the development of this disease. Methods and Results-The hypothesis was tested in a rat model of heterotopic cardiac and aortic allografts using dark agouti (AG-B4, RT1a) donors and Wistar-Furth (AG-B2, RT1u) recipients. The recipients received CGP 53716, a selective PDGF-R protein tyrosine kinase inhibitor, 50 mg • kg-1 • d-1, or vehicle for 60 days. Cardiac allograft recipients also received background cyclosporin A immunosuppression. Our results demonstrate that CGP 53716 significantly reduced the incidence and intensity of arteriosclerotic lesions in rat cardiac and aortic allograft recipients. When rat coronary smooth muscle cells were stimulated in vitro with PDGF-AA or -BB in the presence of interleukin-1β or tumor necrosis factor-α, CGP 53716 significantly inhibited only AA-ligand-induced but not BB-ligand-induced replication. Concomitantly, in quant. reverse transcriptase-polymerase chain reaction, interleukin-1β or tumor necrosis factor-α stimulation specifically upregulated the expression of PDGF-Rα mRNA but not of other ligand or receptor genes in cultured smooth muscle cells. Conclusions-We conclude that a PDGF-AA/Rα-dependent cycle is induced in the generation of allograft arteriosclerosis that may be inhibited by blocking of signaling downstream of PDGF-R.
IT 152459-94-4, CGP 53716
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prevention of cardiac allograft arteriosclerosis by protein tyrosine kinase inhibitor selective for platelet-derived growth factor receptor)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



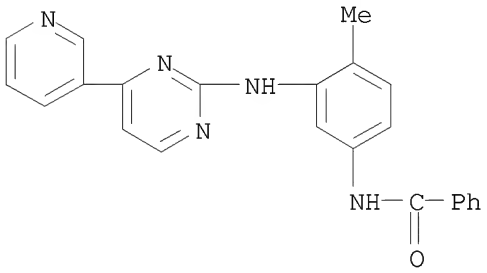
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 53 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:185580 CAPLUS
DN 131:27908
TI Inhibition of obliterative bronchiolitis by platelet-derived growth factor receptor protein-tyrosine kinase inhibitor
AU Kallio, E.; Koskinen, P.; Buchdunger, E.; Lemstrom, K.
CS Transplantation Laboratory, University of Helsinki, Helsinki, FIN-00014, Finland
SO Transplantation Proceedings (1999), 31(1/2), 187
CODEN: TRPPA8; ISSN: 0041-1345
PB Elsevier Science Inc.
DT Journal
LA English
AB The authors investigated the role of platelet-derived growth factor (PDGF) in the development of obliterative bronchiolitis and the effect of a protein-tyrosine kinase (PTK) inhibitor selective for PDGF receptors (CGP53716) on obliterative bronchiolitis in rats with tracheal transplantations. Significant upregulation of allograft PDGF-AA and α receptor expression was observed at 3 and 10 days after transplantation compared with syngeneic grafts. This study suggests a regulatory role for PDGF especially for PDGF-AA and α receptor in the development of obliterative bronchiolitis. This study also demonstrates that inhibition of PDGF receptors with protein-tyrosine kinase inhibitor significantly reduces myofibroproliferation and airway occlusion suggesting a novel therapeutic strategy for the prevention of obliterative bronchiolitis in lung transplantation.
IT 152459-94-4, CGP53716
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of obliterative bronchiolitis by platelet-derived growth factor receptor protein-tyrosine kinase inhibitor in relation to role of platelet-derived growth factor and treatment in lung transplantation)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



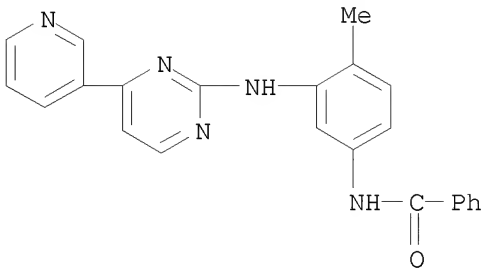
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 54 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:185568 CAPLUS
DN 131:13660
TI Prevention of cardiac allograft arteriosclerosis by protein-tyrosine kinase inhibitor selective for platelet-derived growth factor receptor
AU Koskinen, P.; Sihvola, R.; Myllarniemi, M.; Hayry, P.; Buchdunger, E.; Lemstrom, K.
CS Cardiopulmonary Research Group of the Transplantation Laboratory, University of Helsinki and Helsinki University Central Hospital, Helsinki, FIN-00014, Finland
SO Transplantation Proceedings (1999), 31(1/2), 102
CODEN: TRPPA8; ISSN: 0041-1345
PB Elsevier Science Inc.
DT Journal
LA English
AB Increased immunoreactivity of platelet-derived growth factor (PDGF) -AA, -Rα, and -Rβ in intimal cells correlates with the development of cardiac allograft arteriosclerosis. The results of this study conclude that PDGF-AA-Rα dependent cycle is induced in the generation of allograft arteriosclerosis, which may be inhibited by blocking of signaling downstream of PDGF-R.
IT 152459-94-4, Cgp 53716
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prevention of cardiac allograft arteriosclerosis by protein-tyrosine kinase inhibitor selective for platelet-derived growth factor receptor)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

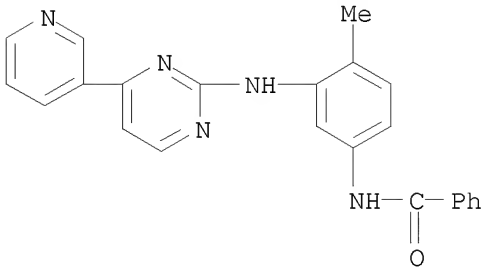
L11 ANSWER 55 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1997:728227 CAPLUS
DN 128:43608
OREF 128:8399a,8402a
TI Inhibition of platelet-derived growth factor receptor tyrosine kinase inhibits vascular smooth muscle cell migration and proliferation
AU Myllarniemi, Marukka; Calderon, Lazaro; Lemstrom, Karl; Buchdunger, Elisabeth; Hayry, Pekka
CS Transplantation Laboratory, University of Helsinki, Helsinki, Finland
SO FASEB Journal (1997), 11(13), 1119-1126
CODEN: FAJOEC; ISSN: 0892-6638
PB Federation of American Societies for Experimental Biology
DT Journal
LA English
AB Platelet-derived growth factors (PDGFs) and their receptors (PDGFRs) have been linked to vascular smooth muscle cell (SMC) migration and proliferation leading to atherosclerosis, restenosis, and chronic allograft rejection. This study describes the effect of CGP 53716, a specific PDGFR tyrosine kinase inhibitor on SMC proliferation and migration in vitro and in neointimal formation in vivo. CGP 53716 inhibited dose dependently tyrosine phosphorylation of both the known PDGFRs: the PDGFR-α and PDGFR-β. In primary rat SMC cultures, a dose-dependent inhibition of PDGF-AA and PDGF-BB induced migration, and tritiated thymidine incorporation of SMC was seen at nontoxic concns. After rat carotid artery ballooning injury in vivo, the migration of α-actin-pos. cells on the luminal side of internal elastic lamina was decreased with 50 mg·kg-1·day-1 of CGP 53716 from 38 ± 10 (control group) to 4 ± 2 ($P<0.0001$, Mann-Whitney U test, N=18). CGP 53716 did not inhibit the number of replicating bromodeoxyuridine (BrdU)-incorporating cells in the intima, media, or adventitia during BrdU labeling at 0-96 postoperative h, though it inhibited significantly ($P<0.01$) the replication of medial and intimal cells from 93 h onward. Intima/media ratio was inhibited by 40% after 14 days in the CGP 53716-treated group ($P=0.028$) after rat aortic denudation. The results indicate that inhibition of the PDGFR tyrosine kinase inhibits SMC migration and proliferation in vitro, SMC migration, and, to a lesser extent, proliferation after ballooning injury in vivo, confirming a causal role for activation of the PDGFR and the formation of neointimal lesions.
IT 152459-94-4, CGP 53716
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PDGFR tyrosine kinase inhibitor CGF 53716 antiatherosclerotic activity)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 56 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1997:674685 CAPLUS
DN 127:355149
OREF 127:69431a,69434a
TI Inhibition of cell growth: effects of the tyrosine kinase inhibitor CGP 53716
AU Major, Terry C.; Keiser, Joan A.
CS Parke-Davis Pharmaceutical Research Division, Department of Vascular and Cardiac Diseases, Warner Lambert Company, Ann Arbor, MI, USA
SO Journal of Pharmacology and Experimental Therapeutics (1997), 283(1), 402-410
CODEN: JPETAB; ISSN: 0022-3565
PB Williams & Wilkins
DT Journal
LA English
AB The growth factors, platelet-derived growth factor (PDGF) and basic fibroblast growth factor (bFGF), play major roles in enhanced smooth muscle cells growth in rodent blood vessels after vascular injury. Tyrosine kinase inhibition has been shown to be effective in blocking tyrosine phosphorylation at the PDGF and bFGF receptors in cultured fibroblast and vascular smooth muscle cells which in turn inhibits their proliferation. Our study evaluated the PDGF selective tyrosine kinase inhibitor, CGP 53716, on serum, PDGF-BB, bFGF or epidermal growth factor-induced growth responses in cultured rat aortic smooth muscle cells (RASMC) and Balb/3T3 fibroblasts (3T3). CGP 53716 inhibited serum-induced cell growth in RASMC, but not in 3T3 cells. CGP 53716 completely blocked PDGF-BB tyrosine receptor autophosphorylation in RASMC and 3T3 cells, PDGF-BB-induced phosphorylation of mitogen-activated protein kinase at 1 μM in RASMC and inhibited PDGF-BB-induced c-Fos protein expression at 1 μM in RASMC; consistent with inhibition of PDGF-BB-induced DNA synthesis. To examine the selectivity of CGP 53716, PDGF-BB, bFGF or EGF-induced DNA synthesis was measured using thymidine incorporation. CGP 53716 inhibited PDGF-BB-, bFGF- and EGF-induced DNA synthesis in a concentration-dependent manner in each cell line. CGP 53716 showed a 2- to 4-fold selectivity for PDGF-BB-stimulated DNA synthesis over bFGF or EGF in RASMC or 3T3 cells. To rule out that bFGF induced the release of endogenous PDGF, an antibody to PDGF-AB, which binds to all three isoforms of PDGF, was coincubated with bFGF and did not suppress the DNA synthesis induced by bFGF. Based on these results, CGP 53716 is not selective for the PDGF receptor as previously reported. However, EGF-stimulated receptor autophosphorylation of mitogen-activated protein kinase phosphorylation and c-Fos protein expression were not inhibited by CGP 53716 at 1 or 10 μM in RASMC. The findings suggest that CGP 53716 may inhibit multiple growth factor pathways as indicated by inhibition of DNA synthesis. However, these effects must be downstream from the signaling for c-Fos protein expression or use an alternate signaling route. These results further suggest that CGP 53716 may have a therapeutic potential for the treatment of vascular proliferative diseases which are stimulated by not only PDGF but other growth factors such as bFGF and EGF.
IT 152459-94-4, CGP 53716
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tyrosine kinase inhibitor CGP 53716 inhibition of vascular smooth muscle cell growth)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-

(CA INDEX NAME)

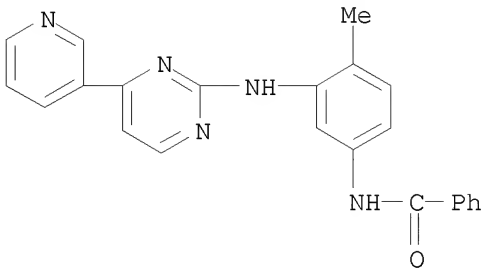


RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 57 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1997:617993 CAPLUS
DN 127:272793
OREF 127:53117a,53120a
TI Antiproliferative combinations, containing raf-targeted oligonucleotides and chemotherapeutic compounds
IN Muller, Marcel; Geiger, Thomas; Altmann, Karl-Heinz; Fabbro, Doriano; Monia, Brett
PA Novartis AG, Switz.
SO PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

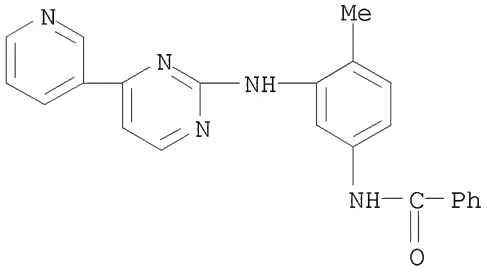
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9732604	A1	19970912	WO 1997-EP875	19970224
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9720925	A	19970922	AU 1997-20925	19970224
	ZA 9701936	A	19970908	ZA 1997-1936	19970306
PRAI	US 1996-612787	A	19960307		
	WO 1997-EP875	W	19970224		

AB The invention relates to combinations of raf-targeted (especially c-raf-targeted) deoxyribo- and ribo-oligonucleotides and derivs. thereof with other chemotherapeutic compds., as well as to pharmaceutical prepns. and/or therapies, in relation to disease states which respond to such oligonucleotides or oligonucleotide derivs., especially to modulation of the activity of a regulatory protein. In particular, the invention relates to products or combinations comprising antisense oligonucleotides or oligonucleotide derivs. targeted to nucleic acids encoding raf and other (preferably standard) chemotherapeutics, either in fixed combination or for chronol. staggered or simultaneous administration, and the combined use of both classes of compds., either in fixed combination or for chronol. staggered or simultaneous administration, for the treatment of proliferative diseases, especially tumor diseases, that can be treated by inhibition of raf activity, i.e., where the antisense oligonucleotides or oligonucleotide derivs. are targeted to nucleic acids encoding the regulatory protein raf or active mutated derivs. thereof.
IT 152459-94-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(raf-targeted oligonucleotide-chemotherapeutic compound antiproliferative combinations)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

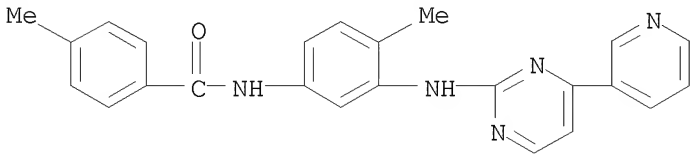


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 58 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1997:123312 CAPLUS
DN 126:220297
OREF 126:42443a,42446a
TI Potent and selective inhibitors of the ABL-kinase: phenylaminopyrimidine (PAP) derivatives
AU Zimmermann, Jurg; Buchdunger, Elisabeth; Mett, Helmut; Meyer, Thomas; Lydon, Nicholas B.
CS Ciba Pharmaceuticals Division, Oncology Research Department, Ciba-Geigy Limited, Basel, CH-4002, Switz.
SO Bioorganic & Medicinal Chemistry Letters (1997), 7(2), 187-192
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
AB Due to its relatively clear etiol., chronic myelogenous leukemia (CML) represents an ideal disease target for a therapy using a selective inhibitor of the Bcr-Abl tyrosine protein kinase. Extensive optimization of the class of phenylamino-pyrimidines yielded highly potent and selective Bcr-Abl kinase inhibitors.
IT 152459-94-4P 152459-96-6P 152459-98-8P
152459-99-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylaminopyrimidine derivs. as inhibitors of ABL-kinase)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

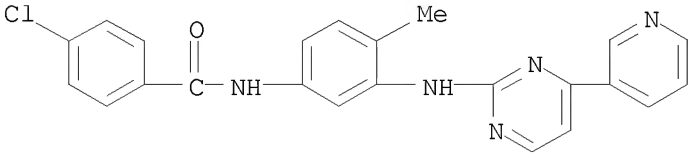


RN 152459-96-6 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



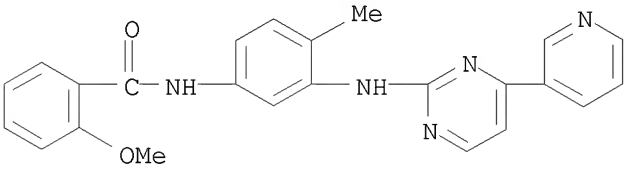
RN 152459-98-8 CAPLUS

CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 152459-99-9 CAPLUS

CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

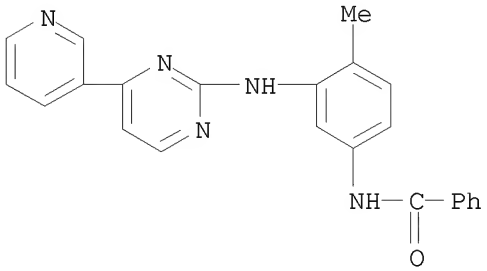


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

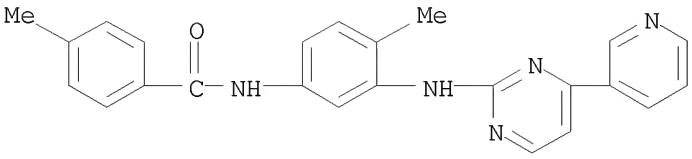
L11 ANSWER 59 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1996:380210 CAPLUS
DN 125:114681
OREF 125:21527a,21530a
TI Pyrimidine derivatives and processes for the preparation thereof
IN Zimmermann, Juerg
PA Ciba-Geigy Corporation, USA
SO U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 42,322, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5521184	A	19960528	US 1994-234889	19940428
	CA 2148477	A1	19950413	CA 1994-2148477	19940921
PRAI	CH 1992-1083	A	19920403		
	US 1993-42322	B2	19930402		
	CH 1993-2966	A	19931001		

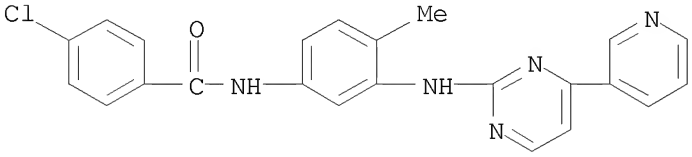
OS MARPAT 125:114681
AB There are described N-phenyl-2-pyrimidine-amine derivs. (I) wherein R1 is 4-pyrazinyl, 1-methyl-1H-pyrrolyl, amino- or amino-lower alkyl-substituted Ph wherein the amino group in each case is free, alkylated or acylated, 1H-indolyl or 1H-imidazolyl bonded at a five-membered ring carbon atom, or unsubstituted or lower alkyl-substituted pyridyl bonded at a ring carbon atom and unsubstituted or substituted at the nitrogen atom by oxygen; R2 and R3 are hydrogen or lower alkyl; one or two of R4, R5, R6, R7 are each nitro, fluoro-substituted lower alkoxy or -N(R9)C(:X)(Y)nR10. These compds. can be used, for example, in the therapy of tumoral diseases. Three example formulations are given.
IT 152459-94-4P 152459-96-6P 152459-98-8P
152459-99-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylaminopyrimidine derivs. as antitumor agents)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



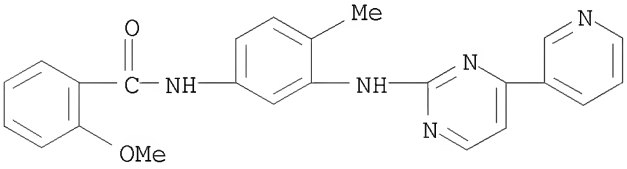
RN 152459-96-6 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 152459-98-8 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

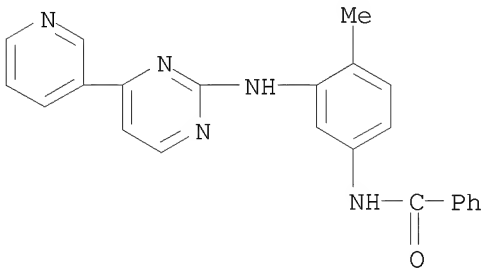


RN 152459-99-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

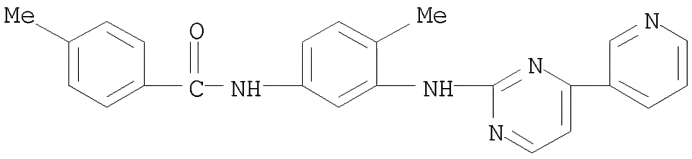


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

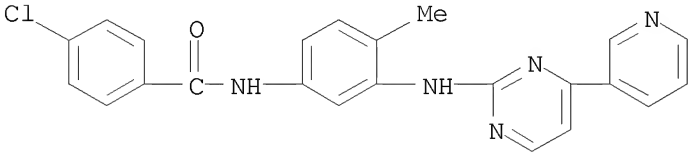
L11 ANSWER 60 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1996:368753 CAPLUS
DN 125:167896
OREF 125:31461a,31464a
TI (Phenylamino)pyrimidine (PAP) derivatives: a new class of potent and highly selective PDGF-receptor autophosphorylation inhibitors
AU Zimmermann, Juerg; Buchdunger, Elisabeth; Mett, Helmut; Meyer, Thomas; Lydon, Nicholas B.; Traxler, Peter
CS Oncol. Res. Dep., Ciba Pharm. Div., Basel, CH-4002, Switz.
SO Bioorganic & Medicinal Chemistry Letters (1996), 6(11), 1221-1226
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
AB (Phenylamino)pyrimidines represent a novel class of inhibitors of the PDGF-receptor autophosphorylation with a high degree of selectivity vs. other tyrosine and serine/threonine kinases. Optimum activity of ca 10 nM (IC50) was observed when the phenylamino-group which is attached to the pyrimidine carries a benzamide-moiety with a lipophilic substituent in 4-position. The target compds. were derivs. of 4-methyl-N3-[4-(3-pyridinyl)-2-pyrimidinyl]-1,3-benzenediamine I (R2 = H, Me; R3 = H, benzoyl, Me, etc.; R4 = H, benzoyl, etc.). A 2-thienyl analog of I was also prepared and tested.
IT 152459-94-4P 152459-96-6P 152459-98-8P
152459-99-9P 180258-53-1P 180258-55-3P
180258-56-4P 180258-57-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of [(pyridinyl)pyrimidinyl]benzenediamines as tyrosine kinase or serine/threonine kinase inhibitors)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



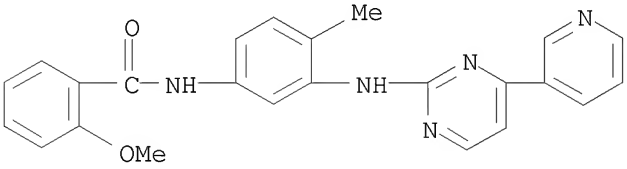
RN 152459-96-6 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



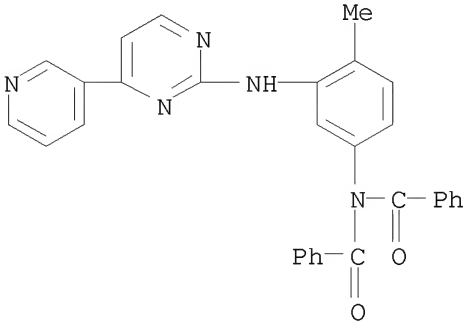
RN 152459-98-8 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



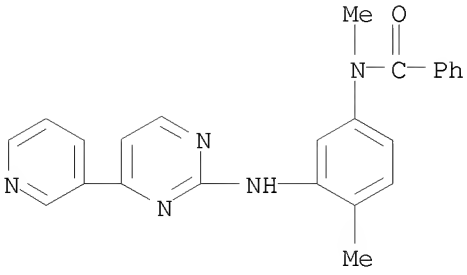
RN 152459-99-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



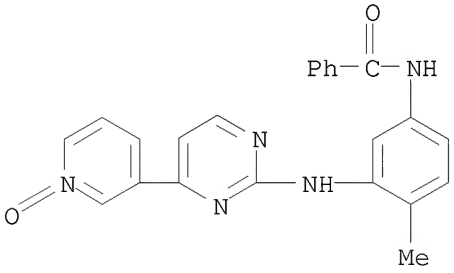
RN 180258-53-1 CAPLUS
CN Benzamide, N-benzoyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



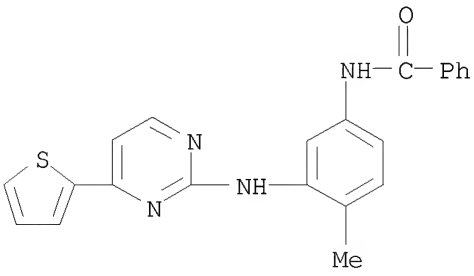
RN 180258-55-3 CAPLUS
CN Benzamide, N-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



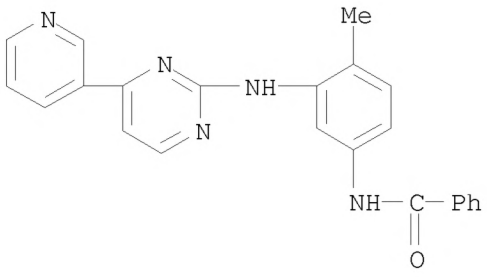
RN 180258-56-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(1-oxido-3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 180258-57-5 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(2-thienyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



L11 ANSWER 61 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1995:479796 CAPLUS
DN 122:230302
OREF 122:41791a,41794a
TI Selective inhibition of the platelet-derived growth factor signal transduction pathway by a protein-tyrosine kinase inhibitor of the 2-phenylaminopyrimidine class
AU Buchdunger, Elisabeth; Zimmermann, Juerg; Mett, Helmut; Meyer, Thomas; Mueller, Marcel; Regenass, Urs; Lydon, Nicholas B.
CS Oncology Research Department, CIBA-Geigy Limited, Basel, CH-4002, Switz.
SO Proceedings of the National Academy of Sciences of the United States of America (1995), 92(7), 2558-62
CODEN: PNASA6; ISSN: 0027-8424
PB National Academy of Sciences
DT Journal
LA English
AB The platelet-derived growth factor (PDGF) receptor is a member of the transmembrane growth factor receptor protein family with intrinsic protein-tyrosine kinase activity. The authors described a potent protein-tyrosine kinase inhibitor (CGP 53716) that shows selectivity for the PDGF receptor in vitro and in the cell. The compound shows selectivity for inhibition of PDGF-mediated events such as PDGF receptor autophosphorylation, cellular tyrosine phosphorylation, and c-fos mRNA induction in response to PDGF stimulation of intact cells. In contrast, ligand-induced autophosphorylation of the epidermal growth factor (EGF) receptor, insulin receptor, and the insulin-like growth factor I receptor, as well as c-fos mRNA expression induced by EGF, fibroblast growth factor, and phorbol ester, was insensitive to inhibition by CGP 53716. In antiproliferative assays, the compound was ≈30-fold more potent in inhibiting PDGF-mediated growth of v-sis-transformed BALB/c 3T3 cells relative to inhibition of EGF-dependent BALB/MK cells, interleukin-3-dependent FDC-P1 cells, and the T24 bladder carcinoma line. When tested in vivo using highly tumorigenic v-sis- and human c-sis-transformed BALB/c 3T3 cells, CGP 53716 showed antitumor activity at well-tolerated doses. In contrast, CGP 53716 did not show antitumor activity against xenografts of the A431 tumor, which overexpresses the EGF receptor. These findings suggest that CGP 53716 may have therapeutic potential for the treatment of diseases involving abnormal cellular proliferation induced by PDGF receptor activation.
IT 152459-94-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phenylaminopyrimidine derivative as inhibitor of platelet-derived growth factor receptor tyrosine kinase)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)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Me
NH
C
Ph
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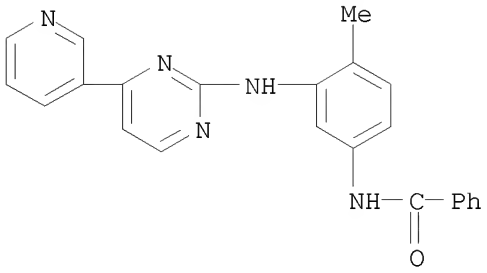
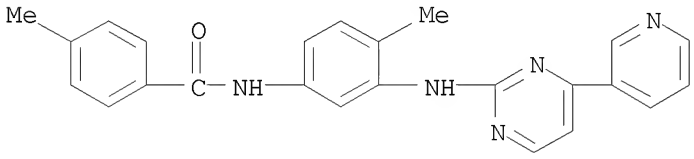
L11 ANSWER 62 OF 62 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1994:107056 CAPLUS
DN 120:107056
OREF 120:18901a,18904a
TI Preparation of 2-anilinopyrimidines as antiatherosclerotics and neoplasm inhibitors
IN Zimmermann, Juerg
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 564409	A1	19931006	EP 1993-810219	19930325
	EP 564409	B1	20000119		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 188964	T	20000215	AT 1993-810219	19930325
	ES 2142857	T3	20000501	ES 1993-810219	19930325
	PT 564409	T	20000630	PT 1993-810219	19930325
	FI 109534	B1	20020830	FI 1993-1458	19930331
	CA 2093203	A1	19931004	CA 1993-2093203	19930401
	CA 2093203	C	20021126		
	CZ 283944	B6	19980715	CZ 1993-560	19930401
	RU 2125992	C1	19990210	RU 1993-5357	19930401
	IL 105264	A	19990411	IL 1993-105264	19930401
	SK 280620	B6	20000516	SK 1993-280	19930401
	NO 9301283	A	19931004	NO 1993-1283	19930402
	NO 302473	B1	19980309		
	ZA 9302397	A	19931004	ZA 1993-2397	19930402
	AU 9335694	A	19931007	AU 1993-35694	19930402
	AU 666709	B2	19960222		
	CN 1077713	A	19931027	CN 1993-103566	19930402
	CN 1043531	C	19990602		
	HU 64050	A2	19931129	HU 1993-982	19930402
	JP 06087834	A	19940329	JP 1993-78096	19930405
	JP 2706682	B2	19980128		
	GR 3032927	T3	20000731	GR 2000-400623	20000310
PRAI	CH 1992-1083	A	19920403		

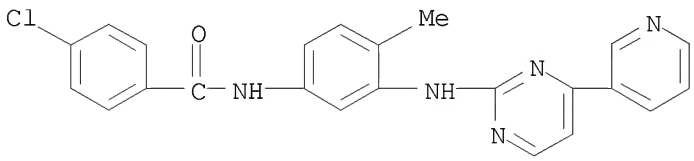
OS MARPAT 120:107056
AB Title compds. [I; R1 = pyridyl, 4-pyrazinyl, (acyl)aminophenyl, etc.; R2, R3 = H, alkyl; 1 or 2 of R4-R8 = NO2, fluoroalkoxy, NR9C(:X)YnR10 and the others = H, alkyl, alkanoyl, CF3, etc.; R9 = H, alkyl; R10 = (cyclo)aliphatic group, heterocyclyl, aryl, etc.; X = O, S, NH, etc.; Y = O or NH; n = 0 or 1] were prepared Thus, 3-(O2N)C6H4NHC(:NH)NH2 [preparation from 3-(O2N)C6H4NH2 given] was cyclocondensed with R1COCH:CHNMe2 (R1 = 3-pyridyl) (preparation from 3-acetylpyridine given) to give I (R1 = 3-pyridyl, R2 = R3 = R5-R8 = H, R4 = NO2). I had IC50 of .apprx.0.5 to 5 μM against protein kinase C in vitro.
IT 152459-94-4P 152459-96-6P 152459-98-8P
152459-99-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiatherosclerotic and neoplasm inhibitor)
RN 152459-94-4 CAPLUS
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



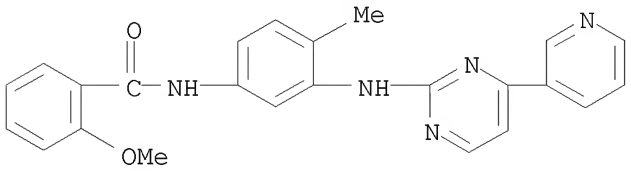
RN 152459-96-6 CAPLUS
CN Benzamide, 4-methyl-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 152459-98-8 CAPLUS
CN Benzamide, 4-chloro-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



RN 152459-99-9 CAPLUS
CN Benzamide, 2-methoxy-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   351.18     590.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -50.84     -50.84

STN INTERNATIONAL LOGOFF AT 19:06:17 ON 18 MAR 2009
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